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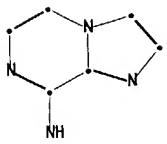

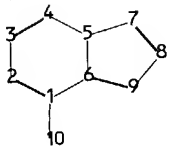

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10
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 5-7 6-9 8-9
exact bonds :
7-8
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

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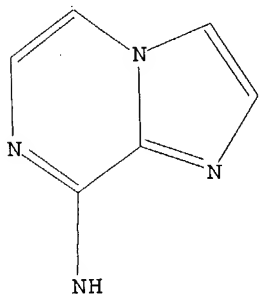


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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -     274 TO ITERATE

                                                                  14 ANSWERS
100.0% PROCESSED      274 ITERATIONS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          4487 TO      6473
PROJECTED ANSWERS:               56 TO       504

L2            14 SEA SSS SAM L1

=> d his

     (FILE 'HOME' ENTERED AT 18:35:05 ON 26 FEB 2004)

     FILE 'REGISTRY' ENTERED AT 18:35:20 ON 26 FEB 2004
L1                STRUCTURE UPLOADED
L2             14 S L1 SSS SAM
L3            226 S L1 SSS FUL

=> s l3
L4            39 L3

=> d l4 1-39 bib,ab,hitstr
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L4 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:855931 CAPLUS
DN 139:350757
TI Preparation of imidazo[1,2-a]pyrazin-8-ylamines as AKT-1 kinase inhibitors
IN Desimone, Robert Walter, Jr.; Pippin, Douglas A.; Darrow, James W.
PA Cellular Genomics, Inc., USA
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003089434	A2	20031030	WO 2003-US12222	20030421
	WO 2003089434	A3	20040115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2003212073	A1	20031113	US 2003-419682	20030421
PRAI	US 2002-374213P	P	20020419		

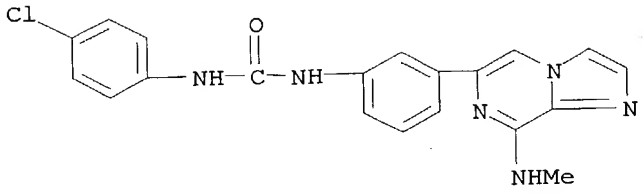
OS MARPAT 139:350757

AB The title compds. [I; R1 = H, cycloalkylmethyl, alkyl, etc.; R2 = alkyl, cycloalkylmethyl, alkoxy, etc.; R3 = H, alkyl, etc.; Z1 = CO, (un)substituted (CH2)m, CONH, NHSO2, SO2NH; n = 0-1; m = 0-2; Z2 = phenylene, naphthylene, CO, etc.] which are of particular utility in the treatment of kinase-implicated disorders, were prepd. General methods of prepn. were given. All exemplified compds. I such as II were tested in std. AKT-1 kinase assay and std. assay to evaluate modulation of cell growth in soft agar (using cell lines HCT-15, MiaPaca2, MCF-7 and NIH3T3 clone stably overexpressing transfected myrAkt-1 human gene), and exhibited IC50 of .ltoreq. 25 .mu.M. Pharmaceutical compn. comprising the compd. I is claimed.

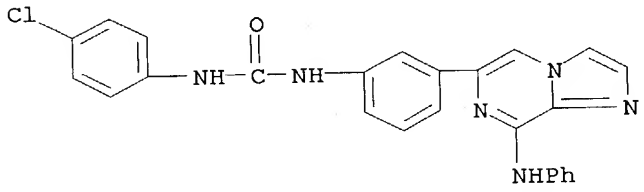
IT **618454-74-3P 618454-80-1P 618454-86-7P**
618454-91-4P 618454-95-8P 618455-01-9P
618455-08-6P 618455-13-3P 618455-19-9P
618455-25-7P 618455-30-4P 618455-36-0P
618455-41-7P 618455-47-3P 618455-50-8P
618455-54-2P 618455-57-5P 618455-60-0P
618455-63-3P 618455-66-6P 618455-69-9P
618455-71-3P 618455-73-5P 618455-75-7P
618455-77-9P 618455-79-1P 618455-82-6P
618455-84-8P 618455-86-0P 618455-88-2P
618455-91-7P 618455-94-0P 618455-97-3P
618455-99-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazo[1,2-a]pyrazin-8-ylamines as AKT-1 kinase inhibitors)

RN 618454-74-3 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-[8-(methylamino)imidazo[1,2-a]pyrazin-6-

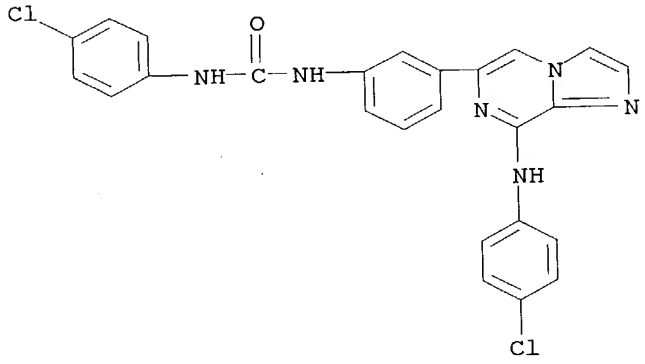
yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618454-80-1 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618454-86-7 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-[8-[(4-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618454-91-4 CAPLUS
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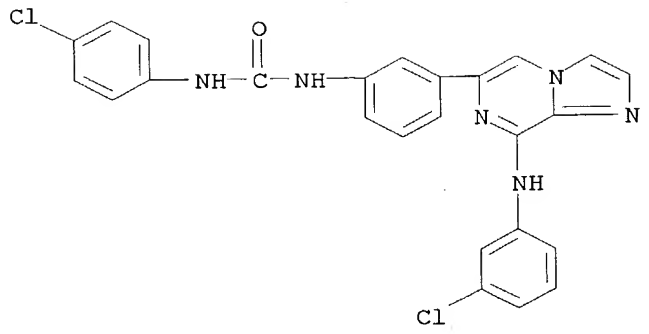
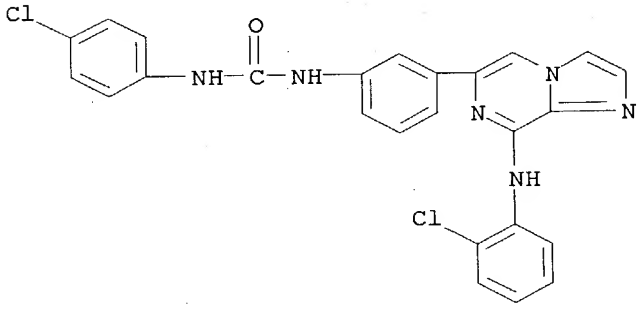


RN 618454-95-8 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-[8-[(2-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618455-01-9 CAPLUS
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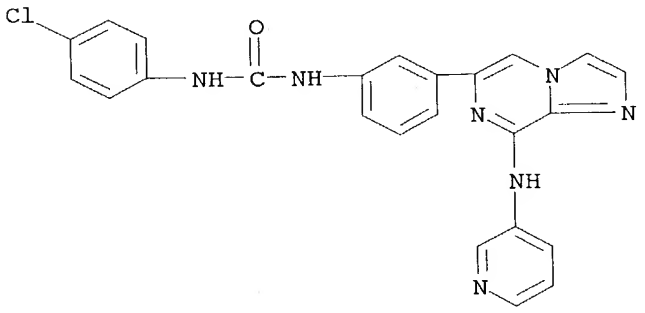
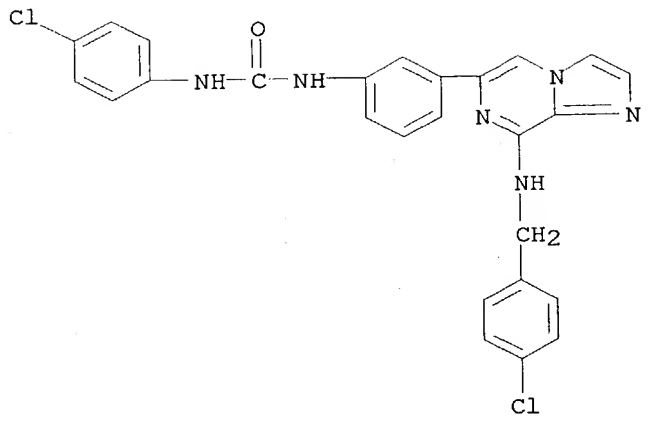
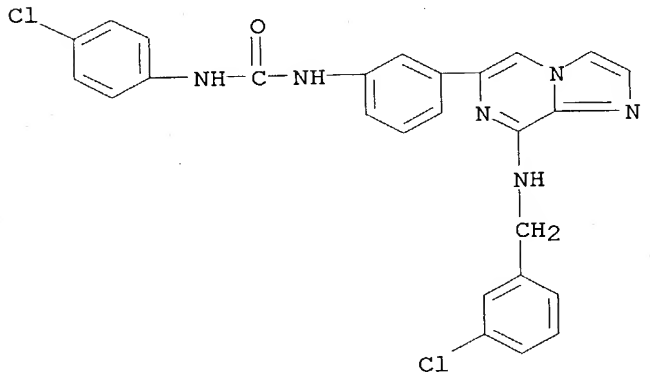


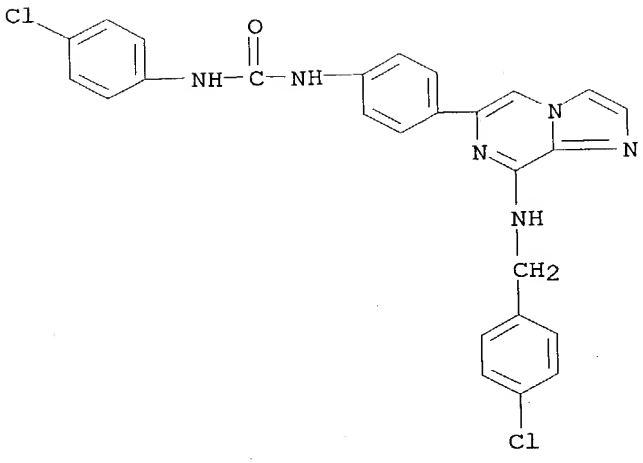
RN 618455-08-6 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-[8-[[(4-chlorophenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



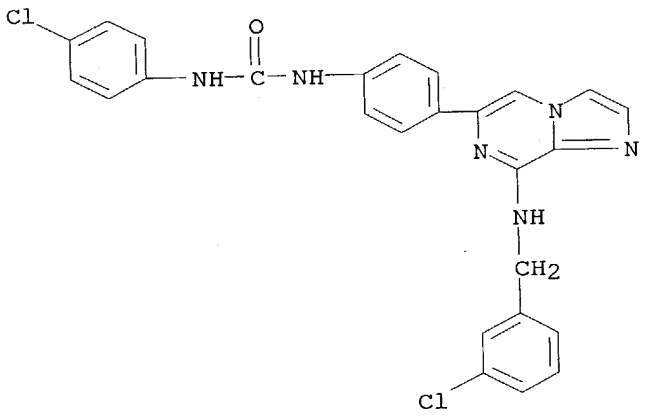
RN 618455-13-3 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[3-[8-[[(3-chlorophenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



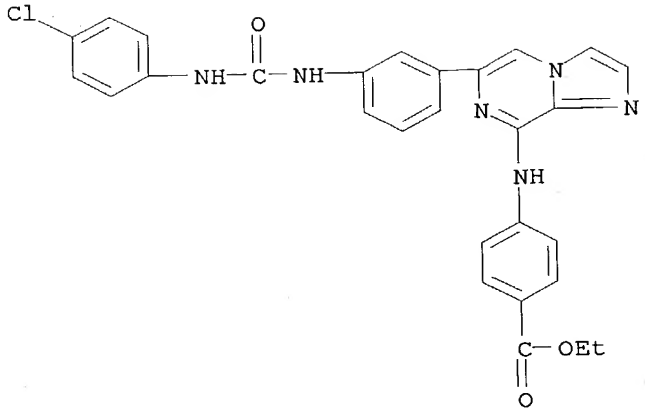
RN 618455-19-9 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[4-[8-[[(4-chlorophenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



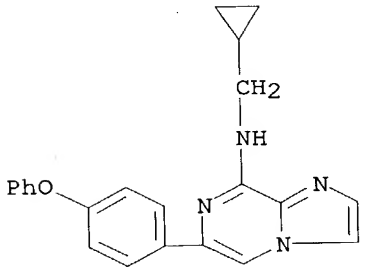
RN 618455-25-7 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-[4-[8-[[(3-chlorophenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



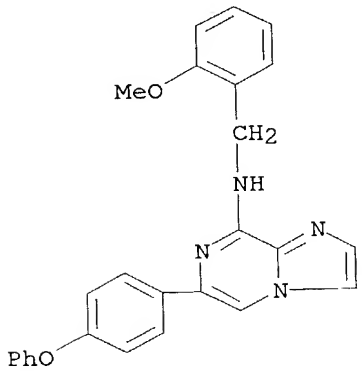
RN 618455-30-4 CAPLUS
CN Benzoic acid, 4-[[6-[3-[[[(4-chlorophenyl)amino]carbonyl]amino]phenyl]imidazo[1,2-a]pyrazin-8-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 618455-36-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-(cyclopropylmethyl)-6-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

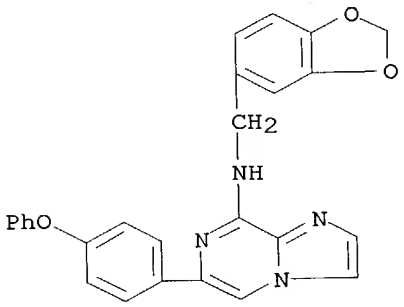


RN 618455-41-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[(2-methoxyphenyl)methyl]-6-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

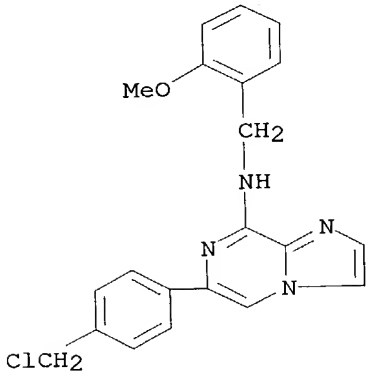


RN 618455-47-3 CAPLUS

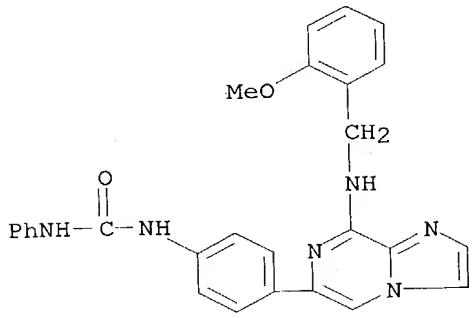
CN Imidazo[1,2-a]pyrazin-8-amine, N-(1,3-benzodioxol-5-ylmethyl)-6-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



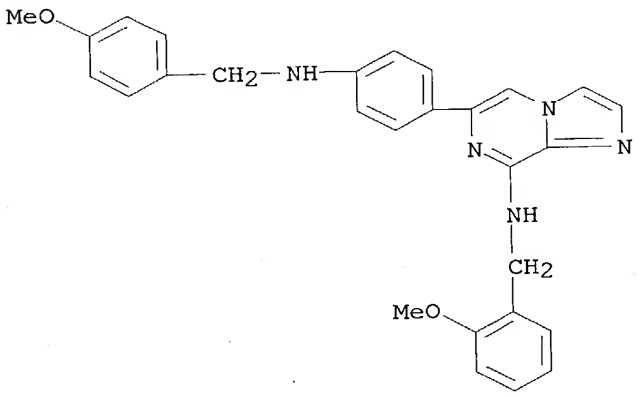
RN 618455-50-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-[4-(chloromethyl)phenyl]-N-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



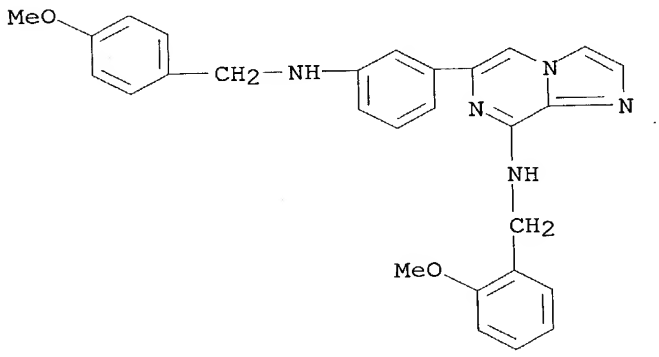
RN 618455-54-2 CAPLUS
CN Urea, N-[4-[8-[[(2-methoxyphenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



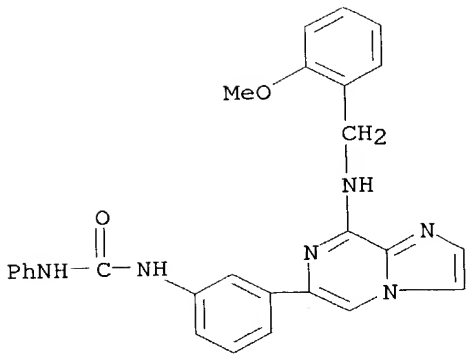
RN 618455-57-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[(2-methoxyphenyl)methyl]-6-[4-[[(4-methoxyphenyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



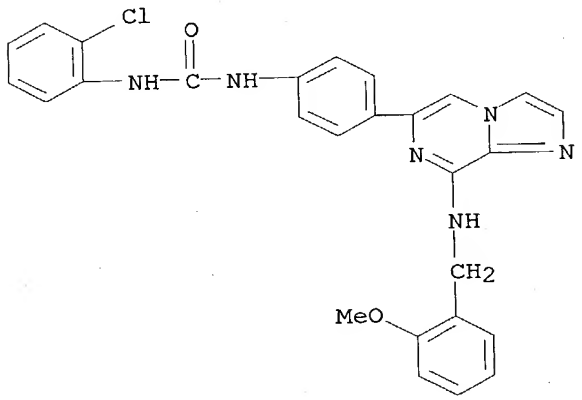
RN 618455-60-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[(2-methoxyphenyl)methyl]-6-[3-[[(4-methoxyphenyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



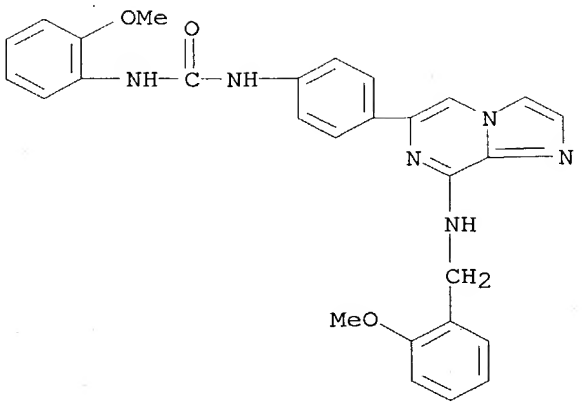
RN 618455-63-3 CAPLUS
CN Urea, N-[3-[8-[[(2-methoxyphenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



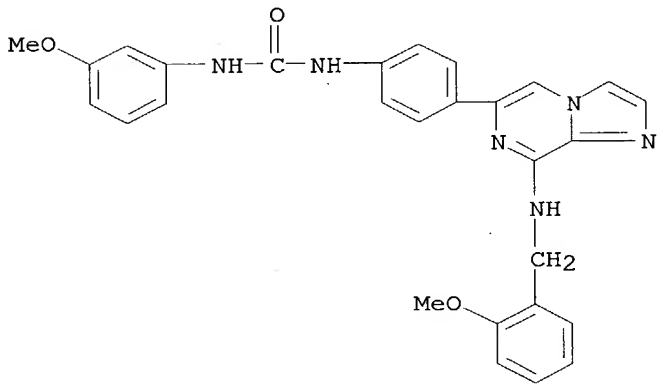
RN 618455-66-6 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-[4-[8-[[(2-methoxyphenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



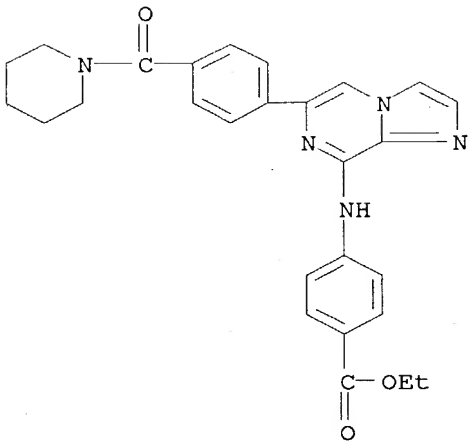
RN 618455-69-9 CAPLUS
CN Urea, N-(2-methoxyphenyl)-N'-[4-[8-[[(2-methoxyphenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



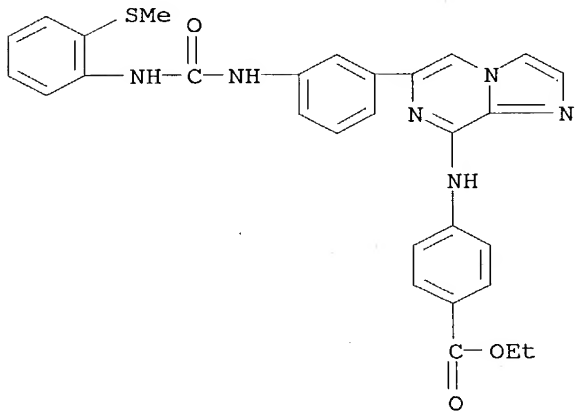
RN 618455-71-3 CAPLUS
CN Urea, N-(3-methoxyphenyl)-N'-[4-[8-[[(2-methoxyphenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



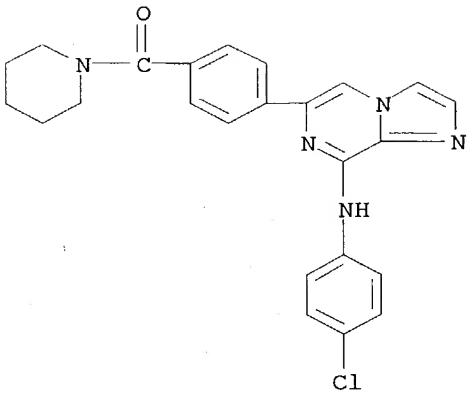
RN 618455-73-5 CAPLUS
CN Benzoic acid, 4-[[6-[4-(1-piperidinylcarbonyl)phenyl]imidazo[1,2-a]pyrazin-8-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



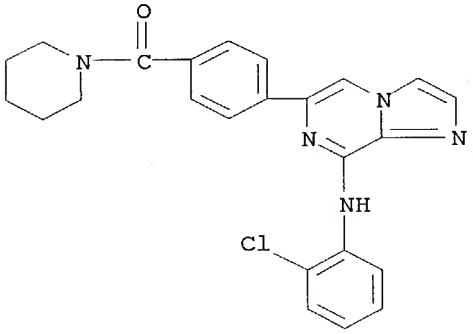
RN 618455-75-7 CAPLUS
CN Benzoic acid, 4-[[6-[3-[[[[2-(methylthio)phenyl]amino]carbonyl]amino]phenyl]imidazo[1,2-a]pyrazin-8-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



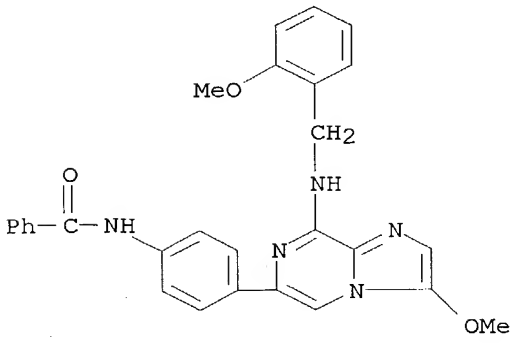
RN 618455-77-9 CAPLUS
CN Piperidine, 1-[4-[8-[(4-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)



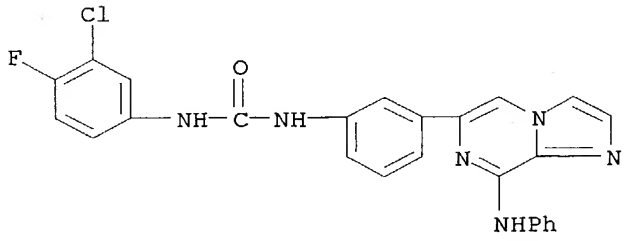
RN 618455-79-1 CAPLUS
CN Piperidine, 1-[4-[8-[(2-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]benzoyl]- (9CI) (CA INDEX NAME)



RN 618455-82-6 CAPLUS
CN Benzamide, N-[4-[3-methoxy-8-[[(2-methoxyphenyl)methyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618455-84-8 CAPLUS
CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[3-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618455-86-0 CAPLUS
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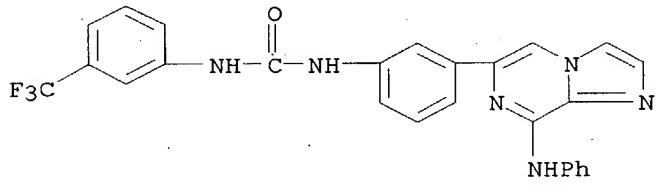
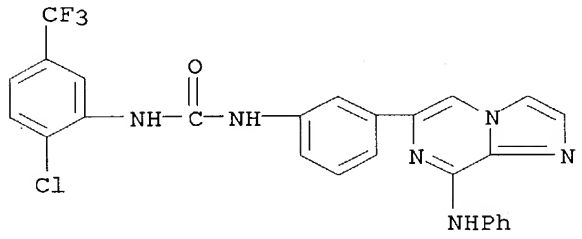
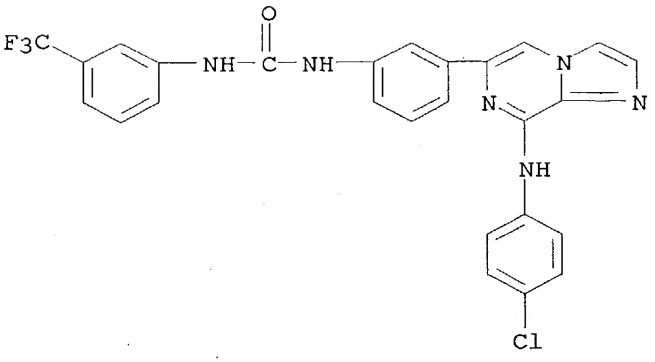


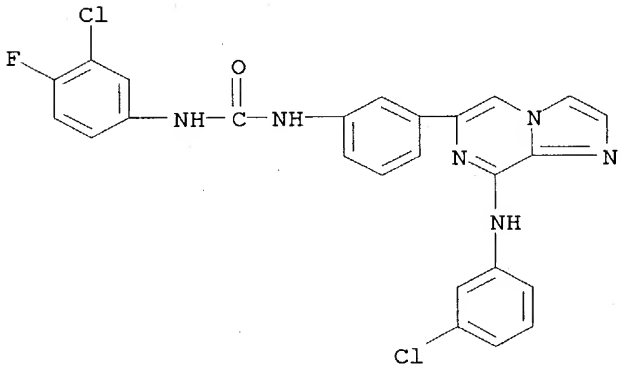
RN 618455-88-2 CAPLUS
CN Urea, N-[2-chloro-5-(trifluoromethyl)phenyl]-N'-[3-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



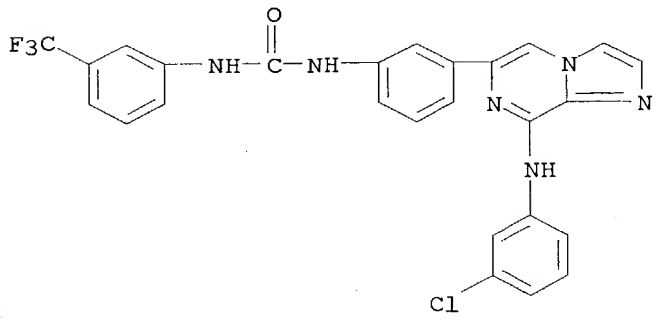
RN 618455-91-7 CAPLUS
CN Urea, N-[3-[8-[(4-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



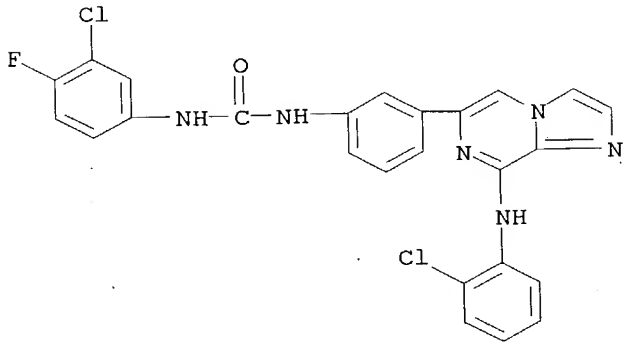
RN 618455-94-0 CAPLUS
CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[3-[8-[(3-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 618455-97-3 CAPLUS
CN Urea, N-[3-[8-[(3-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 618455-99-5 CAPLUS
CN Urea, N-(3-chloro-4-fluorophenyl)-N'-[3-[8-[(2-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:818425 CAPLUS
DN 139:337987
TI Preparation of imidazothienopyrazines for treatment of inflammatory and immune diseases.
IN Belema, Makonen; Bunker, Amy; Nguyen, Van; Beaulieu, Francis; Ouellet, Carl; Marinier, Anne; Roy, Stephan; Yang, Xuejie; Qiu, Yuping; Zhang, Yunhui; Martel, Alain; Zusi, Christopher
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003084959	A1	20031016	WO 2003-US9549	20030327

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

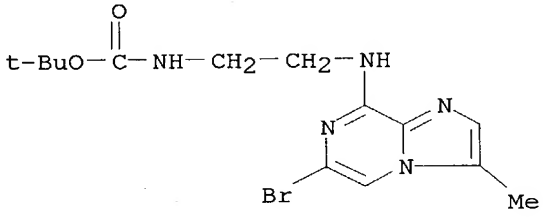
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-369698P P 20020403
OS MARPAT 139:337987
AB Title compds. [I; R1-R3 = H, halo, (perfluoro)alkyl; R4 = (CR5R6)mZ, (cycloalkyl)Z; R5, R5a, R6, R6a = H, OH, (substituted) amino, alkoxy, (cyclo)alkyl, heterocyclyl, (hetero)aryl; R7 = halo, cyano, (substituted) alkyl, alkenyl, (CR5aR6a)qOR8a, (CR5aR6a)qSR8a, (CR5aR6a)qSO2R10, (CR5aR6a)qNR8R9, (CR5aR6a)qNR8SO2, (CR5aR6a)qNR8SO2R10, (CR5aR6a)qSO2NR8R9, (CR5aR6a)qNR8aCOR9a, (CR5aR6a)qNR8aCO2R9a, (CR5aR6a)qCOR8a, (CR5aR6a)qCO2R8a, (CR5aR6a)qO2CR8a, (CR5aR6a)qCONR8aNR5R9, (CR5aR6a)qCONR8aSO2R10, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl, aralkyl, heteroaryl(alkyl), etc.; when A = heterocycle, cycloalkyl, 1 of R7 may = O, when A = bond, then R7 may = H; X = bond, O, S, NR1, (CH2)n, CH:CH, C.tplbond.C; A = bond, (hetero)aryl, heterocycle, cycloalkyl; Z = H, Me, OR14, CO2R14, NR12COR13, NR12CO2R13, NR12SO2R13, NR12CONR14R15, etc.; R8, R8a, R9, R9a = H, (substituted) alkenyl, (cyclo)alkyl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, aryl, aralkyl, heteroaryl, (heteroaryl)alkyl; R8R9N, R14R15N = heterocyclyl; R10, R10a = (substituted) (cyclo)alkyl, heterocyclyl, (hetero)aryl; R11 = H, (amino)alkyl, hydroxyalkyl; R12 = H, alkyl; R13 = H, (substituted) (cyclo)alkyl, heterocyclyl, (hetero)aryl; R14, R14a, R15, R15a = H, (substituted) (cyclo)alkyl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, aryl(alkyl), heteroaryl(alkyl); m, q = 0-6; n = 1, 2; p = 0-4], were prepd. Thus, tris(dibenzylideneacetone)dipalladium(0) and bis[(2-diphenylphosphino)phenyl]ether in toluene were bubbled with argon for 3 min; N-(2-bromo-8-methyl-1-thia-4,6,8a-triaza-as-indacen-5-yl)-N-methylamine was added followed by 2-mercaptopyrimidine and KOCMe3 in THF followed by refluxing for 2h to give 18% title compd. (II).

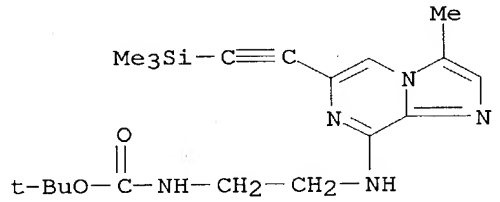
IT **615535-02-9P 615535-03-0P 615535-04-1P**
615535-11-0P 615535-12-1P 615535-13-2P
615535-16-5P 615535-17-6P 615535-19-8P

615535-23-4P 615535-24-5P 615535-25-6P
615535-26-7P 615535-32-5P 615535-33-6P
615535-34-7P 615535-47-2P 615535-48-3P
615535-49-4P 615535-52-9P 615535-53-0P
615535-54-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazothienopyrazines for treatment of inflammatory and immune diseases)

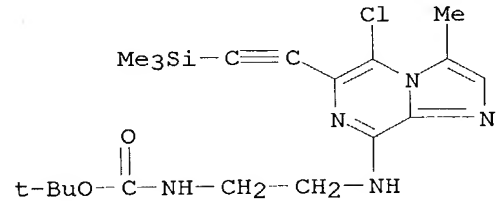
RN 615535-02-9 CAPLUS
CN Carbamic acid, [2-[(6-bromo-3-methylimidazo[1,2-a]pyrazin-8-yl)amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 615535-03-0 CAPLUS
CN Carbamic acid, [2-[[3-methyl-6-[(trimethylsilyl)ethynyl]imidazo[1,2-a]pyrazin-8-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

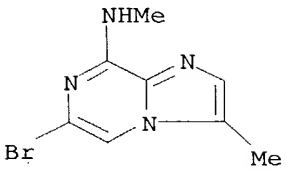


RN 615535-04-1 CAPLUS
CN Carbamic acid, [2-[[5-chloro-3-methyl-6-[(trimethylsilyl)ethynyl]imidazo[1,2-a]pyrazin-8-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

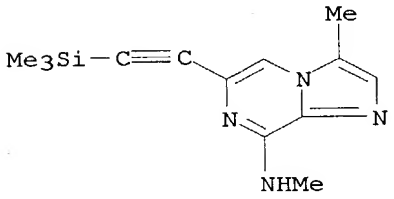


RN 615535-11-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N,3-dimethyl- (9CI) (CA INDEX

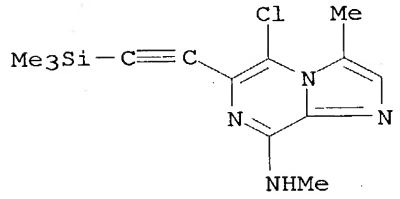
NAME)



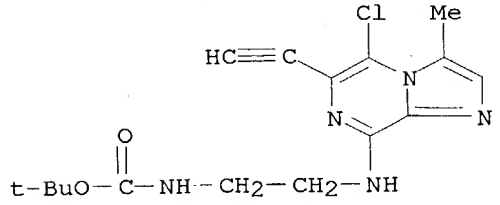
RN 615535-12-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N,3-dimethyl-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 615535-13-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 5-chloro-N,3-dimethyl-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)

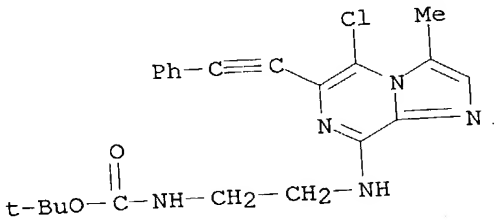


RN 615535-16-5 CAPLUS
CN Carbamic acid, [2-[(5-chloro-6-ethynyl-3-methylimidazo[1,2-a]pyrazin-8-yl)amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

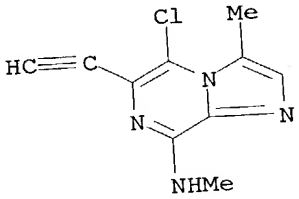


RN 615535-17-6 CAPLUS
CN Carbamic acid, [2-[[5-chloro-3-methyl-6-(phenylethynyl)imidazo[1,2-

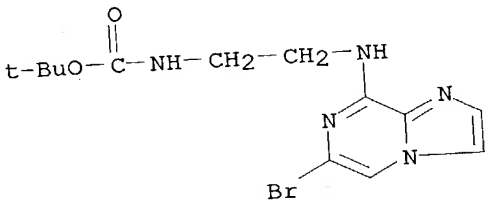
a]pyrazin-8-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



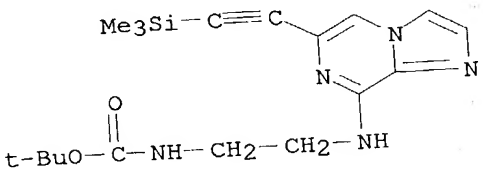
RN 615535-19-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 5-chloro-6-ethynyl-N,3-dimethyl- (9CI) (CA INDEX NAME)



RN 615535-23-4 CAPLUS
CN Carbamic acid, [2-[(6-bromoimidazo[1,2-a]pyrazin-8-yl)amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

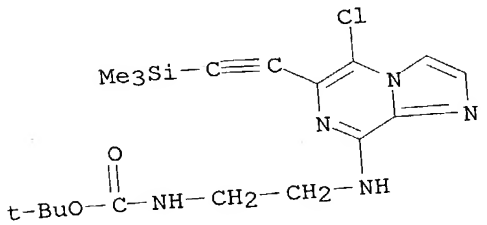


RN 615535-24-5 CAPLUS
CN Carbamic acid, [2-[[6-[(trimethylsilyl)ethynyl]imidazo[1,2-a]pyrazin-8-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

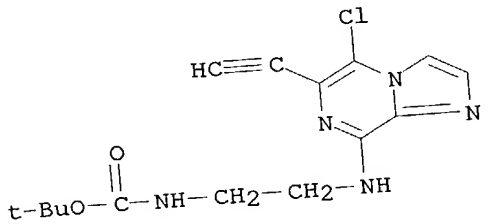


RN 615535-25-6 CAPLUS

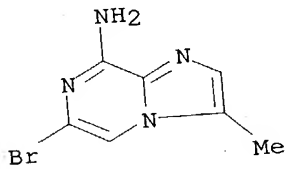
CN Carbamic acid, [2-[[5-chloro-6-[(trimethylsilyl)ethynyl]imidazo[1,2-a]pyrazin-8-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



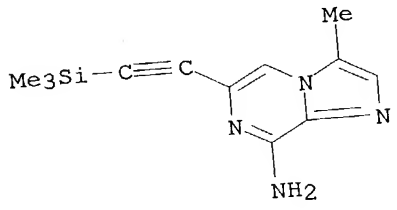
RN 615535-26-7 CAPLUS
CN Carbamic acid, [2-[(5-chloro-6-ethynylimidazo[1,2-a]pyrazin-8-yl)amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 615535-32-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-methyl- (9CI) (CA INDEX NAME)

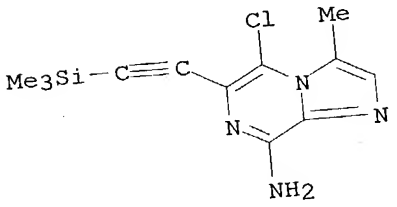


RN 615535-33-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-methyl-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)

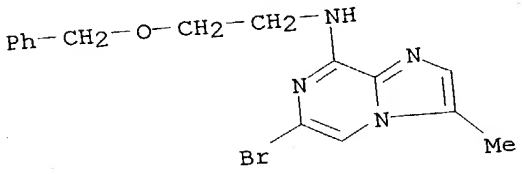


RN 615535-34-7 CAPLUS

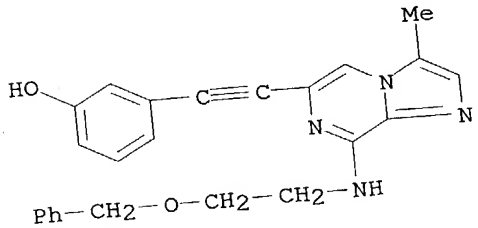
CN Imidazo[1,2-a]pyrazin-8-amine, 5-chloro-3-methyl-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)



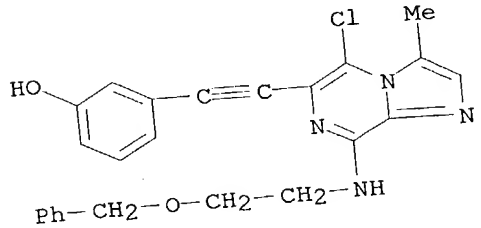
RN 615535-47-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-methyl-N-[2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 615535-48-3 CAPLUS
CN Phenol, 3-[[3-methyl-8-[[2-(phenylmethoxy)ethyl]amino]imidazo[1,2-a]pyrazin-6-yl]ethynyl]- (9CI) (CA INDEX NAME)

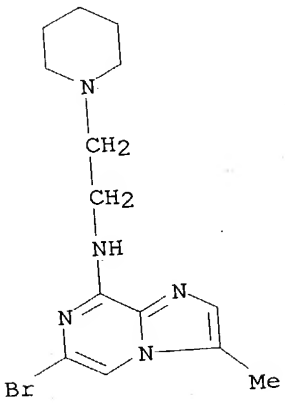


RN 615535-49-4 CAPLUS
CN Phenol, 3-[[5-chloro-3-methyl-8-[[2-(phenylmethoxy)ethyl]amino]imidazo[1,2-a]pyrazin-6-yl]ethynyl]- (9CI) (CA INDEX NAME)

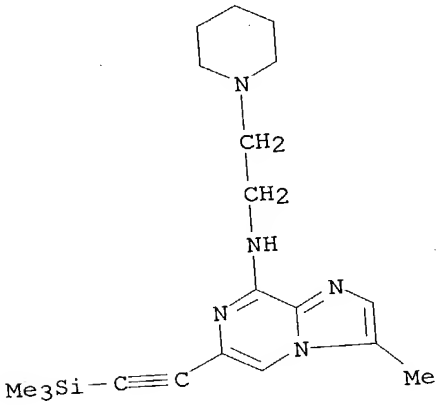


RN 615535-52-9 CAPLUS

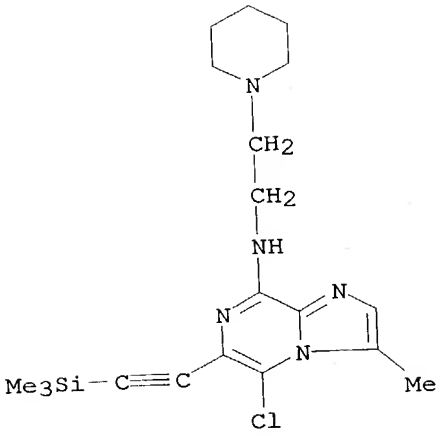
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-methyl-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 615535-53-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-methyl-N-[2-(1-piperidinyl)ethyl]-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 615535-54-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 5-chloro-3-methyl-N-[2-(1-piperidinyl)ethyl]-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

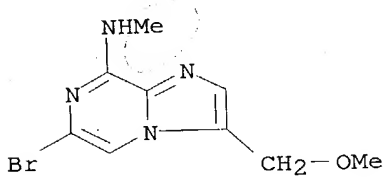
L4 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:491029 CAPLUS
DN 139:63337
TI Use of selective phosphodiesterase 5 (PDE5) inhibitors in the treatment of pulmonary diseases having a ventilation-perfusion mismatch
IN Ghofrani, Ardeschir; Grimminger, Friedrich Josef; Schudt, Christian
PA Altana Pharma AG, Germany
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003051346	A2	20030626	WO 2002-EP14279	20021214
	W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
PRAI	EP 2001-129951	A	20011217		
	EP 2002-9555	A	20020426		
	EP 2002-23936	A	20021025		

AB The invention discloses the use of PDE5 inhibitors for the treatment of patients having a pulmonary disorder in which in which a pulmonary ventilation-pulmonary perfusion mismatch is present.

IT **193291-93-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 5 inhibitors for treatment of pulmonary disease with ventilation-perfusion mismatch)

RN 193291-93-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(methoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



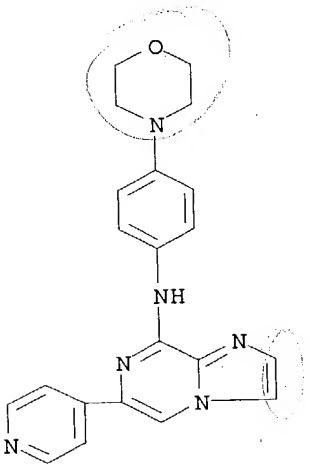
L4 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594712 CAPLUS
DN 137:150267
TI Methods using pyrazine compounds and pyridine compounds for inhibiting JAK kinases, compound preparation, and therapeutic use
IN Burns, Christopher John; Wilks, Andrew Frederick
PA Cytopia Pty. Ltd., Australia
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060492	A1	20020808	WO 2002-AU89	20020130
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1363702	A1	20031126	EP 2002-715984	20020130
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	AU 2001-2792	A	20010130		
	AU 2001-2793	A	20010130		
	WO 2002-AU89	W	20020130		

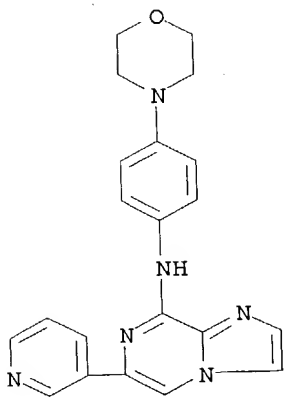
OS MARPAT 137:150267
AB The invention provides methods of inhibiting JAK kinases involving the use of a group of compds. based either upon a 2-amino-6-carba-disubstituted pyrazine scaffold or a 2-amino-6-carba-disubstituted pyridine scaffold. The invention also provides methods of treating JAK-assocd. disease states.
IT **445263-56-9 445263-57-0 445263-58-1 445263-59-2 445263-60-5 445263-61-6 445263-62-7 445263-63-8 445263-64-9 445263-65-0 445263-66-1 445263-68-3 445263-69-4 445263-71-8 445263-72-9 445263-73-0 445263-74-1 445263-75-2 445263-76-3 445263-77-4 445263-78-5 445263-79-6 445263-80-9 445263-81-0 445263-82-1 445263-83-2 445263-84-3 445263-85-4 445263-87-6 445263-88-7 445263-89-8 445263-90-1 445263-91-2 445263-92-3 445263-94-5 445263-95-6 445263-96-7 445263-97-8 445263-98-9 445263-99-0 445264-00-6 445264-01-7 445264-02-8 445264-03-9 445264-04-0 445264-05-1 445264-06-2 445264-08-4 445264-09-5 445264-10-8 445264-12-0 445264-13-1 445264-14-2 445264-15-3 445264-16-4 445264-17-5 445264-18-6 445264-19-7 445264-21-1 445264-22-2 445264-23-3 445264-24-4 445264-25-5**

445264-26-6 445264-27-7 445264-28-8
445264-29-9 445264-30-2 445264-31-3
445264-32-4 445264-33-5 445264-34-6
445264-35-7 445264-36-8 445264-37-9
445264-38-0 445264-39-1 445264-40-4
445264-41-5 445264-42-6 445264-43-7
445264-44-8 445264-45-9 445264-46-0
445264-47-1 445264-48-2 445264-49-3
445264-50-6 445264-51-7 445264-52-8
445264-53-9 445264-54-0 445264-55-1
445264-56-2 445264-57-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazine compds. and pyridine compds. for inhibiting JAK kinases, compd. prepn., and therapeutic use)

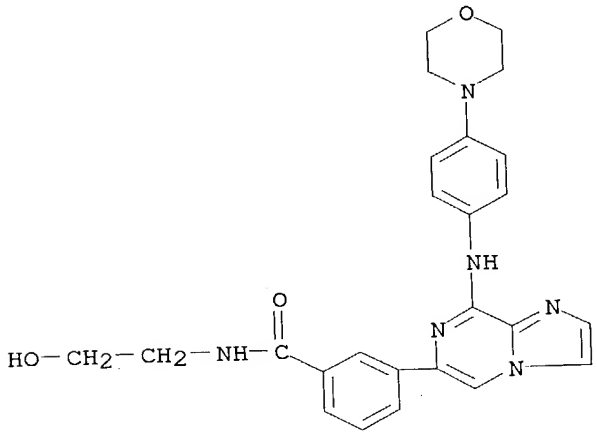
RN 445263-56-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[4-(4-morpholinyl)phenyl]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



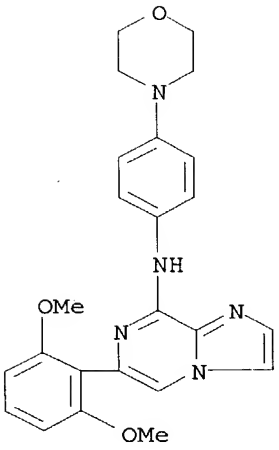
RN 445263-57-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[4-(4-morpholinyl)phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



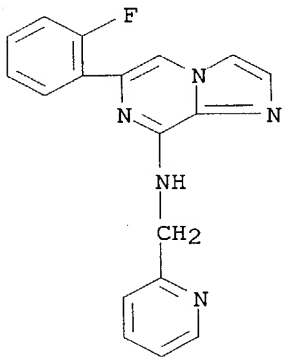
RN 445263-58-1 CAPLUS
CN Benzamide, N-(2-hydroxyethyl)-3-[8-[[4-(4-morpholinyl)phenyl]amino]imidazo [1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



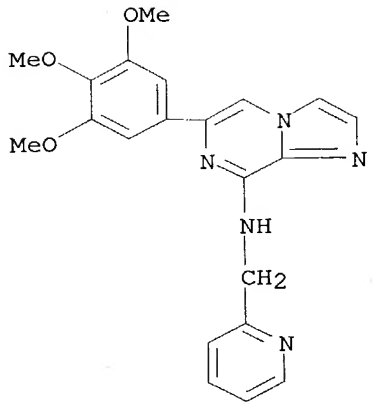
RN 445263-59-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2,6-dimethoxyphenyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



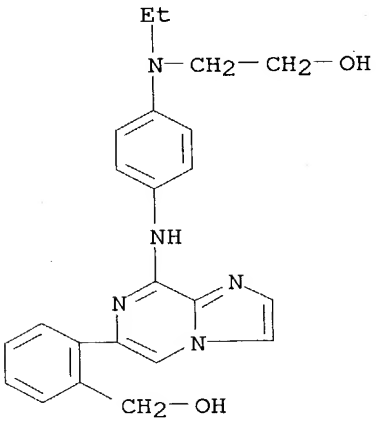
RN 445263-60-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-fluorophenyl)-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)



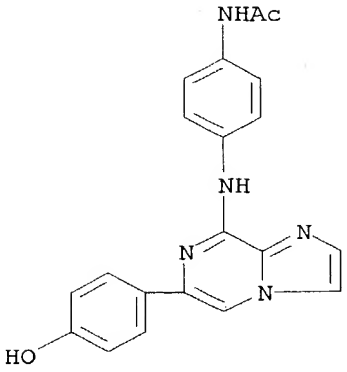
RN 445263-61-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-(2-pyridinylmethyl)-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



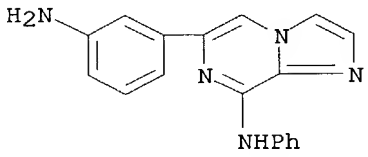
RN 445263-62-7 CAPLUS
CN Benzenemethanol, 2-[8-[[4-[ethyl(2-hydroxyethyl)amino]phenyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



RN 445263-63-8 CAPLUS
CN Acetamide, N-[4-[[6-(4-hydroxyphenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

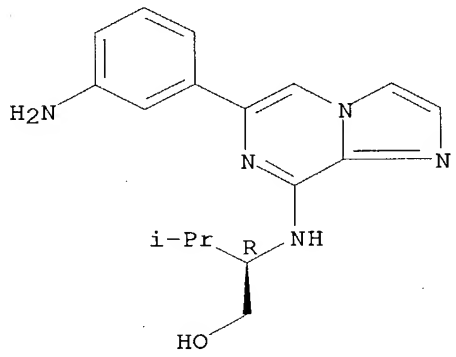


RN 445263-64-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(3-aminophenyl)-N-phenyl- (9CI) (CA INDEX NAME)



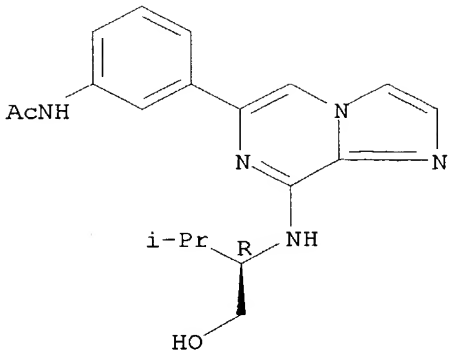
RN 445263-65-0 CAPLUS
CN 1-Butanol, 2-[[6-(3-aminophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

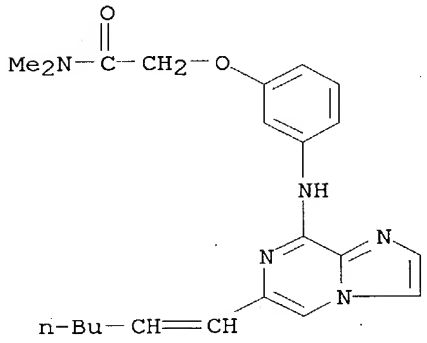


RN 445263-66-1 CAPLUS
CN Acetamide, N-[3-[8-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

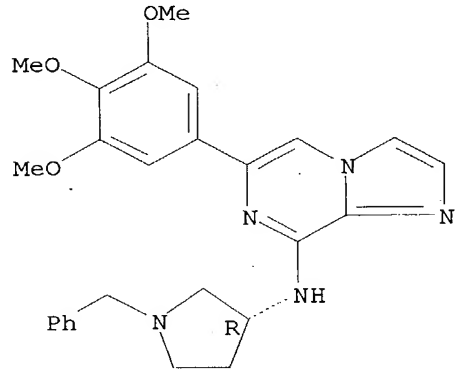


RN 445263-68-3 CAPLUS
CN Acetamide, 2-[3-[[6-(1-hexenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

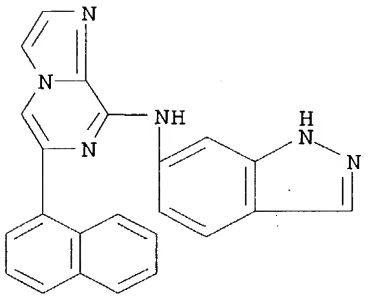


RN 445263-69-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

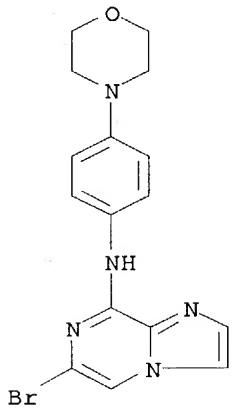
Absolute stereochemistry.



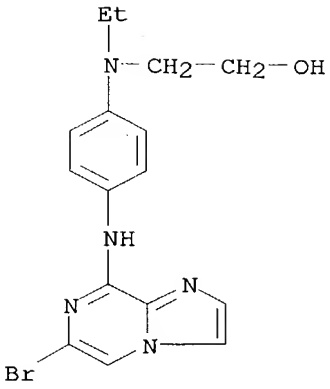
RN 445263-71-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-1H-indazol-6-yl-6-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



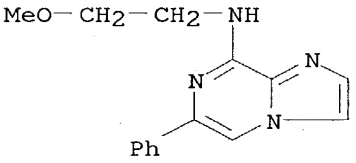
RN 445263-72-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



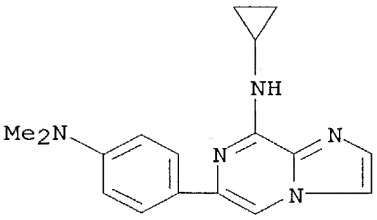
RN 445263-73-0 CAPLUS
CN Ethanol, 2-[[4-[(6-bromoimidazo[1,2-a]pyrazin-8-yl)amino]phenyl]ethylamino]- (9CI) (CA INDEX NAME)



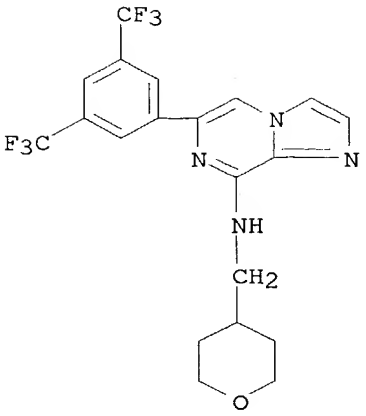
RN 445263-74-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-(2-methoxyethyl)-6-phenyl- (9CI) (CA INDEX NAME)



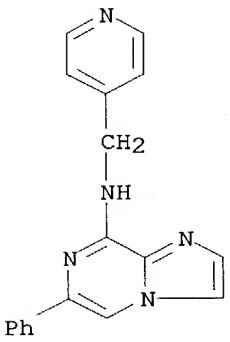
RN 445263-75-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-cyclopropyl-6-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)



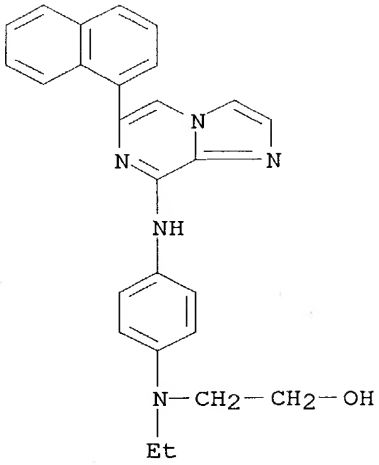
RN 445263-76-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-[3,5-bis(trifluoromethyl)phenyl]-N-[(tetrahydro-2H-pyran-4-yl)methyl]- (9CI) (CA INDEX NAME)



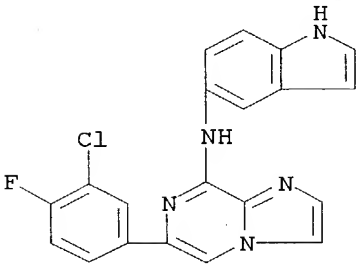
RN 445263-77-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



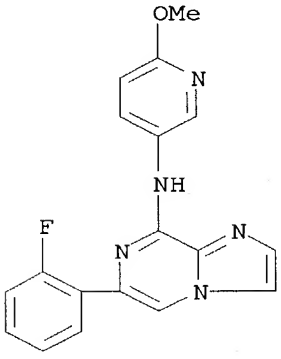
RN 445263-78-5 CAPLUS
CN Ethanol, 2-[ethyl[4-[[6-(1-naphthalenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]amino]- (9CI) (CA INDEX NAME)



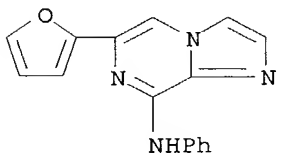
RN 445263-79-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(3-chloro-4-fluorophenyl)-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



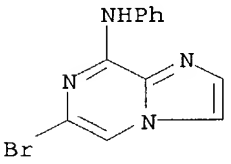
RN 445263-80-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-fluorophenyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



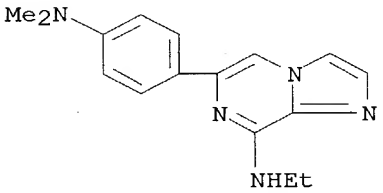
RN 445263-81-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-furanyl)-N-phenyl- (9CI) (CA INDEX NAME)



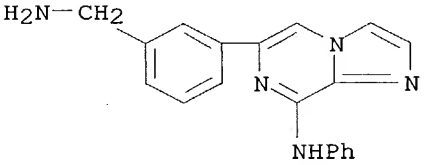
RN 445263-82-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-phenyl- (9CI) (CA INDEX NAME)



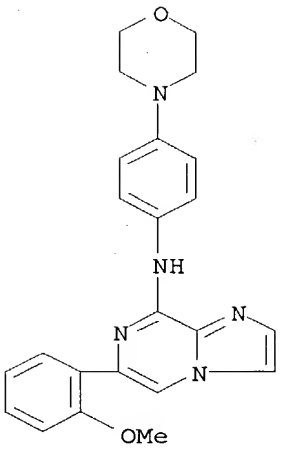
RN 445263-83-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-[4-(dimethylamino)phenyl]-N-ethyl- (9CI) (CA INDEX NAME)



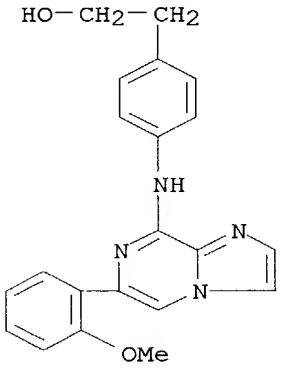
RN 445263-84-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-[3-(aminomethyl)phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



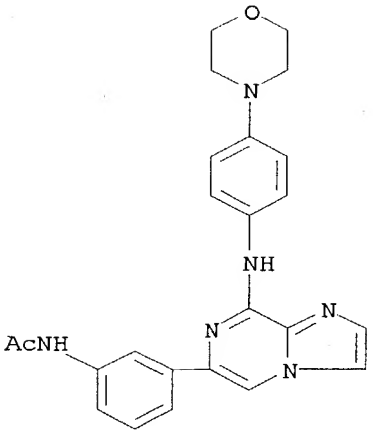
RN 445263-85-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-methoxyphenyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



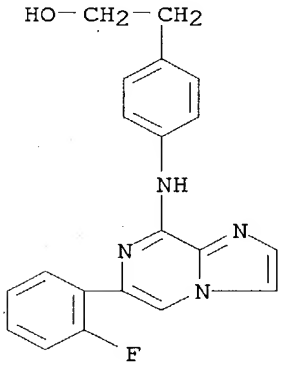
RN 445263-87-6 CAPLUS
CN Benzeneethanol, 4-[[6-(2-methoxyphenyl)imidazo[1,2-a]pyrazin-8-yl]amino]- (9CI) (CA INDEX NAME)



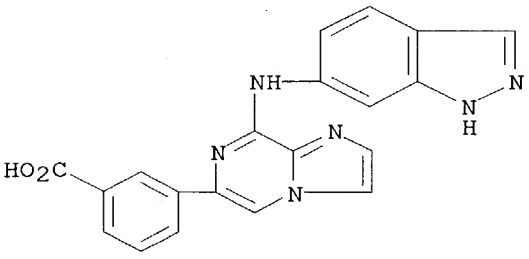
RN 445263-88-7 CAPLUS
CN Acetamide, N-[3-[8-[[4-(4-morpholinyl)phenyl]amino]imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



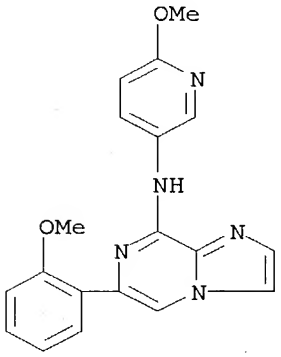
RN 445263-89-8 CAPLUS
CN Benzeneethanol, 4-[[6-(2-fluorophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]-
(9CI) (CA INDEX NAME)



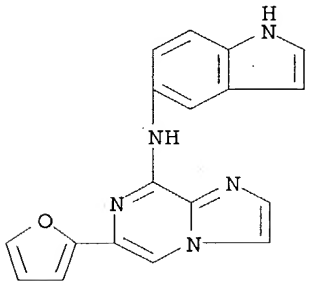
RN 445263-90-1 CAPLUS
CN Benzoic acid, 3-[8-(1H-indazol-6-ylamino)imidazo[1,2-a]pyrazin-6-yl]-
(9CI) (CA INDEX NAME)



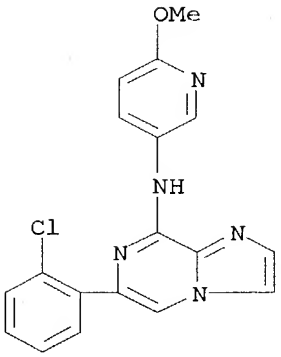
RN 445263-91-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-methoxyphenyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



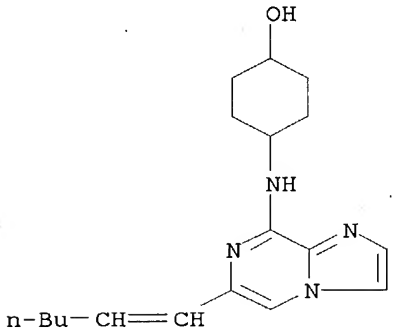
RN 445263-92-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-furanyl)-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



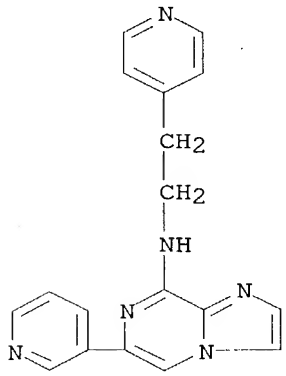
RN 445263-94-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-chlorophenyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



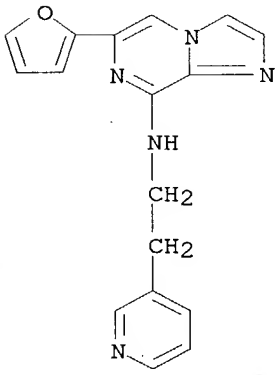
RN 445263-95-6 CAPLUS
CN Cyclohexanol, 4-[[6-(1-hexenyl)imidazo[1,2-a]pyrazin-8-yl]amino]- (9CI) (CA INDEX NAME)



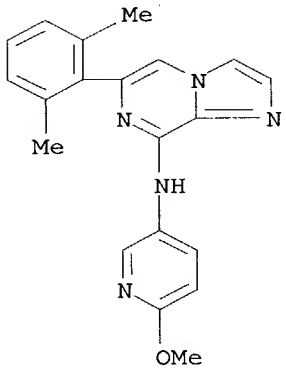
RN 445263-96-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(3-pyridinyl)-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 445263-97-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-furanyl)-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

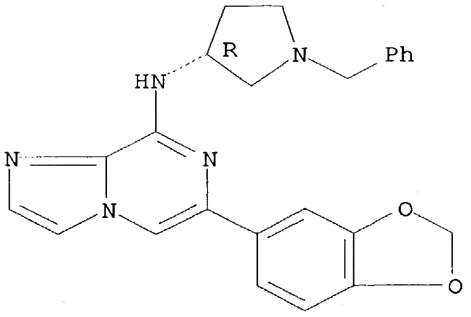


RN 445263-98-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2,6-dimethylphenyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

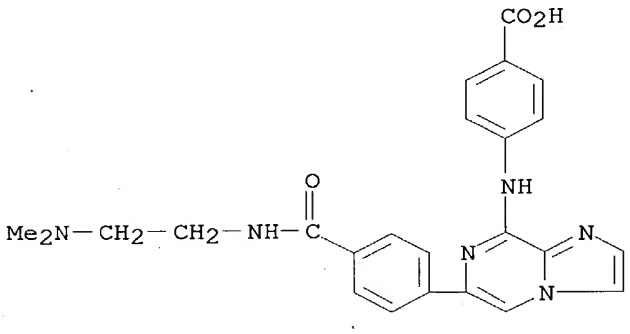


RN 445263-99-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1,3-benzodioxol-5-yl)-N-[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

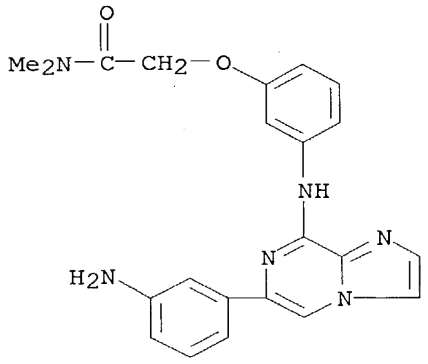
Absolute stereochemistry.



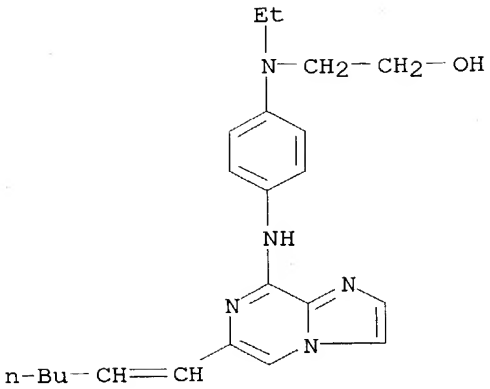
RN 445264-00-6 CAPLUS
CN Benzoic acid, 4-[[6-[4-[[[2-(dimethylamino)ethyl]amino]carbonyl]phenyl]imidazo[1,2-a]pyrazin-8-yl]amino]- (9CI) (CA INDEX NAME)



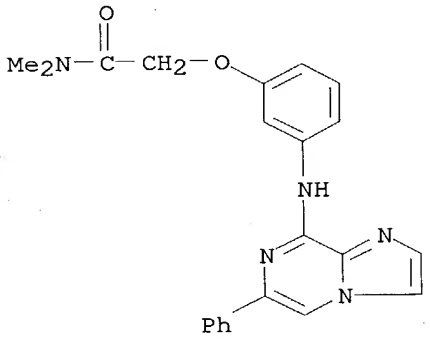
RN 445264-01-7 CAPLUS
CN Acetamide, 2-[3-[[6-(3-aminophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



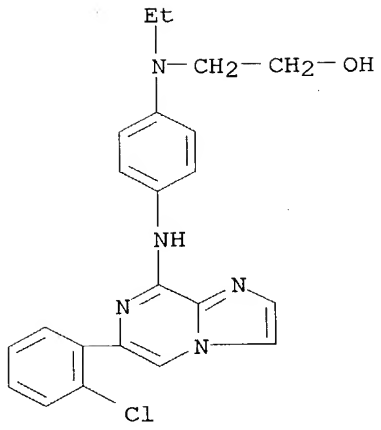
RN 445264-02-8 CAPLUS
CN Ethanol, 2-[ethyl[4-[[6-(1-hexenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]amino]- (9CI) (CA INDEX NAME)



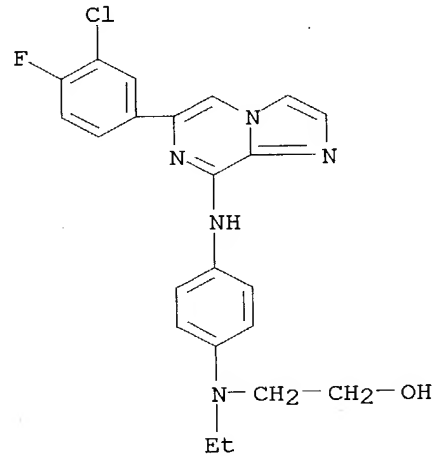
RN 445264-03-9 CAPLUS
CN Acetamide, N,N-dimethyl-2-[3-[(6-phenylimidazo[1,2-a]pyrazin-8-yl)amino]phenoxy]- (9CI) (CA INDEX NAME)



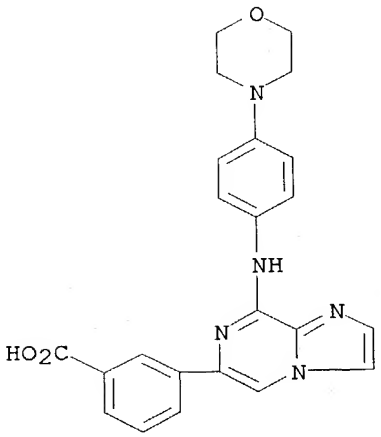
RN 445264-04-0 CAPLUS
CN Ethanol, 2-[[4-[[6-(2-chlorophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]ethylamino]- (9CI) (CA INDEX NAME)



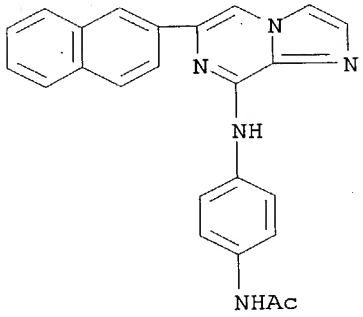
RN 445264-05-1 CAPLUS
CN Ethanol, 2-[[4-[[6-(3-chloro-4-fluorophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]ethylamino]- (9CI) (CA INDEX NAME)



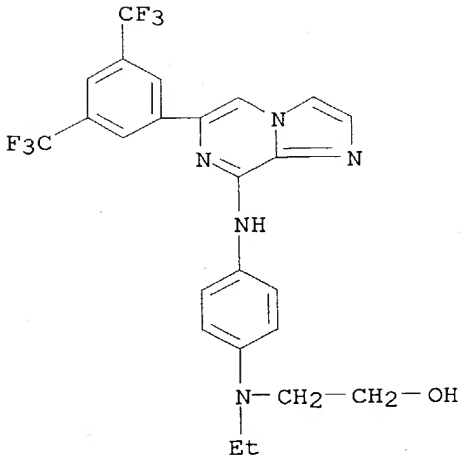
RN 445264-06-2 CAPLUS
CN Benzoic acid, 3-[8-[[4-(4-morpholinyl)phenyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



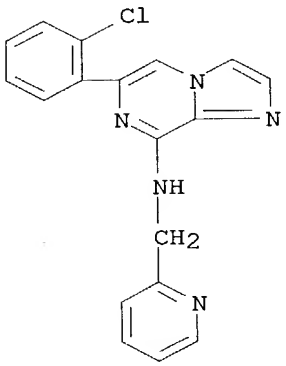
RN 445264-08-4 CAPLUS
CN Acetamide, N-[4-[[6-(2-naphthalenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



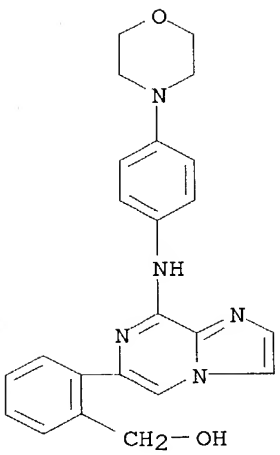
RN 445264-09-5 CAPLUS
CN Ethanol, 2-[[4-[[6-[3,5-bis(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]ethylamino]- (9CI) (CA INDEX NAME)



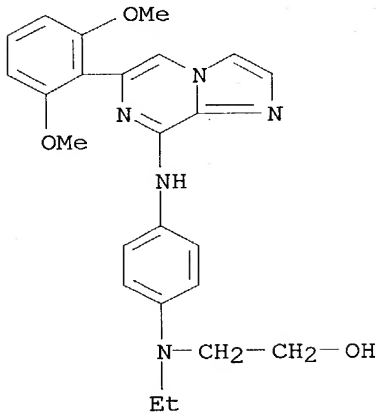
RN 445264-10-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-chlorophenyl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



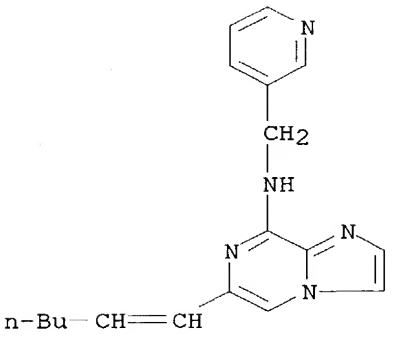
RN 445264-12-0 CAPLUS
CN Benzenemethanol, 2-[8-[[4-(4-morpholinyl)phenyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



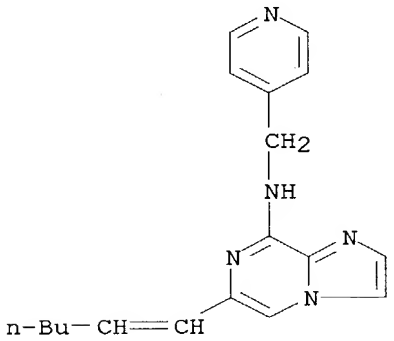
RN 445264-13-1 CAPLUS
CN Ethanol, 2-[[4-[[6-(2,6-dimethoxyphenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]ethylamino]- (9CI) (CA INDEX NAME)



RN 445264-14-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1-hexenyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

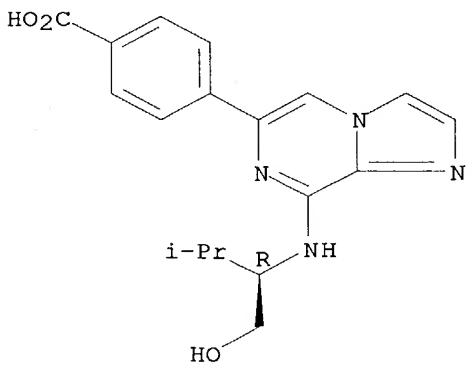


RN 445264-15-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1-hexenyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

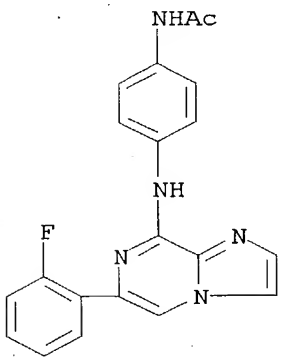


RN 445264-16-4 CAPLUS
CN Benzoic acid, 4-[8-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)

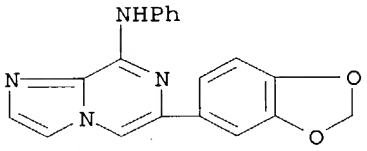
Absolute stereochemistry.



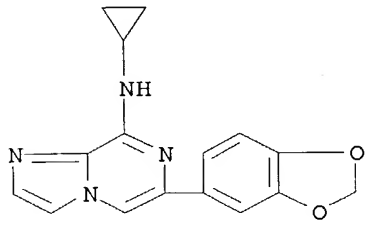
RN 445264-17-5 CAPLUS
CN Acetamide, N-[4-[[6-(2-fluorophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



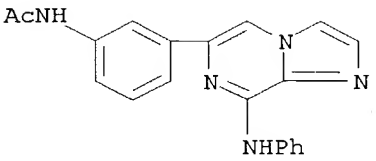
RN 445264-18-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1,3-benzodioxol-5-yl)-N-phenyl- (9CI) (CA INDEX NAME)



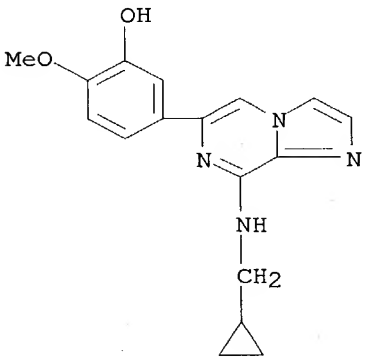
RN 445264-19-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1,3-benzodioxol-5-yl)-N-cyclopropyl- (9CI) (CA INDEX NAME)



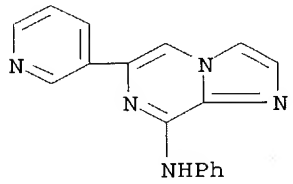
RN 445264-21-1 CAPLUS
CN Acetamide, N-[3-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]phenyl]- (9CI) (CA INDEX NAME)



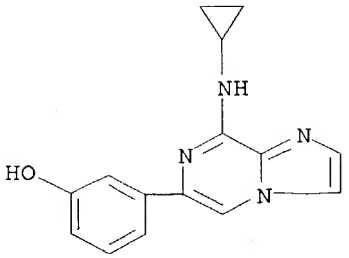
RN 445264-22-2 CAPLUS
CN Phenol, 5-[8-[(cyclopropylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]-2-methoxy- (9CI) (CA INDEX NAME)



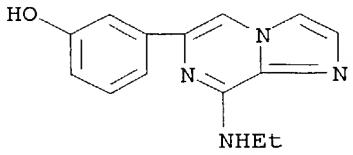
RN 445264-23-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-phenyl-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



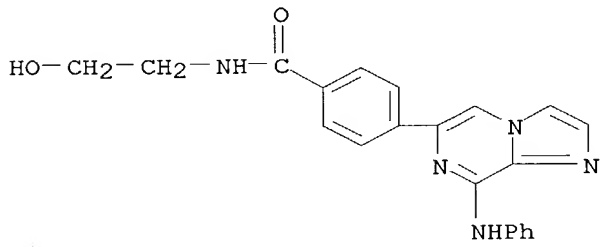
RN 445264-24-4 CAPLUS
CN Phenol, 3-[8-(cyclopropylamino)imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



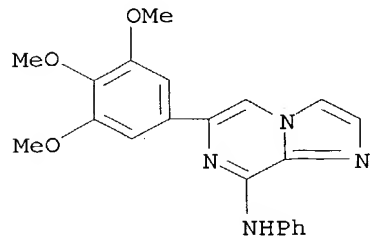
RN 445264-25-5 CAPLUS
CN Phenol, 3-[8-(ethylamino)imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



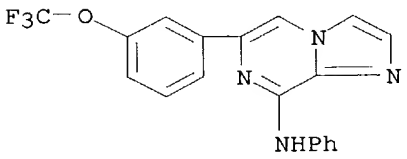
RN 445264-26-6 CAPLUS
CN Benzamide, N-(2-hydroxyethyl)-4-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



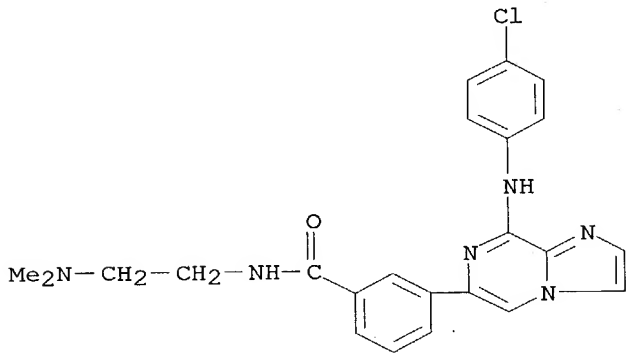
RN 445264-27-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-phenyl-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



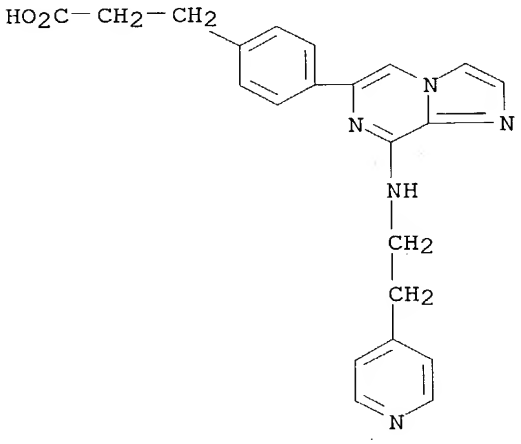
RN 445264-28-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-phenyl-6-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



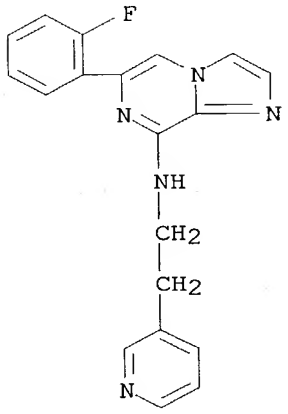
RN 445264-29-9 CAPLUS
CN Benzamide, 3-[8-[(4-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



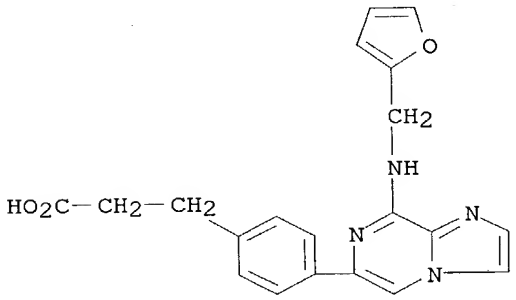
RN 445264-30-2 CAPLUS
CN Benzenepropanoic acid, 4-[8-[[2-(4-pyridinyl)ethyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



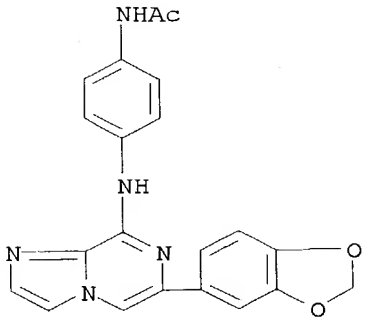
RN 445264-31-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-fluorophenyl)-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



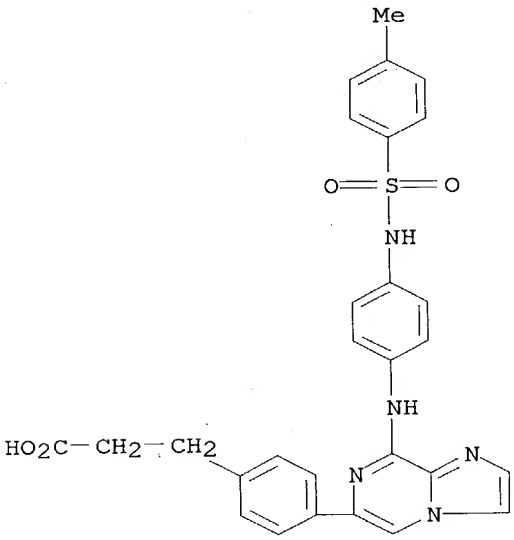
RN 445264-32-4 CAPLUS
CN Benzenepropanoic acid, 4-[8-[(2-furanylmethyl)amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



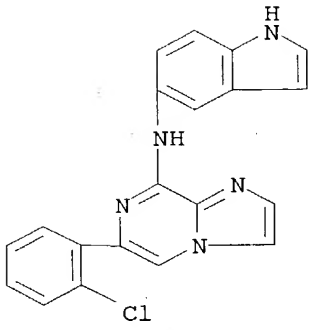
RN 445264-33-5 CAPLUS
CN Acetamide, N-[4-[[6-(1,3-benzodioxol-5-yl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



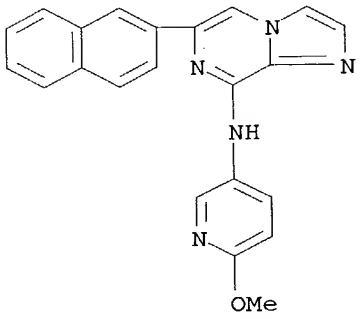
RN 445264-34-6 CAPLUS
CN Benzenepropanoic acid, 4-[8-[[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



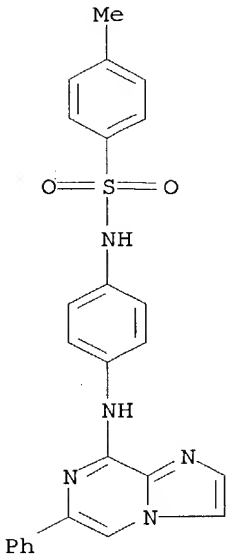
RN 445264-35-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-chlorophenyl)-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



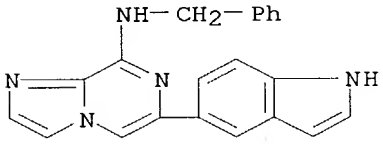
RN 445264-36-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-(6-methoxy-3-pyridinyl)-6-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



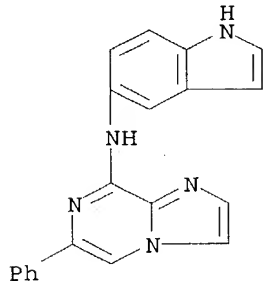
RN 445264-37-9 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-[4-[(6-phenylimidazo[1,2-a]pyrazin-8-yl)amino]phenyl]- (9CI) (CA INDEX NAME)



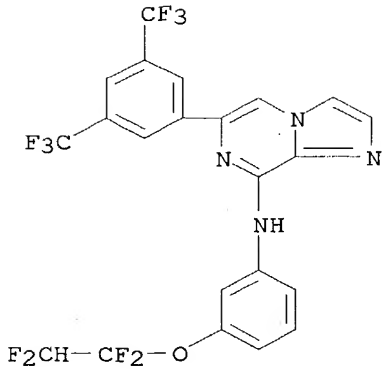
RN 445264-38-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1H-indol-5-yl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



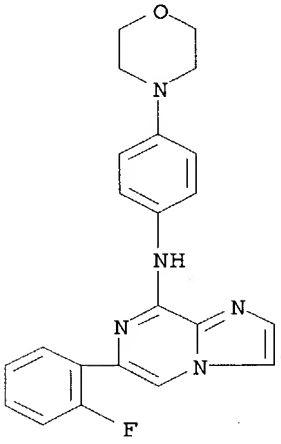
RN 445264-39-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-1H-indol-5-yl-6-phenyl- (9CI) (CA INDEX NAME)



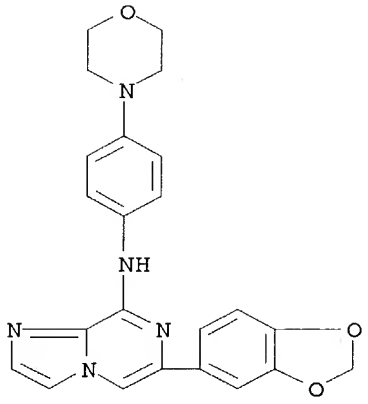
RN 445264-40-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-[3,5-bis(trifluoromethyl)phenyl]-N-[3-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)



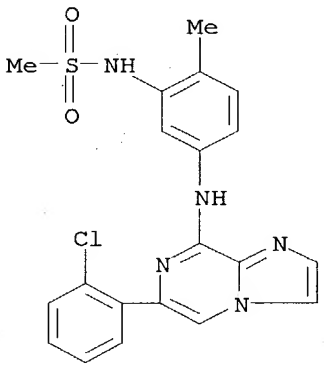
RN 445264-41-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(2-fluorophenyl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



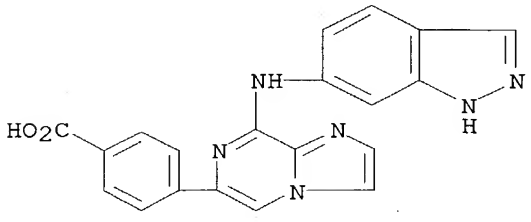
RN 445264-42-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1,3-benzodioxol-5-yl)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



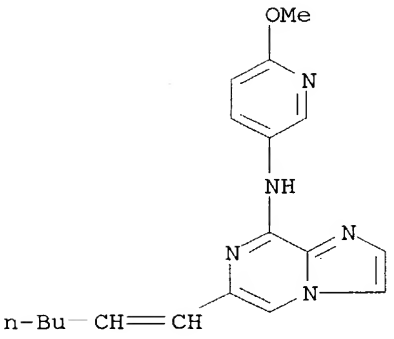
RN 445264-43-7 CAPLUS
CN Methanesulfonamide, N-[5-[[6-(2-chlorophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



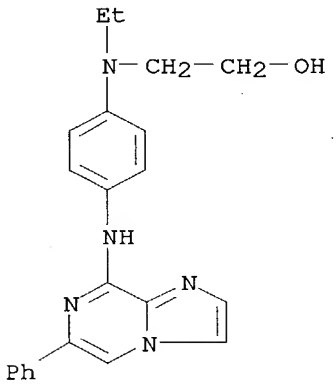
RN 445264-44-8 CAPLUS
CN Benzoic acid, 4-[8-(1H-indazol-6-ylamino)imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



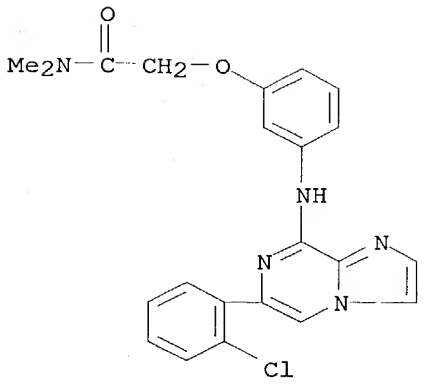
RN 445264-45-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(1-hexenyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



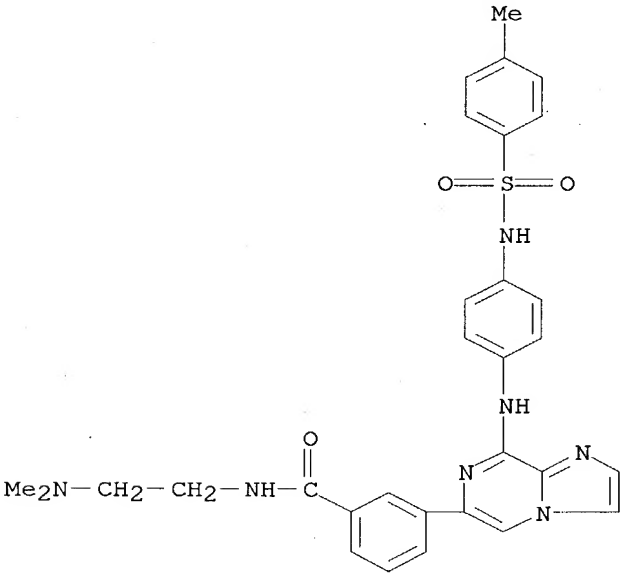
RN 445264-46-0 CAPLUS
CN Ethanol, 2-[ethyl[4-[(6-phenylimidazo[1,2-a]pyrazin-8-yl)amino]phenyl]amino]- (9CI) (CA INDEX NAME)



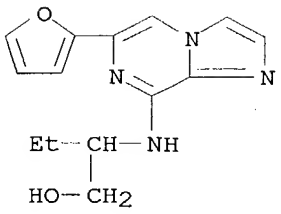
RN 445264-47-1 CAPLUS
CN Acetamide, 2-[3-[[6-(2-chlorophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)



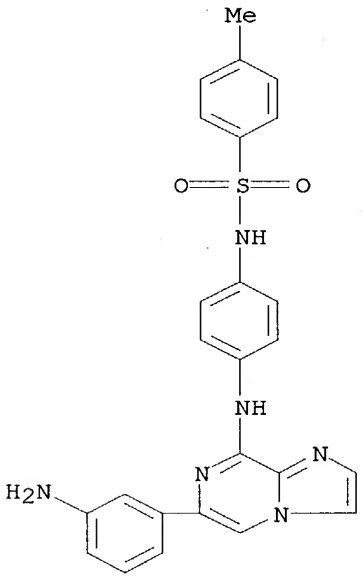
RN 445264-48-2 CAPLUS
CN Benzamide, N-[2-(dimethylamino)ethyl]-3-[8-[[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



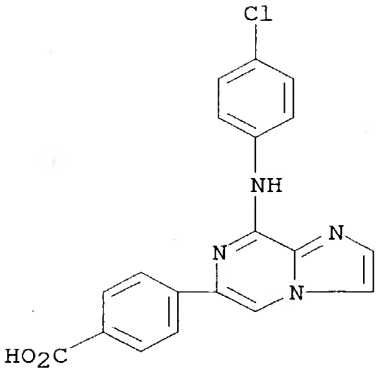
RN 445264-49-3 CAPLUS
CN 1-Butanol, 2-[[6-(2-furanyl)imidazo[1,2-a]pyrazin-8-yl]amino]- (9CI) (CA INDEX NAME)



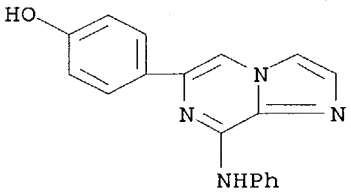
RN 445264-50-6 CAPLUS
CN Benzenesulfonamide, N-[4-[[6-(3-aminophenyl)imidazo[1,2-a]pyrazin-8-yl]amino]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



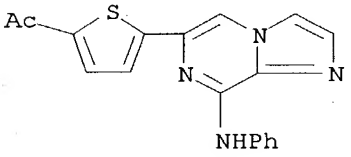
RN 445264-51-7 CAPLUS
CN Benzoic acid, 4-[8-[(4-chlorophenyl)amino]imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



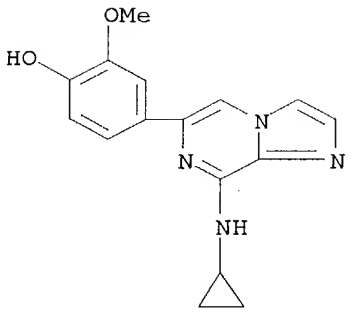
RN 445264-52-8 CAPLUS
CN Phenol, 4-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



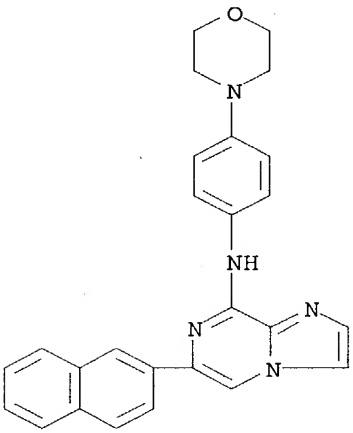
RN 445264-53-9 CAPLUS
CN Ethanone, 1-[5-[8-(phenylamino)imidazo[1,2-a]pyrazin-6-yl]-2-thienyl]- (9CI) (CA INDEX NAME)



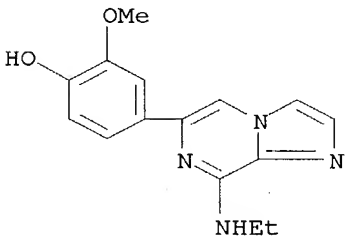
RN 445264-54-0 CAPLUS
CN Phenol, 4-[8-(cyclopropylamino)imidazo[1,2-a]pyrazin-6-yl]-2-methoxy- (9CI) (CA INDEX NAME)



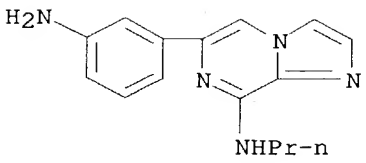
RN 445264-55-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-[4-(4-morpholinyl)phenyl]-6-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 445264-56-2 CAPLUS
CN Phenol, 4-[8-(ethylamino)imidazo[1,2-a]pyrazin-6-yl]-2-methoxy- (9CI) (CA INDEX NAME)

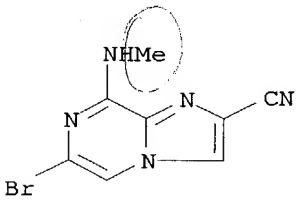


RN 445264-57-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-(3-aminophenyl)-N-propyl- (9CI) (CA INDEX NAME)



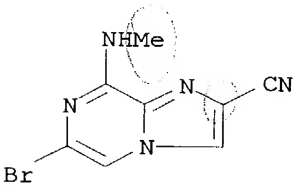
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:442792 CAPLUS
DN 131:280802
TI Cyclic nucleotide phosphodiesterases inhibitors and bronchodilatation: the SCA40 case
AU Bonnet, Pierre A.; Bompart, Jacques; Vitse, Olivier; Fabreguettes, Jean-Roch; Benezech, Veronique; Subra, Guy; Viols, Henri; Laurent, Florence; Michel, Alain; Escale, Roger; Chapat, Jean Pierre
CS Pharmacochimie and Biomolecules, Laboratoire Chimie Organique Pharmaceutique, Faculte de Pharmacie, Montpellier, 34060, Fr.
SO Actualites de Chimie Therapeutique (1998), 24, 49-60
CODEN: ACHTD9; ISSN: 0338-8999
PB Editions Scientifiques et Medicales Elsevier
DT Journal; General Review
LA English
AB A review with 33 refs.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclic nucleotide phosphodiesterases inhibitors and bronchodilatation)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



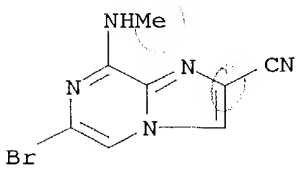
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:508533 CAPLUS
DN 129:225497
TI Phosphodiesterase III and V inhibitors on pulmonary artery from pulmonary hypertensive rats: differences between early and established pulmonary hypertension
AU Jeffery, Trina K.; Wanstall, Janet C.
CS Pulmonary Pharmacology Group, Department of Physiology and Pharmacology, The University of Queensland, Queensland, 4072, Australia
SO Journal of Cardiovascular Pharmacology (1998), 32(2), 213-219
CODEN: JCPCDT; ISSN: 0160-2446
PB Lippincott-Raven Publishers
DT Journal
LA English
AB Milrinone and 6-bromo-8(methylamino)imidazo[1,2a]pyrazine-2-carbonitrile [SCA40; phosphodiesterase (PDE) III inhibitors], zaprinast (PDE V inhibitor), and 3-isobutyl-1-methylxanthine (IBMX; nonselective PDE inhibitor) were examd. on main pulmonary arteries from control rats and rats exposed to hypoxia (10% O2; 1 or 4 wk) to induce pulmonary hypertension. Each drug fully relaxed prepns. precontracted submaximally with phenylephrine. In the absence of endothelium or the presence of the nitric oxide synthase inhibitor, L-NAME, responses to zaprinast, but not the other drugs, were reduced but not abolished. The potencies [neg. log median effective concn. (EC50)] of the drugs in 4-wk hypoxic rats (established pulmonary hypertension; zaprinast, 5.60; milrinone, 5.64; SCA40, 6.41; IBMX, 5.38) were not different from corresponding control values (6.05; 5.88; 6.65; 5.64) but in early pulmonary hypertension (1-wk hypoxic rats), all except IBMX had reduced potency. The potency of the adenylate cyclase activator, forskolin, was reduced in arteries from both groups of rats. In early, but not established, pulmonary hypertension, arteries had inherent tone, spontaneous contractions, and diminished endothelial function. In established, but not early, pulmonary hypertension, arteries had increased overall contractile ability. It is concluded that (a) PDE V inhibitors require cGMP produced by endothelial nitric oxide for optimal effect, (b) the potencies of PDE III and V inhibitors are not compromised in established pulmonary hypertension, and (c) data on pulmonary vascular function obtained in 1-wk hypoxic rats do not necessarily reflect data in rats exposed to hypoxia for longer periods.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effects of phosphodiesterase III and V inhibitors on pulmonary artery from pulmonary hypertensive rats)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD

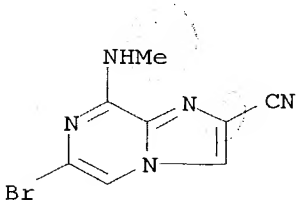
L4 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:493087 CAPLUS
DN 129:225482
TI Vasorelaxant effects of SCA40 (a phosphodiesterase III inhibitor) in pulmonary vascular preparations in rats
AU Crilley, Trina K.; Wanstall, Janet C.; Bonnet, Pierre-Antoine
CS Pulmonary Pharmacology Group, Department of Physiology and Pharmacology, The University of Queensland, St Lucia, QLD 4072, Australia
SO Clinical and Experimental Pharmacology and Physiology (1998), 25(5), 355-360
CODEN: CEXPB9; ISSN: 0305-1870
PB Blackwell Science Pty Ltd.
DT Journal
LA English
AB 1. The novel phosphodiesterase (PDE) inhibitor SCA40 (6-bromo-8(methylamino)imidazo[1,2-a]pyrazine-2-carbonitrile) was examd. for its vasorelaxant activity on isolated pulmonary vascular prepns. from rats. 2. SCA40 relaxed ring prepns. of main and intralobar pulmonary artery precontracted submaximally with either phenylephrine or U46619 (thromboxane-mimetic). Based on neg. log EC50 values, SCA40 was six- to 14-fold more potent than the PDE III inhibitor milrinone or the non-selective PDE inhibitor 3-isobutyl-1-Me xanthine (IBMX). The potency of SCA40 corresponded to its reported potency as a PDE III inhibitor. 3. In isolated perfused lungs, SCA40 reversed the vasoconstriction induced by alveolar hypoxia. It was 49-fold more potent than IBMX. 4. In main pulmonary artery the vasorelaxation induced by SCA40 was not blocked by the large-conductance calcium-activated potassium channel (BKCa) inhibitors iberiotoxin (50 and 100 nmol/L) or charybdotoxin (100 and 300 nmol/L). This was in contrast to data on guinea-pig trachea, where responses to SCA40 were significantly inhibited by charybdotoxin (100 nmol/L). 5. It is concluded that opening of BKCa channels does not contribute to the pulmonary vasorelaxant effects of SCA40 in main pulmonary artery and it is likely that responses reflect the PDE III inhibitory properties of the drug. 6. It is postulated that SCA40 may be useful as a pulmonary vasodilator in disorders such as pulmonary hypertension.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vasorelaxant effects of SCA40 (a phosphodiesterase III inhibitor) in pulmonary vascular prepns. in rats)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

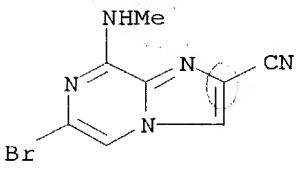
L4 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:753975 CAPLUS
DN 128:123641
TI Bronchodilator and anti-inflammatory activities of SCA40: Studies in human isolated bronchus, human eosinophils, and in the guinea-pig in vivo
AU Cortijo, J.; Pons, R.; Dasi, F.; Marin, N.; Martinez-Losa, M.; Advenier, C.; Morcillo, E. J.
CS Facultad de Medicina y Odontologia, Departamento de Farmacologia, Universitat de Valencia, Valencia, E-46010, Spain
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1997), 356(6), 806-814
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer-Verlag
DT Journal
LA English
AB There is currently interest in the use of inhibitors of cyclic nucleotide phosphodiesterases (PDE) as potential anti-asthma agents. In this study we examd. the effects of SCA40 (6-bromo-8-methylaminoimidazol[1,2-a]pyrazine-2-carbonitrile), a preferential inhibitor of PDE 3 also endowed with PDE 4 and 5 inhibitory activities, on isolated bronchus and eosinophil functions and in an animal model of asthma. SCA40 (1 nM-0.1 mM) produced concn.-dependent inhibition of spontaneous and stimulated tone of human isolated bronchus and reached a maximal relaxation similar to that of theophylline (3 mM). The potency (-log EC50 values) of SCA40 against spontaneous tone (6.52) was greater than against tone raised by equieffective concns. (.apprx. 70) of histamine (5.76), leukotriene C4 (5.44), and acetylcholine (4.98). In the presence of cytochalasin B, the chemotactic peptide N-formyl-L-methionyl-L-leucyl-L-phenylalanine (fMLP; 0.5 .mu.M) induced leukotriene C4 prodn. in human eosinophils isolated in discontinuous metrizamide gradients. The prodn. of leukotriene C4 was inhibited by SCA40 in a concn.-related fashion (-log IC50 = 6.04). Rolipram, a selective PDE 4 inhibitor, was also effective (-log IC50 = 7.29) but the selective PDE 3 inhibitor SKF94120 was scarcely effective (< 10 inhibition for 10 .mu.M). In ovalbumin sensitized guinea-pigs, SCA40 (1 mg kg-1, i.p.) given 30 min before antigen challenge significantly inhibited the acute bronchoconstriction produced by aerosol antigen (5 mg ml-1, 30 s) (antigen response was 185 and 91 cmH2O l-1 s-1 in control and SCA40-treated animals, resp.). Pretreatment with SCA40 (1 mg kg-1, i.p., 30 min pre- and 3 h post-antigen exposure) prevented airway hyperreactivity to histamine which developed 24 h after exposure of conscious guinea-pigs to aerosol antigen. Eosinophil lung accumulation that accompanied airway hyperreactivity was also inhibited by SCA40 (from 6.15 in control to 1.27 in treated animals; expressed as eosinophils .times. 106). SCA40 (1 mg kg-1, i.p.) also inhibited the microvascular leakage produced after inhaled antigen (5 mg ml-1, 30 s) at all airway levels. The hemodynamic effects of SCA40 (1 mg kg-1, i.p.) consisted of a rapid decrease (peak at 5 min) in mean arterial blood pressure (-39.4) and tracheal mucosal blood flow (-13.5) that slowly recovered with time. These data support previous work showing that PDE inhibition results in anti-spasmogenic and anti-inflammatory effects. SCA40 was effective in vitro and in vivo and these effects are probably related to its activity as a mixed PDE inhibitor.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bronchodilator and anti-inflammatory activities of SCA40: studies in human isolated bronchus, human eosinophils, and in the guinea-pig in vivo)

RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

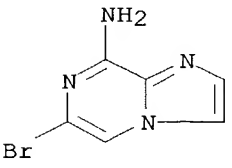


RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:646523 CAPLUS
DN 127:326280
TI Effects of SCA40 on bovine trachealis muscle and on cyclic nucleotide phosphodiesterases
AU Pocock, Tristan M.; Laurent, Florence; Isaac, Lynne M.; Chiu, Peter; Elliott, Keith R. F.; Foster, Robert W.; Michel, Alain; Bonnet, Pierre-Antoine; Small, Roger C.
CS School of Biological Sciences, University of Manchester, Oxford Road, Room G38, Manchester, M13 9PT, UK
SO European Journal of Pharmacology (1997), 334(1), 75-85
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier
DT Journal
LA English
AB While UK-93,928 (1-[[3-(6,9-dihydro-6-oxo-9-propyl-1H-purin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine; 5 nM-5 .mu.M) was devoid of relaxant activity, benzafentrine, isoprenaline, levcromakalim and SCA40 (6-bromo-8-methylaminoimidazo[1,2-a]pyrazine-2-carbonitrile) each relaxed histamine (460 .mu.M)-precontracted bovine isolated trachealis. Each of these relaxants was antagonized by a K+-rich (80 mM) medium. Except in the case of levcromakalim, nifedipine (1 .mu.M) offset this antagonism. Charybdotoxin (100 nM) antagonized isoprenaline in a nifedipine-sensitive manner but did not antagonize SCA40 or benzafentrine. Iberiotoxin (100 nM) did not antagonize SCA40. Acting on tissue precontracted with carbachol, SCA40 potentiated isoprenaline but did not potentiate sodium nitroprusside. While levcromakalim (1 and 10 .mu.M) induced hyperpolarization, SCA40 (1 and 10 .mu.M) induced little change in the membrane potential of bovine trachealis. In trachealis preloaded with 86Rb+, levcromakalim (1 and 10 .mu.M) promoted efflux of the radiotracer while SCA40 (1 and 10 .mu.M) had no effect. Tested as an inhibitor of isoenzymes of cyclic nucleotide phosphodiesterase, SCA40 was most potent against the type III, less potent against the type IV and least potent against the type I isoenzyme. It is concluded that neither inhibition of phosphodiesterase type V nor the promotion of BKCa channel opening explains the tracheal smooth muscle relaxant activity of SCA40. This compd. relaxes bovine tracheal smooth muscle mainly by inhibiting phosphodiesterase isoenzyme types III and IV.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(mechanism of trachea relaxation by SCA40 and role of cyclic nucleotide phosphodiesterase types III and IV)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

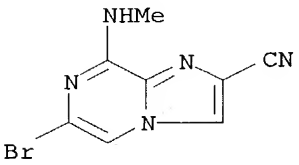


L4 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:573167 CAPLUS
DN 127:257111
TI Antiproliferative effects of imidazo[1,2-a]pyrazine derivatives on the dami cell line
AU Zurbonsen, Katja; Michel, Alain; Vittet, Daniel; Bonnet, Pierre-Antoine; Chevillard, Claude
CS INSERM U.300, FACULTE DE PHARMACIE, MONTPELLIER, 34060, Fr.
SO Biochemical Pharmacology (1997), 54(3), 365-371
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier
DT Journal
LA English
AB Since cyclic 3',5'-adenosine monophosphate (cAMP) is involved in cell proliferation and as previous data showed that imidazo[1,2-.alpha.]pyrazine derivs. (PAB12, PAB30, PAB40, SCA40, SCA41, and SCA44) inhibited cAMP breakdown by a phosphodiesterase (PDE)-inhibitory effect, the aim of the present study was to investigate the effects of these derivs. on proliferation of the Dami cell line in relation with their actions on cAMP content and on PDE isoenzymes isolated from Dami cells. SCA41 and SCA44 inhibited cell growth in a dose-dependent manner, while SCA40 and PAB40 induced a weak inhibition. Growth inhibitions were 40%, 91%, and 60% for SCA41, SCA44 (at 100 .mu.M), and IBMX (at 1000 .mu.M), resp., and could not be related to their effects on cAMP levels. In addn., although all compds. potentiated cAMP formation by prostaglandin E1 (PGE1), no potentiations were obsd. when the antiproliferative effects of SCA41 and SCA44 were considered. Investigation of derivs. on PDE isoenzymes III, IV, and V indicated non-selective PDE inhibitory effects for SCA41 and SCA44, while SCA40 elicited preferences for type III, and PAB30 and PAB40 preferences for type IV isoenzymes. These effects could not totally explain the antiproliferative activity of the derivs. The activation of P2 purinoceptors by imidazo[1,2-a]pyrazine did not lead to their antiproliferative effects. Thus, the mechanism of the antiproliferative effects of the compds. remains to be detd. It does, however, depend on the chem. substitutions of the imidazo[1,2-a]pyrazine skeleton and in particular on the 2-carbonitrile presence and the length of the 8-aminoaliph. group.
IT **117718-84-0**, PAB 12 **142744-39-6**, SCA40
187344-68-9, PAB 30 **193291-93-9**, PAB 40
193343-19-0, SCA41 **193343-21-4**, SCA44
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiproliferative structure activity relations of imidazo[1,2-a]pyrazine derivs. on the dami cell line)
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)

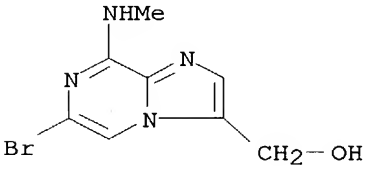


RN 142744-39-6 CAPLUS

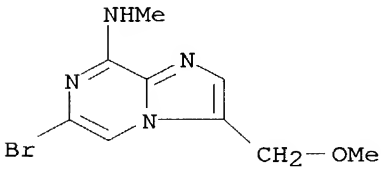
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



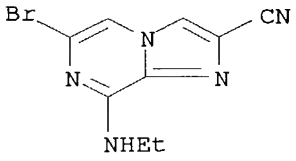
RN 187344-68-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



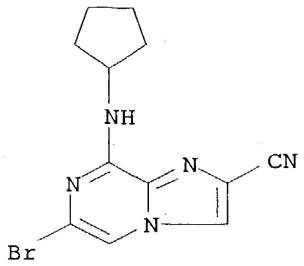
RN 193291-93-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(methoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 193343-19-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(ethylamino)- (9CI) (CA INDEX NAME)



RN 193343-21-4 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(cyclopentylamino)- (9CI) (CA INDEX NAME)


NH
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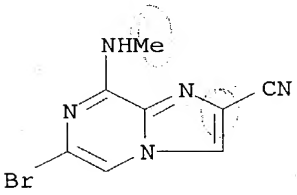
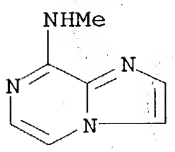
L4 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:535038 CAPLUS
DN 127:156542
TI Relaxant effects of SCA40 on human and guinea-pig bronchial smooth muscles in vitro
AU Cui, Yongyao; Jin, Zhengjun
CS Dep. Pharmacol., Shanghai Second Med. Univ., Shanghai, 200025, Peop. Rep. China
SO Shanghai Dier Yike Daxue Xuebao (1997), 17(2), 105-107
CODEN: SDDXE3; ISSN: 0258-5898
PB Shanghai Dier Yike Daxue Xuebao Bianjibu
DT Journal
LA Chinese
AB SCA40 (imidazo[1,2-a]pyrazine) is a novel potassium channel opener, with high smooth muscle relaxant activity. It exerted a preventive effect on contraction of human bronchi and guinea-pig isolated main bronchi recontracted with acetylcholine, neurokinin A or capsaicin. Glibenclamide (10-5 mol L-1) antagonized relaxant activity of cromakalim, but not that of SCA40. Charybdotoxin (3.times.10-8 mol L-1) inhibited the effects of SCA40. SCA40 (10-8-10-6 mol L-1) did not potentiate the relaxant effect of isoprenaline or sodium nitroprusside.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(relaxant effects of SCA40 on human and guinea-pig bronchial smooth muscles in vitro)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

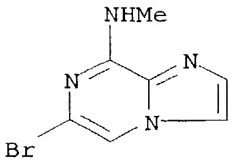


L4 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:458911 CAPLUS
DN 127:161372
TI Nitration in the imidazo[1,2-a]pyrazine series. Experimental and computational results
AU Vitse, Olivier; Bonnet, Pierre-Antoine; Bompart, Jacques; Viols, Henri; Subra, Guy; Chapat, Jean-Pierre; Grassy, Gerard
CS Laboratorie de Chimie Organique Pharmaceutique, Faculte de Pharmacie, Montpellier, 34060, Fr.
SO Journal of Heterocyclic Chemistry (1997), 34(3), 701-707
CODEN: JHTCAD; ISSN: 0022-152X
PB HeteroCorporation
DT Journal
LA English
AB Nitration was carried out on a series of imidazo[1,2-a]pyrazine derivs. I (R6 = H, Br; R8 = H, alkoxy alkylamino, Br). The reactivities of diversely substituted derivs. and of all positions of substitution were analyzed and exptl. results compared with 13C-NMR data and semiempirical calcns. (AM1). Although the unsubstituted heterocycle is highly resistant to nitration, electron-donating groups such as alkoxy or alkylamino on position 8 enhance the reactivity of the imidazo[1,2-a]pyrazine derivs. towards electrophilic substitution and, more specifically, nitration. The 13C-NMR expts., electronic distributions and mol. electrostatic potential isodensity surfaces calcd. on the neutral forms are in good agreement with exptl. results indicating position 3 is the most reactive position towards nitration.
IT **193614-85-6 193614-89-0 193614-90-3**
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(N1 and N7 protonated forms; exptl. and theor. study of the regioselective nitration of imidazo[1,2-a]pyrazine derivs.)
RN 193614-85-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-methyl-, conjugate monoacid (9CI) (CA INDEX NAME)



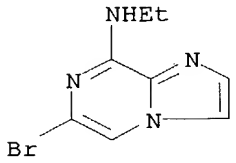
● H^+

RN 193614-89-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl-, conjugate monoacid (9CI) (CA INDEX NAME)



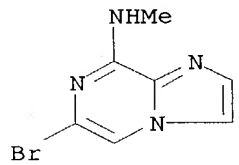
● H^+

RN 193614-90-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl-, conjugate monoacid (9CI) (CA INDEX NAME)

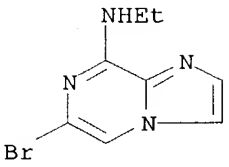


● H^+

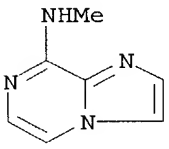
IT **117718-85-1,** 6-Bromo-8-(methylamino)imidazo[1,2-a]pyrazine
117718-86-2, 6-Bromo-8-(ethylamino)imidazo[1,2-a]pyrazine
117718-89-5, 8-(Methylamino)imidazo[1,2-a]pyrazine
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(exptl. and theor. study of the regioselective nitration of imidazo[1,2-a]pyrazine derivs.)
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



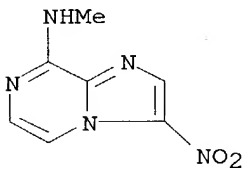
RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)



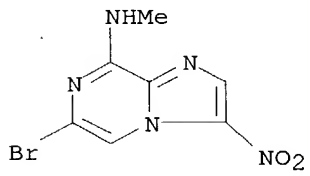
RN 117718-89-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-methyl- (9CI) (CA INDEX NAME)



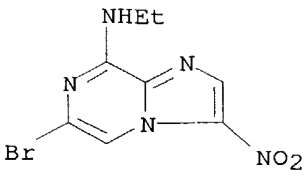
IT **193614-79-8P**, 8-(Methylamino)-3-nitroimidazo[1,2-a]pyrazine **193614-82-3P**, 6-Bromo-8-(methylamino)-3-nitroimidazo[1,2-a]pyrazine **193614-83-4P**, 6-Bromo-8-(ethylamino)-3-nitroimidazo[1,2-a]pyrazine
RL: SPN (Synthetic preparation); PREP (Preparation)
(exptl. and theor. study of the regioselective nitration of imidazo[1,2-a]pyrazine derivs.)
RN 193614-79-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-methyl-3-nitro- (9CI) (CA INDEX NAME)



RN 193614-82-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl-3-nitro- (9CI) (CA INDEX NAME)

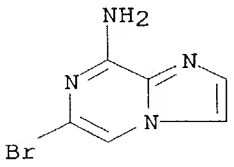


RN 193614-83-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl-3-nitro- (9CI) (CA INDEX NAME)

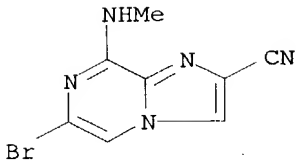


RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

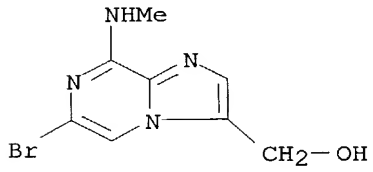
L4 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:424066 CAPLUS
DN 127:145144
TI Modulation of the megakaryoblastic Dami cell line differentiation by phosphodiesterase inhibitors and imidazo[1,2-a]pyrazine derivatives
AU Zurbonsen, Katja; Michel, Alain; Vittet, Daniel; Bonnet, Pierre-Antoine; Chevillard, Claude
CS INSERM U.300, Faculty de Pharmacy, Montpellier, F-34060, Fr.
SO Pharmacology & Toxicology (Copenhagen) (1997), 80(6), 286-289
CODEN: PHTOEH; ISSN: 0901-9928
PB Munksgaard
DT Journal
LA English
AB Phosphodiesterase inhibitors have been shown to modulate cell differentiation. The authors have previously shown that a series of imidazo[1,2-a]pyrazine derivs. displayed inhibitory effects on phosphodiesterase isoenzymes types III, IV and V isolated from Dami cells and on Dami cell growth. In the present study the authors have investigated the effect of these derivs. on the expression of two differentiation markers, glycoproteins Ib and IIb/IIIa of the human megakaryoblastic leukemic Dami cell line in comparison to those elicited by 3-isobutyl-1-methylxanthine and selective phosphodiesterase inhibitors of type I (8-methoxymethyl-1-methyl-3-(2-methylpropyl)xanthine), III (Milrinone), IV (RO-201724) and V (Zaprinast). Imidazo[1,2-a]pyrazine derivs., 3-isobutyl-1-methylxanthine and selective phosphodiesterase inhibitors, except 8-methoxymethyl-1-methyl-3-(2-methylpropyl) xanthine, decreased glycoprotein Ib expression. SCA40, SCA41, SCA44 and 3-isobutyl-1-methylxanthine but not the other compds. affected the expression of glycoprotein IIb/IIIa in a pos. manner. The effects of imidazo[1,2-a]pyrazine derivs. on glycoprotein expression appeared to be related to their phosphodiesterase inhibitory potency.
IT **117718-84-0 142744-39-6,** SCA40 **187344-68-9 193291-93-9 193343-19-0,** SCA 41 **193343-21-4,** SCA 44
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(modulation of megakaryoblastic Dami cell line differentiation by phosphodiesterase inhibitors and imidazo[a]pyrazine derivs. detd. by glycoprotein expression)
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)



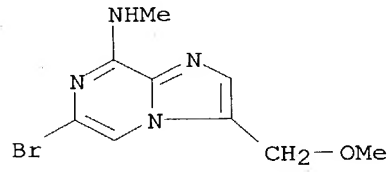
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



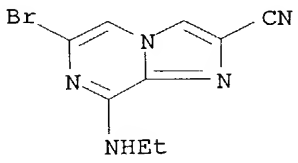
RN 187344-68-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



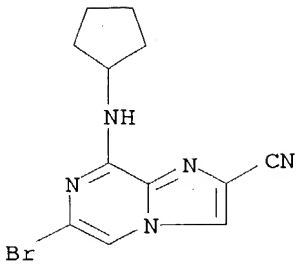
RN 193291-93-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(methoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 193343-19-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(ethylamino)- (9CI) (CA INDEX NAME)



RN 193343-21-4 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(cyclopentylamino)- (9CI) (CA INDEX NAME)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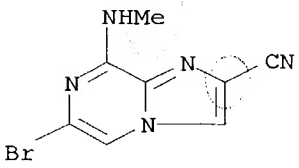
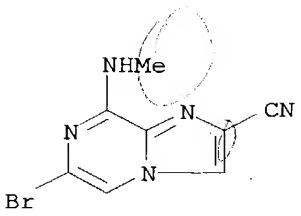
L4 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:256270 CAPLUS
DN 126:312044
TI SCA 40: studies of the relaxant effects on cryopreserved human airway and vascular smooth muscle
AU Muller-Schweinitzer, E.; Fozard, J.R.
CS Division of Clinical Pharmacology, Department of Internal Medicine, University Hospital, Basel, CH-4031, Switz.
SO British Journal of Pharmacology (1997), 120(7), 1241-1248
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton
DT Journal
LA English
AB 6-Bromo-8-methylaminoimidazol[1,2-a]pyrazine-2-carbonitrile (SCA 40) has been claimed to induce relaxation in guinea-pig trachea by opening high conductance, calcium-activated potassium (BKCa) channels. The mechanism of action of SCA 40 has now been further investigated in ring prepns. from cryopreserved human airway and vascular smooth muscle prepns. in vitro. Human bronchi with spontaneous tone relaxed in response to SCA 40 in a biphasic way. A high affinity component (pD2 8.61) accounted for 30% of the response and a low affinity component (pD2 6.53) for the remaining 70%. In contrast, in bronchi contracted with carbachol, 1 .mu.M, the concn.-response curve to SCA 40 was monophasic and yielded a pD2 of 6.31. SCA 40 relaxed pulmonary and mesenteric arteries and peripheral veins which had been precontracted by 10 nM U46619 nearly completely and in a monophasic way; the pD2 values were 6.37, 6.17 and 5.45, resp. Lemakalim, an opener of ATP-dependent potassium (KATP) channels, also relaxed human bronchi under spontaneous tone and the vascular tissues. NS 1619, a recognized opener of BKca channels, was inactive .ltoreq.10 .mu.M on bronchial and vascular tissues. The SCA 40-induced relaxation of human bronchi was reduced concn.-dependently in the presence of high potassium chloride (20 and 80 mM). However, in the presence of 80 mM KCl and nifedipine (30 nM), SCA 40 fully relaxed the remaining contractile response with pD2 values of 8.08 and 5.27 for the high and low affinity component, resp. Relaxation responses to SCA 40 in human bronchi were resistant to blockade by glibenclamide at concns. .ltoreq.10 .mu.M (which blocked the relaxant response to lemakalim), quinine (30 .mu.M), apamin (100 nM), tetraethylammonium (0.1-1 mM) and charybdotoxin (10-100 nM), thus excluding the involvement of a variety of K+ channels including KATP and KCa channels. In bronchi contracted with carbachol, 1 .mu.M, the nature of the interaction between SCA 40 and the .beta.2-adrenoceptor agonist, salbutamol, was synergistic. These expts. establish that SCA 40 is a potent relaxant of human bronchial smooth muscle manifesting spontaneous tone. A low affinity relaxant component has its counterpart in the relaxation seen in both human arterial and venous smooth muscle. The consensus of the evidence suggests that K+ channel opening is not the basis of the relaxant response to SCA 40. Furthermore, BKCa channels appear to be of minor importance in the regulation of human airway smooth muscle tone. The data suggest that inhibition of an adenosine 3':5'-cyclic monophosphate phosphodiesterase may contribute, at least to the low affinity relaxant component of SCA 40. However, the exact mechanism mediating the SCA 40-induced relaxation of human airway remains to be defined.
IT **142744-39-6**, SCA 40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(mechanism of relaxant effects of SCA 40 on cryopreserved human airway and vascular smooth muscle in relation to potassium channels)

RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:104854 CAPLUS
DN 126:246667
TI In vitro and in vivo effects of SCA40 on guinea pig airways
AU Buchheit, Karl Heinz; Hofmann, Alfred; Pfannkuche, Hans Juergen
CS Preclinical Research, SANDOZ Pharma Ltd., Basel, CH-4002, Switz.
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1997), 355(2), 217-223
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer
DT Journal
LA English
AB SCA40 (6-bromo-8-methylaminoimidazo[1,2-a]-pyrazine-2-carbonitrile), a compd. which was described as an opener of Ca2+-dependent large conductance potassium channels (BKCa channels), was investigated in comparison with salbutamol for in vitro and in vivo bronchospasmolytic effects and for the ability to reverse airways hyperreactivity in guinea pigs. SCA40 reduced the spontaneous tone of isolated guinea pig tracheal rings with a biphasic concn.-response curve (first phase: pD2-8.0, EMax-29.7% of maximal effect; second phase: pD2 = 6.4, EMax = 72.6%). The salbutamol curve was monophasic (pD2-8.0, EMax = 100%). Total lung resistance (RL) was detd. in anesthetized, ventilated guinea pigs. Bronchoconstriction, measured as an increase in RL, was elicited in normoreactive animals by i.v. infusion of bombesin (100 ng/kg/min) or by i.v. injection of histamine (1.8-5.6 .mu.g/kg). Airways hyperreactivity was induced by acute i.v. administration of preformed immune complexes. I.v. bolus injections of histamine (2.4 .mu.g/kg) were used to define the sensitivity of the airways prior to and after the exposure to immune complex. Following intratracheal (i.t.) administration, SCA40 reversed bombesin-induced bronchoconstriction with an ED50 of 43 .mu.g/kg (EMax = 57%). The ED50 for salbutamol was 0.8 .mu.g/kg i.t. (EMax = 78%). Histamine-induced bronchoconstriction in hyperreactive guinea pigs was inhibited by SCA40 with an ED50 of 13 .mu.g/ kg i.t. (EmMax-82%). Salbutamol completely inhibited histamine-induced bronchospasm with an ED50 of 9 ng/kg i.t. ln normoreactive guinea pigs, SCA40 prevented histamine-induced bronchoconstriction with an ED50 of 100 .mu.g/kg i.t.; for salbutamol the ED50 in this test was 0.48 .mu.g/kg i.t. Thus, for both SCA40 and salbutamol, the effects obtained at low doses in hyperreactive guinea pigs represent a true reversal of airways hyperreactivity, whereas at higher doses, anti-hyperreactive and bronchospasmolytic properties may account for the obsd. effects. In conclusion, SCA40 relaxes guinea pig airways smooth muscle in vitro and in vivo, and it partly reverses airways hyperreactivity. With respect to both potency and efficacy, SCA40 is markedly less active than the .beta.-adrenoceptor agonist salbutamol.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bronchospasmolytic effects of SCA40 and its ability to reverse airways hyperreactivity in guinea pigs)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)


NHMe
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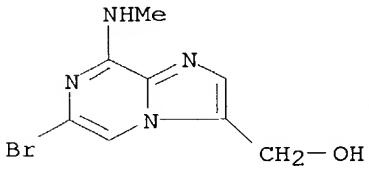
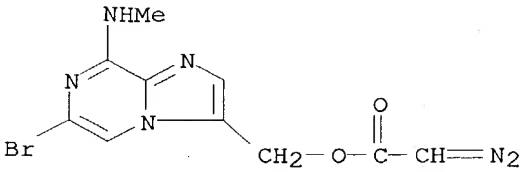
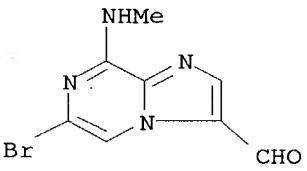
L4 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:75535 CAPLUS
DN 126:166030
TI Characterization of low affinity complexes between calmodulin and pyrazine derivatives by electrospray ionization mass spectrometry
AU Lafitte, D.; Benezech, V.; Bompart, J.; Laurent, F.; Bonnet, P. A.; Chapat, J. P.; Grassy, G.; Calas, B.
CS Centre de Recherches de Biochimie Macromoleculaire (UPR CNRS 9008 and INSERM U 249), Montpellier, 34033, Fr.
SO Journal of Mass Spectrometry (1997), 32(1), 87-93
CODEN: JMSPFJ; ISSN: 1076-5174
PB Wiley
DT Journal
LA English
AB Electrospray ionization mass spectrometry (ESIMS) was used to study the weak non-covalent interactions occurring between 6-bromo-3-(hydroxymethyl)-8-(methylamino)imidazo [1,2-.alpha.]pyrazine (1) and calmodulin. The formation of a 2:1 (ligand:protein) complex was obsd. Using 2, a (diazomethyl)carbonyl deriv. of 1 which under UV irradn. generates a highly reactive carbene entity, calmodulin was photo-labeled and the mass spectrum of the covalent adduct was recorded. Under these circumstances, two species were detected, one corresponding to the binding of calmodulin to four carbenes derived from 2 and another corresponding to calmodulin five carbenes after their loss of a bromine atom. These results strongly confirm that ESIMS is a powerful technique for the characterization of low-affinity complexes, even if part of the non-covalent interactions could be lost during the ESI process.
IT **187344-68-9 187344-69-0**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(characterization of low affinity complexes between calmodulin and pyrazine derivs. by electrospray ionization mass spectrometry)
RN 187344-68-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



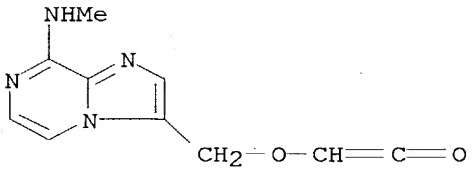
RN 187344-69-0 CAPLUS
CN Acetic acid, diazo-, [6-bromo-8-(methylamino)imidazo[1,2-a]pyrazin-3-yl]methyl ester (9CI) (CA INDEX NAME)



IT **187344-70-3 187344-71-4**
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (characterization of low affinity complexes between calmodulin and pyrazine derivs. by electrospray ionization mass spectrometry)
RN 187344-70-3 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-carboxaldehyde, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

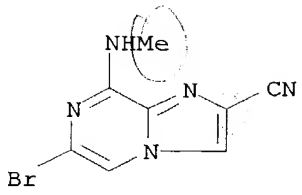


RN 187344-71-4 CAPLUS
CN Ethenone, [[8-(methylamino)imidazo[1,2-a]pyrazin-3-yl]methoxy]- (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:566338 CAPLUS
DN 125:238182
TI Effects of SCA40 on human bronchi and on guinea pig main bronchi in vitro. Comparison with cromakalim
AU Naline, E.; Cui, YY; Michel, A.; Bonnet, PA; Bakdach, H.; Advenier, C.
CS Laboratoire de Pharmacologie, Faculte de Medecine Paris-Ouest, Paris, 75270/06, Fr.
SO Fundamental & Clinical Pharmacology (1996), 10(4), 368-378
CODEN: FCPHEZ; ISSN: 0767-3981
PB Elsevier
DT Journal
LA English
AB The aim of this study was to examine the activity of SCA40, a novel charybdotoxin-sensitive potassium channel opener, against a variety of spasmogens or against elec. field stimulation in guinea pig isolated main bronchi and in human isolated bronchi; the effects of SCA40 were compared with those of cromakalim. Like cromakalim, SCA40 reduced the contractility of guinea pig and human isolated bronchi precontracted with acetylcholine 10-6 M or neurokinin A 10-6 M, SCA40 being more efficient and more potent than cromakalim. Moreover, on guinea pig isolated main bronchi, SCA40 can exert a preventive effect on contractions induced by acetylcholine, neurokinin A or capsaicin, i.e., it shifts to the right the concn.-effect curves of these substances, whereas cromakalim has no such effect. The effects of cromakalim were antagonized by glibenclamide 10-5 M, whereas the effects of SCA40 were inhibited by tetraethylammonium (TEA 10-2 M) and charybdotoxin (3 .times. 10-8 M), but this inhibitory effect of TEA was reversed by nifedipine (10-6 M). Elec. field stimulation of guinea pig isolated main bronchi induced two successive contractile responses. Both contractions were significantly reduced by SCA40 (10-6 and 10-5 M) and cromakalim (10-5 M). Since cromakalim was unable to inhibit the effects of acetylcholine or neurokinin A, it might be suggested that for this latter compd. the inhibition seems to take place prejunctionally and to affect the release of neuromediators produced by elec. field stimulation. In contrast, in the case of SCA40, a postjunctional effect seems to be likely, owing to its preventive effects, although a prejunctional effect cannot be excluded. Finally, on guinea pig isolated main bronchi, SCA40 (10-8-10-6 M) did not potentiate the relaxant effect of isoprenaline or sodium nitroprusside, suggesting a lack of functional manifestation of inhibition of phosphodiesterase for these concns. In conclusion, these results demonstrate that SCA40 is a potent and efficient relaxant of guinea pig and human airway smooth muscle, and is able to inhibit, in the guinea pig isolated main bronchi, the contractions induced by elec. field stimulation. It has an effect on TEA-sensitive K+ channels, but this effect is probably not involved in its relaxant effect which does not also rest on an inhibitory effect of phosphodiesterase.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(potassium channel opener SCA40 vs. cromakalim activity as relaxant of guinea pig and human airway smooth muscle)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)


NHMe
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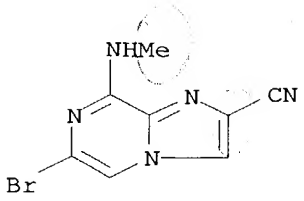
L4 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:561376 CAPLUS
DN 125:238180
TI Effects of SCA40 on human isolated bronchus and human polymorphonuclear leukocytes: comparison with rolipram, SKF94120 and levcromakalim
AU Cortijo, J.; Villagrasa, V.; Navarrete, C.; Sanz, C.; Berto, L.; Michel, A.; Bonnet, P. A.; Morcillo, E. J.
CS Dept. de Farmacologia, Univ. de Valencia, Valencia, Spain
SO British Journal of Pharmacology (1996), 119(1), 99-106
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton
DT Journal
LA English
AB SCA40 (0.1 nM-0.1 mM) produced concn.-dependent suppression of the spontaneous tone of human isolated bronchus (-log EC50=6.85) and reached a maximal relaxation similar to that of theophylline (3 mM). The potency (-log EC50 values) of SCA40 compared to other relaxants was rolipram (7.44) > SCA40 .gtoreq. levcromakalim (6.49) > SKF94120 (5.87). When tested against the activity of the isoenzymes of cyclic nucleotide phosphodiesterase (PDE) isolated from human bronchus, SCA40 proved highly potent against PDE III (-log IC50=6.47). It was markedly less potent against PDE IV (4.82) and PDE V (4.32). Human polymorphonuclear leukocytes (PMNs) stimulated with N-formylmethionyl-leucyl-phenylalanine (fMLP) produced a concn.-related inhibition of fMLP (30 nM.apprx.EC50)-induced superoxide prodn.(-log IC50=5.48) and elastase release (-log IC50=5.50). Rolipram was an effective inhibitor of superoxide generation and elastase release (-log IC50 values .apprx.8) while SKF94120 and levcromakalim were scarcely effective. FMLP (30 nM) and thimerosal (20 .mu.M) induced leukotriene B4 prodn. and elevation of intracellular calcium concn. in human PMNs. The prodn. of leukotriene B4 was inhibited by SCA40 in a concn.-related manner (-log IC50=5.94) but SCA40 was less effective against the elevation of intracellular calcium. Rolipram was an effective inhibitor of leukotriene B4 synthesis (-log IC50.apprx.7) and intracellular calcium elevation (-log IC50.apprx.6) while SKF94120 and levcromakalim were scarcely effective. It is concluded that SCA40 is an effective inhibitor of the inherent tone of human isolated bronchus. The bronchodilation produced by SCA40 appears mainly related to PDE inhibition since the potency of SCA40 as a relaxant of human isolated bronchus was close to its potency as inhibitor of PDE III activity isolated from human bronchus. In addn., SCA40 exhibited inhibitory effects on human PMN function stimulated by fMLP. These effects may be related to the ability of SCA40 to inhibit PDE IV from human PMNs while the contribution of PDE V inhibition is uncertain. We found no evidence of a role for levcromakalim-sensitive plasmalemmal K+-channels in human PMNs.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of SCA40 on human isolated bronchus and human polymorphonuclear leukocytes and comparison with rolipram, SKF94120 and levcromakalim)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)


NHMe
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N
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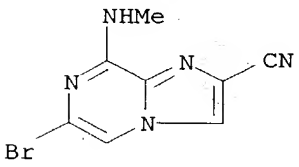
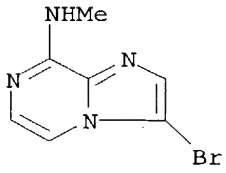
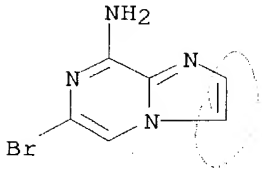
L4 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:450208 CAPLUS
DN 125:132064
TI Inhibition of phosphodiesterase IV and intracellular calcium levels in human polymorphonuclear leukocytes
AU Villagrasa, V.; Navarrete, C.; Sanz, C.; Berto, L.; Perpina, M.; Cortijo, J.; Morcillo, E. J.
CS Faculty Medicine and Odontology, University Valencia, Valencia, Spain
SO Methods and Findings in Experimental and Clinical Pharmacology (1996), 18(4), 239-245
CODEN: MFEPDX; ISSN: 0379-0355
PB Prous
DT Journal
LA English
AB Phosphodiesterase (PDE) isoenzyme type IV is the predominant cAMP hydrolytic activity in polymorphonuclear leukocytes (PMNs). PDE IV inhibitors depress functional responses of PMNs but their influence on intracellular calcium concn. ([Ca2+]i) has not been extensively studied. The present study examd. the effects of rolipram (a selective PDE IV inhibitor) on the chemotactic peptide formyl-methionyl-leucyl-phenylalanine (fMLP)-induced changes of [Ca2+]i in fura-2 loaded human PMNs. Rolipram (1 nM-10 .mu.M) did not alter basal [Ca2+]i values. FMLP (10 nM .apprx. EC50) produced a transient calcium response, i.e., a peak followed by decay to a residual value above baseline. Peak [Ca2+]i values after fMLP were not altered but a faster decay and a lower residual [Ca2+]i were obsd. in rolipram (0.1-10 .mu.M)-treated cells. FMLP added after thimerosal (20 .mu.M) produced a peak followed by a sustained oscillatory response. Rolipram (up to 10 .mu.M) did not alter the peak but inhibited the sustained response (-log IC50 = 6.39.+-.0.12). The inhibitory effects of rolipram may be due to alterations in the mobilization of Ca2+ produced by the increase in the cellular content of cAMP. SKF94120 (a selective PDE III inhibitor) produced minor effects on the fMLP-induced calcium response. SCA40 (a mixed PDE III/IV/V inhibitor) produced similar effects but was less potent than rolipram. Redn. of the calcium response probably underlies the inhibition of PMN functions produced by PDE IV inhibitors.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effects of phosphodiesterase inhibitors on formyl-methionyl-leucyl-phenylalanine-induced changes in calcium levels in human polymorphonuclear leukocytes)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



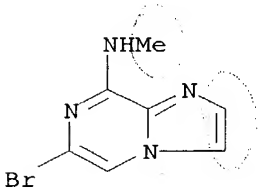
L4 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:98706 CAPLUS
DN 124:219438
TI Pharmacological activities of imidazo[1,2-.alpha.]pyrazine derivatives
AU Michel, A.; Laurent, F.; Chapat, J. P.; Boucard, M.; Bonnet, P. A.
CS Laboratoire de Pharmacodynamie, Faculte de Pharmacie, Montpellier, Fr.
SO Arzneimittel-Forschung (1995), 45(12), 1288-93
CODEN: ARZNAD; ISSN: 0004-4172
PB Cantor
DT Journal
LA English
AB The smooth muscle relaxant activity and other pharmacol. properties of imidazo[1,2-.alpha.-]pyrazine derivs. were compared with those of theophylline. Imidazole[1,2-.alpha.]pyrazine derivs. exhibited a potent smooth muscle relaxant activity regardless of the agent which had elicited the contraction and thus showed a broad spectrum of non specific smooth muscle relaxant activity. In the isolated guinea-pig atria, imidazo[1,2-.alpha.]pyrazine derivs. exhibited potent inotropic and chronotropic activities. As opposed to theophylline, the imidazo[1,2-.alpha.]pyrazine derivs. tested were unable to antagonize the adenosine-induced inhibition of spontaneous contractile activity of rabbit ileum. Furthermore, as opposed to theophylline, these derivs. did not exhibit a marked diuretic activity. Thus, it appears that they do not act as adenosine receptor antagonists. Imidazo[1,2-.alpha.]pyrazine derivs. inhibited the total cAMP-phosphodiesterase (cAMP-PDE) and the total cGMP-phosphodiesterase (cGMP-PDE) activities of bovine trachea but with relatively low potencies, sharing a discrepancy between their activity on isolated tissues and their ability to inhibit PDE. It is suggested that imidazo [1,2-.alpha.]pyrazine derivs. may selectively inhibit type III and/or type IV phosphodiesterase isoenzymes involved in the regulation of the mech. activity of cardiac and smooth muscle tissues.
IT **117718-82-8 117718-84-0 117718-85-1**
117718-86-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. activities of imidazo[1,2-.alpha.]pyrazine derivs.)
RN 117718-82-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-methyl- (9CI) (CA INDEX NAME)



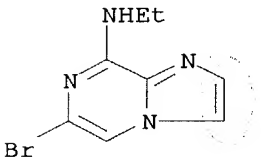
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)



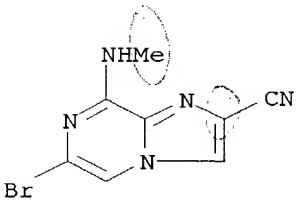
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



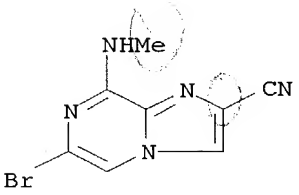
RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:786773 CAPLUS
DN 123:218124
TI A comparison of the effects of SCA40, NS 004 and NS 1619 on large conductance Ca2+-activated K+ channels in bovine tracheal smooth muscle cells in culture
AU Macmillan, S.; Sheridan, R. D.; Chilvers, E. R.; Patmore, L.
CS Dep. Pharmacology, Syntex Research Centre, Edinburgh, EH14 4AP, UK
SO British Journal of Pharmacology (1995), 116(1), 1656-60
CODEN: BJPCBM; ISSN: 0007-1188
PB Macmillan Scientific & Medical Division
DT Journal
LA English
AB The effects of imidazopyrazine deriv., SCA40, on the activity of single large conductance, Ca2+-activated K+ (BKCa) channels in inside-out and outside-out patches from bovine tracheal smooth muscle (BTSM) cells in culture have been compared with those of two established BKCa channel openers, NS 004 and NS 1619. The presence of BKCa channels on inside-out patches of BTSM membranes was confirmed by the single channel conductance (240 pS), selectivity for K+, dependence of channel activity on [Ca2+]i, and sensitivity to the selective BKCa channel blocker, iberiotoxin. NS 004 and ND 1619 (3-30 .mu.M) induced concn.-related increases in open state probability of BKCa channels when applied to either inside-out or outside-out BTSM patches, thus confirming that these compds. are activators of the BKCa channel in this prepn. SCA40 (0.1-10 .mu.M) had no effect on the activity of BKCa channels when applied to either inside-out or outside-out patches which subsequently responded to the application of NS 004 (10-20 .mu.M). It is concluded that SCA40 does not have a direct effect on BKCa channel activity in BTSM patches and that the previously reported relaxant action of SCA40 on tracheal smooth muscle is unlikely to be mediated by this mechanism.
IT **142744-39-6**, SCA40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(a comparison of the effects of SCA40, NS 004 and NS 1619 on large conductance Ca2+-activated K+ channels in bovine tracheal smooth muscle cells in culture)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

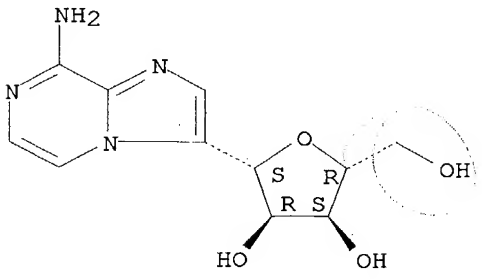


L4 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:303925 CAPLUS
DN 122:71732
TI Further analysis of the mechanisms underlying the tracheal relaxant action of SCA40
AU Cook, S. J.; Archer, K.; Martin, A.; Buchheit, K. H.; Fozard, J. R.; Mueller, T.; Miller, A. J.; Eliott, K. R. F.; Foster, R. W.; Small, R. C.
CS Sch. Biol. Sci., Univ. Manchester, Manchester, M13 9PT, UK
SO British Journal of Pharmacology (1995), 114(1), 143-51
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton
DT Journal
LA English
AB Expts. on the guinea pig trachea, using specific pharmacol. agonists and antagonists, showed that the tracheal-relaxant action of SCA40 (1 nM-1 .mu.M) does not involve the activation of .beta.-adrenoceptors or P1or P2 purinoceptors. Furthermore, this action is unlikely to depend upon the opening of BKCa channels with consequent cellular hyperpolarization and voltage-dependent inhibition of Ca2+ influx. The tracheal-relaxant action of SCA40 (.ltoreq.1 .mu.M) is more likely to depend upon its selective inhibition of the type III isoenzyme of cyclic nucleotide phosphodiesterase. At concns. >1 .mu.M, SCA40 exerts more general inhibition of the enzymes of cyclic nucleotide phosphodiesterase and may then promote the opening of BKCa channels.
IT **142744-39-6**, SCA 40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(mechanism of tracheal-relaxant action of SCA 40)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



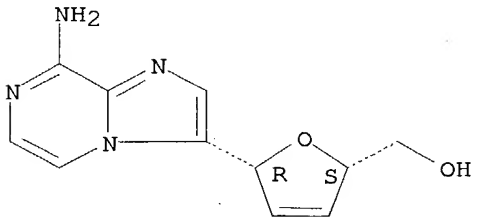
L4 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:271042 CAPLUS
DN 120:271042
TI Synthesis and biological evaluation of nucleosides containing 8-aminoimidazo[1,2-a]pyrazine as an isosteric replacement for adenine
AU MacCoss, M.; Meurer, L. C.; Hoogsteen, K.; Springer, J. P.; Koo, G.; Peterson, L. B.; Tolman, R. L.; Emini, E.
CS Merck Res. Lab., Rahway, NJ, 07065-0900, USA
SO Journal of Heterocyclic Chemistry (1993), 30(5), 1213-20
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
AB A no. of novel C-nucleosides related to purine derivs. , e.g. I (R = H, OH) and II, are described in which the purine moiety has been replaced by the isosteric heterocycle, 8-aminoimidazo[1,2-a]pyrazine. These C-nucleosides represent derivs. contg. acid stable glycosyl bonds and they can be considered as analogs of adenine- or 3-deazaadenine-contg. nucleosides. Prepn. of the parent ribonucleoside was accomplished by reaction of the C-1 functionalized sugar, (2.xi.)-1-amino-3,6-anhydro-1-deoxy-4,5-O-isopropylidene-7-O-trityl-D-allo-heptitol with 2,3-dichloropyrazine, followed by ring closure to the 8-chloroimidazo[1,2-a]pyrazine nucleoside, conversion to the 8-amino deriv. and deblocking. A single crystal x-ray structure of the parent 8-amino-3-(.beta.-D-ribofuranosyl)imidazo[1,2-a]pyrazine is described and the conformation compared to that of formycin. The sugar-modified analogs were prepd. by subsequent functional group manipulations on the sugar moiety. Biol. evaluation against HIV in H9 T-lymphoid cell culture showed the nucleosides to be devoid of significant antiviral activity compared to DDA. The 3-deazaadenosine analog also demonstrated weak suppression of mouse splenic NK activity toward YAC cells (mouse lymphoma cell targets). The imidazo[1,2-a]pyrazine analog of 3-deazaadenosine showed antiinflammatory activity in vivo in the rat pleurisy carrageenan model in the same range with 3-deazaadenosine.
IT **142588-97-4P 142589-00-2P 142589-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiviral and antitumor and antiinflammatory activities of)
RN 142588-97-4 CAPLUS
CN D-Ribitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



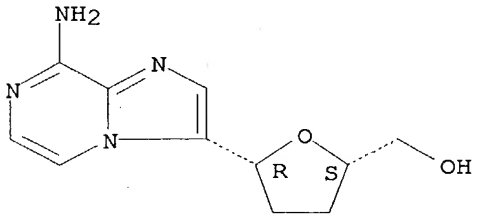
RN 142589-00-2 CAPLUS
CN 2-Furanmethanol, 5-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-2,5-dihydro-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



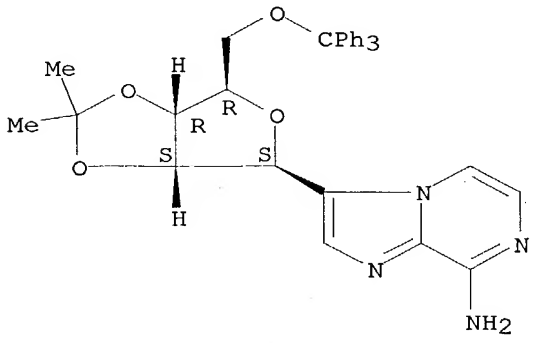
RN 142589-01-3 CAPLUS
CN 2-Furanmethanol, 5-(8-aminoimidazo[1,2-a]pyrazin-3-yl)tetrahydro-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



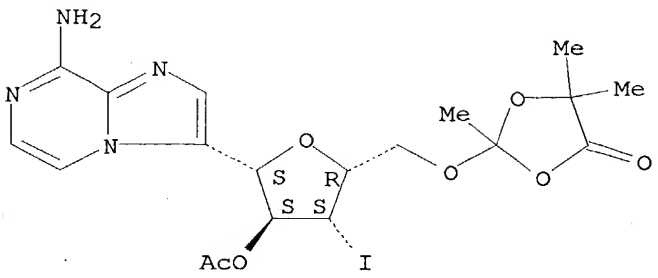
IT **142588-96-3P 142588-98-5P 142588-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in synthesis of aminoimidazopyrazine C-nucleosides)
RN 142588-96-3 CAPLUS
CN D-Ribitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-2,3-O-(1-methylethylidene)-5-O-(triphenylmethyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



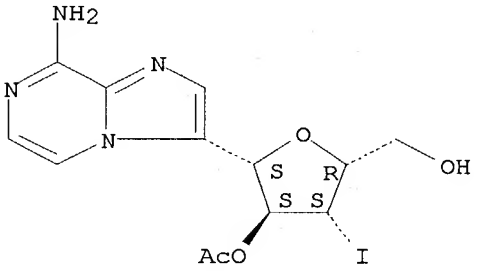
RN 142588-98-5 CAPLUS
CN D-Xylitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-3-iodo-5-O-(2,4,4-trimethyl-5-oxo-1,3-dioxolan-2-yl)-, 2-acetate, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



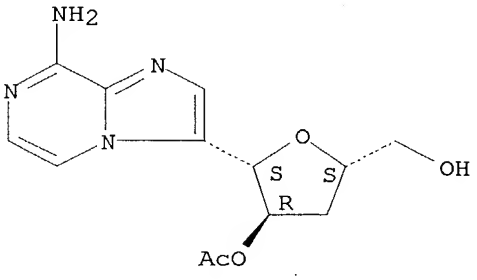
RN 142588-99-6 CAPLUS
CN D-Xylitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-3-iodo-, 2-acetate, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



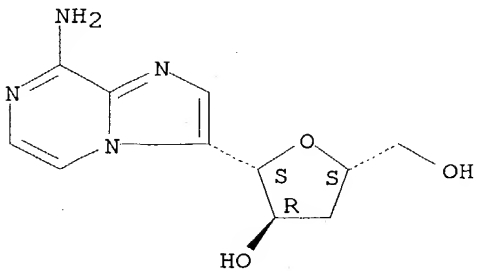
IT **142589-02-4P 142589-03-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 142589-02-4 CAPLUS
CN D-erythro-Pentitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-, 2-acetate, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

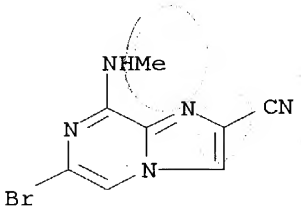


RN 142589-03-5 CAPLUS
CN D-erythro-Pentitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-, (1S)- (9CI) (CA INDEX NAME)

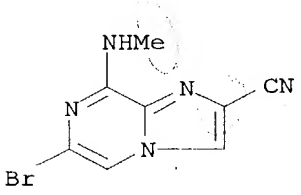
Absolute stereochemistry.



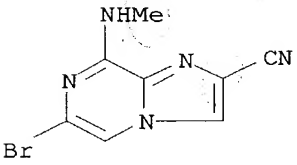
L4 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:210411 CAPLUS
DN 120:210411
TI Effects of toxins, apamin, charybdotoxin and iberiotoxin on the smooth muscle relaxant activity of an imidazo(1,2-a)pyrazine derivative
AU Laurent, F.; Michel, A.; Bonnet, P. A.; Bompart, J.; Chapat, J. P.; Boucard, M.
CS Lab. Pharmacodyn., Fac. Pharm., Montpellier, Fr.
SO Comptes Rendus des Seances de la Societe de Biologie et de Ses Filiales (1993), 187(4), 526-35
CODEN: CRSBAW; ISSN: 0037-9026
DT Journal
LA French
AB Expts. were performed in order to analyze the mechanism whereby SCA40, a new imidazo[1,2-a]pyrazine deriv., relaxes airway smooth muscle. It is concluded from the results that the potent relaxant activity of SCA40 on airway smooth muscle in vitro involves a charybdotoxin and iberiotoxin sensitive potassium channel.
IT **142744-39-6,** SCA40
RL: BIOL (Biological study)
(relaxant activity of, in airway smooth muscle, toxins effect on)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:69112 CAPLUS
DN 120:69112
TI Cardiovascular effects of SCA40, a novel potassium channel opener, in rats
AU Michel, A.; Laurent, F.; Bompart, J.; Hadj-Kaddour, K.; Chapat, J. P.; Boucard, M.; Bonnet, P. A.
CS Lab. Pharmacodyn., Fac. Pharm., Montpellier, 34060, Fr.
SO British Journal of Pharmacology (1993), 110(3), 1031-6
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB Expts. have been performed to investigate the cardiovascular actions in the rat of SCA40 (I), a novel potassium channel opener which is a potent relaxant of guinea-pig airway smooth muscle in vivo and in vitro. SCA40 (0.01-30 .mu.M) caused a complete and concn.-dependent relaxation of rat isolated thoracic aorta contracted with 20 mM KCl but failed to inhibit completely the spasmogenic effects of 80 mM KCl. The ATP-sensitive K+-channel blocker, glibenclamide (3 .mu.M), failed to antagonize the relaxant action of SCA40 on 20 mM KCl-contracted rat isolated thoracic aorta. SCA40 (0.001-100 .mu.M) had dual effects on rat isolated atria. At low concns., SCA40 produced a concn.-dependent decrease in the rate and force of contractions. At higher concns. (greater than 1 .mu.M) SCA40 induced concn.-dependent increases of atrial rate and force. In vivo, in normotensive Wistar rats, SCA40 elicited a dose-dependent (1-100 .mu.g kg-1) decrease in mean arterial pressure which was accompanied by a moderate dose-dependent increase in heart rate. SCA40 (100 .mu.g kg-1) had a slightly greater hypotensive effect than cromakalim (100 .mu.g kg-1) but the duration of the hypotension was longer with cromakalim than with SCA40. The hypotensive effect of SCA40 was not reduced by propranolol, atropine, NG-nitro-L-arginine Me ester (L-NAME) or glibenclamide. It is concluded that the mechanism by which SCA40 relaxes vascular smooth muscle in vitro and in vivo involves activation of K+-channels distinct from glibenclamide-sensitive ATP-sensitive K+-channels.
IT **142744-39-6**, SCA 40
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypotensive activity of, as potassium channel opener)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

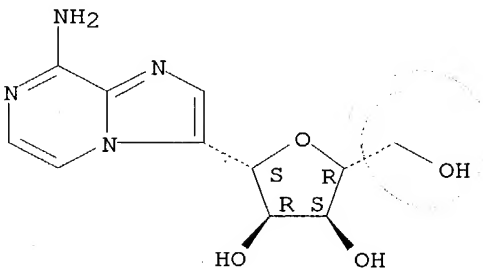


L4 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:225337 CAPLUS
DN 118:225337
TI Evaluation of the relaxant effects of SCA40, a novel charybdotoxin-sensitive potassium channel opener, in guinea pig isolated trachealis
AU Laurent, F.; Michel, A.; Bonnet, P. A.; Chapat, J. P.; Boucard, M.
CS Lab. Pharmacodyn., Fac. Pharm., Montpellier, 34060, Fr.
SO British Journal of Pharmacology (1993), 108(3), 622-6
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB The mechanism whereby SCA40, a new imidazo[1,2-a]pyrazine deriv., relaxes airway smooth muscle was studied. SCA40 (0.01-10 .mu.M) caused a complete and concn.-dependent relaxation of guinea pig isolated trachealis muscles contracted with 20 mM KCl, but failed to inhibit completely the spasmogenic effects of 80 mM KCl. Quinine antagonized the relaxant activity of SCA40 in 20 mM KCl-contracted tracheas. The ATP-sensitive K+-channel blocker glibenclamide did not antagonize the relaxant activity of SCA40 in 20 mM KCl or 1 .mu.M carbachol-contracted tracheas. SCA40 and isoprenaline caused a complete and concn.-dependent relaxation of tracheas contracted with 1 .mu.M carbachol. The large-conductance Ca2+-activated K+-channel blocker charybdotoxin non-competitively antagonized the relaxant activity of isoprenaline in 1 .mu.M carbachol-contracted tracheas. The inhibition was characterized by rightward shifts of the isoprenaline concn.-relaxation curves with depression of their max. The relaxant activity of SCA40 in 1 .mu.M carbachol-contracted tracheas was antagonized by charybdotoxin in an apparently competitive manner. The concn.-relaxation curves to SCA40 were shifted to the right with no alterations in the max. responses. Thus, SCA40 is a potent relaxant of guinea pig airway smooth muscles in vitro. The relaxant activity of SCA40 does not involve ATP-sensitive K+-channels but rather large-conductance Ca2+-activated K+-channels or other charybdotoxin-sensitive K+-channels.
IT **142744-39-6**, SCA 40
RL: BIOL (Biological study)
(trachea muscle relaxation by, potassium channels role in)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

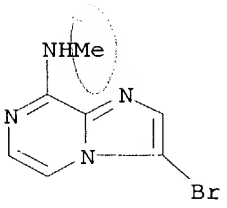


L4 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:592224 CAPLUS
DN 117:192224
TI Use of distance geometry approach for the in vitro antiviral activity evaluation of N-bridgehead C-nucleosides
AU Kobe, B.; Kobe, J.; Smee, D. F.; Jerman-Blazic-Dzonova, B.; Solmajer, T.
CS Dep. Chem., Univ. Ljubljana, Ljubljana, 61000, Yugoslavia
SO European Journal of Medicinal Chemistry (1992), 27(3), 259-66
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA English
AB A 3-dimensional receptor model of parainfluenza virus type 3 developed by Ghose et al using the distance geometry approach to analyze the in vitro antiviral activity of several novel ribonucleosides from imidazotriazine, imidazo-pyrazine and triazolo-pyrazine and pyridine series, have been used. On the basis of at. physicochem. properties ie hydrophobicity, molar refractivity and charge d. the interaction energy of min. energy conformations of 22 compds. with hypothetic virus active site were evaluated. Seven nucleosides from imidazopyrazine and imidazotriazine series have shown significantly high calcd. values of virus rating while the analogs with triazolopyrazine, triazolopyridine and pyrazolo-pyridine heterocycles are expected to have only slight or moderate virus activity.
IT **142588-97-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(conformation and MSBAR virus rating of)
RN 142588-97-4 CAPLUS
CN D-Ribitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-, (1S)-(9CI) (CA INDEX NAME)

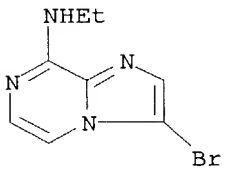
Absolute stereochemistry.



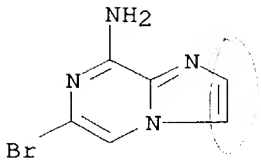
L4 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:550960 CAPLUS
DN 117:150960
TI Synthesis and antibronchospastic activity of 8-alkoxy- and 8-(alkylamino)imidazo[1,2-a]pyrazines
AU Bonnet, Pierre A.; Michel, Alain; Laurent, Florence; Sablayrolles, Claire; Rechencq, Eliane; Mani, Jean C.; Boucard, Maurice; Chapat, Jean P.
CS Lab. Chim. Org. Pharm., Fac. Pharm., Montpellier, 34060, Fr.
SO Journal of Medicinal Chemistry (1992), 35(18), 3353-8
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 117:150960
AB Theophylline still occupies a dominant place in asthma therapy. Unfortunately its adverse central nervous system stimulant effects can dramatically limit its use, and adjustments in the dosage are often needed. We have synthesized a new series of imidazo[1,2-a]pyrazine derivs. which are much more potent bronchodilators than theophylline in vivo and do not exhibit the CNS stimulatory profile. In vitro studies on isolated rat uterus and guinea pig trachea confirm the high potentialities of these derivs. 6-Bromo-8-(methylamino)imidazo[1,2-a]pyrazine-3-carbonitrile is identified as the most potent compd. of the series. As in the case of theophylline, phosphodiesterase inhibition appears unlikely to be the unique mechanism of action of this series of heterocycles.
IT **117718-82-8P 117718-83-9P 117718-84-0P**
117718-85-1P 117718-86-2P 117718-88-4P,
Imidazo[1,2-a]pyrazin-8-amine **117718-89-5P 117718-90-8P**
117718-92-0P 142744-41-0P 142744-42-1P
142744-43-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)
RN 117718-82-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-methyl- (9CI) (CA INDEX NAME)



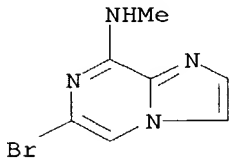
RN 117718-83-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-ethyl- (9CI) (CA INDEX NAME)



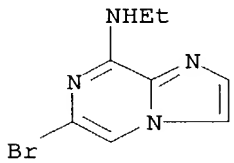
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)



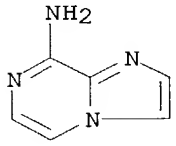
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



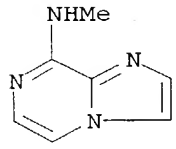
RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)



RN 117718-88-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine (9CI) (CA INDEX NAME)

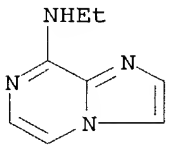


RN 117718-89-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-methyl- (9CI) (CA INDEX NAME)

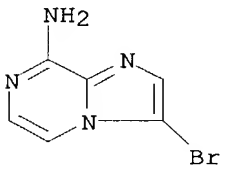


RN 117718-90-8 CAPLUS

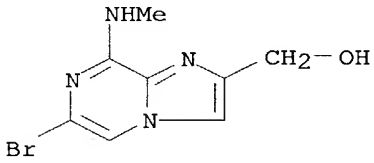
CN Imidazo[1,2-a]pyrazin-8-amine, N-ethyl- (9CI) (CA INDEX NAME)



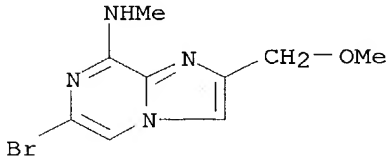
RN 117718-92-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo- (9CI) (CA INDEX NAME)



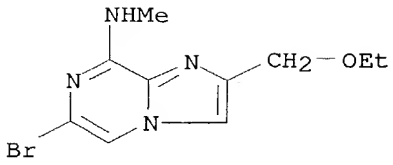
RN 142744-41-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-methanol, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



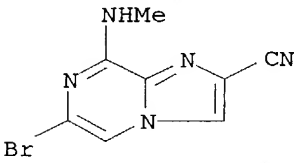
RN 142744-42-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-2-(methoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 142744-43-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-2-(ethoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



IT **142744-39-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., spectra and antibronchospastic activity of)
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

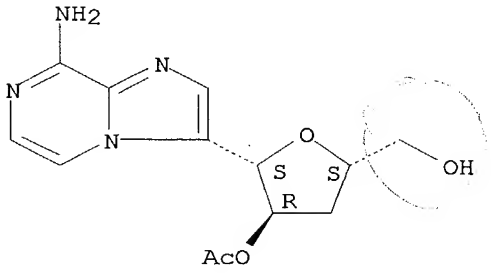


L4 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:490713 CAPLUS
DN 117:90713
TI Nucleoside antiviral and immunomodulating agents
IN Moccoss, Malcolm; Tolman, Richard L.; Meurer, Laura C.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 480713	A1	19920415	EP 1991-309295	19911009
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5137876	A	19920811	US 1990-596846	19901012
	CA 2052833	AA	19920413	CA 1991-2052833	19911004
	JP 04282385	A2	19921007	JP 1991-261963	19911009
	JP 07064847	B4	19950712		
PRAI	US 1990-596846		19901012		

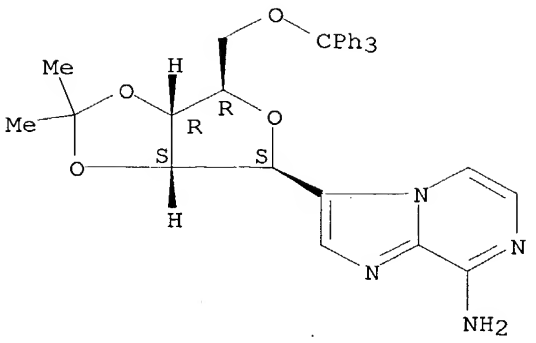
OS MARPAT 117:90713
AB Nucleoside analogs I (R1, R2 = NH2, OH; R3-R6 = H, F, OH; R3, R6 = H, alkyl, R4R5 = bond; R7 = H, acyl, phosphoryl) were prepd. Thus, I (R1 = NH2, R2 - R7 = H) was obtained from allo-heptonate II in 11 steps. At 12 .mu.M I (R1 = NH2, R2 - R7 = H) inhibited HIV proliferation in T cells by 70%.
IT **142589-02-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacetylation of)
RN 142589-02-4 CAPLUS
CN D-erythro-Pentitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-, 2-acetate, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



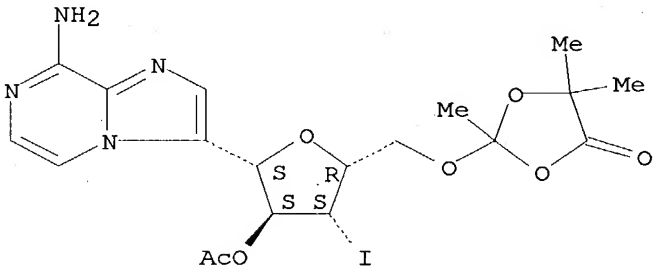
IT **142588-96-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)
RN 142588-96-3 CAPLUS
CN D-Ribitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-2,3-O-(1-methylethylidene)-5-O-(triphenylmethyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



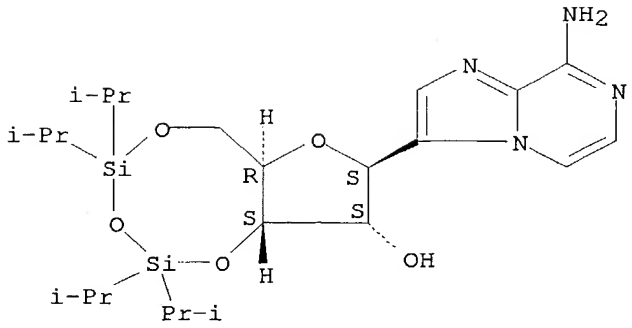
IT **142588-98-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)
RN 142588-98-5 CAPLUS
CN D-Xylitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-3-iodo-5-O-(2,4,4-trimethyl-5-oxo-1,3-dioxolan-2-yl)-, 2-acetate, (1S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



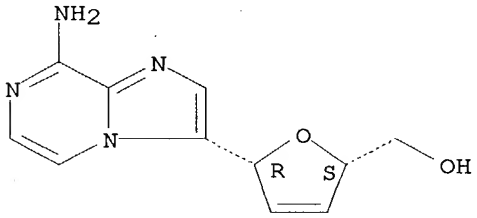
IT **142589-04-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with DMF di-Me acetal)
RN 142589-04-6 CAPLUS
CN D-Ribitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



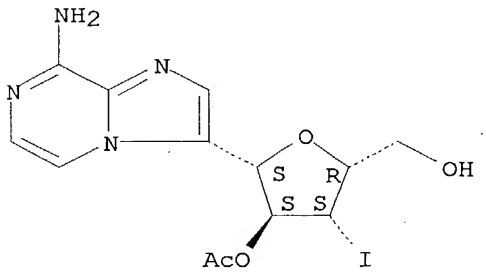
IT **142589-00-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 142589-00-2 CAPLUS
CN 2-Furanmethanol, 5-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-2,5-dihydro-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **142588-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reductive deiodination of)
RN 142588-99-6 CAPLUS
CN D-Xylitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-3-iodo-, 2-acetate, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



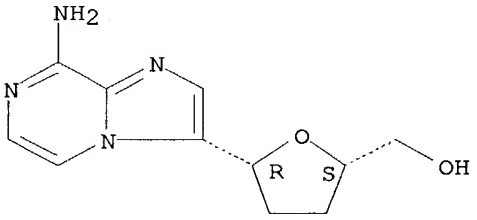
IT **142589-01-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and virucidal activity of)

RN 142589-01-3 CAPLUS

CN 2-Furanmethanol, 5-(8-aminoimidazo[1,2-a]pyrazin-3-yl)tetrahydro-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



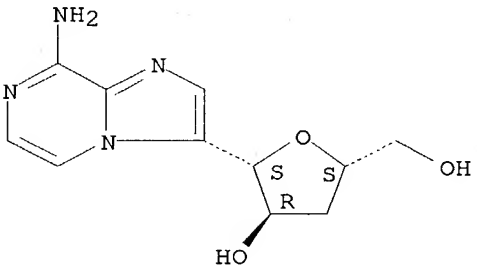
IT **142589-03-5P 142589-08-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 142589-03-5 CAPLUS

CN D-erythro-Pentitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-3-deoxy-, (1S)- (9CI) (CA INDEX NAME)

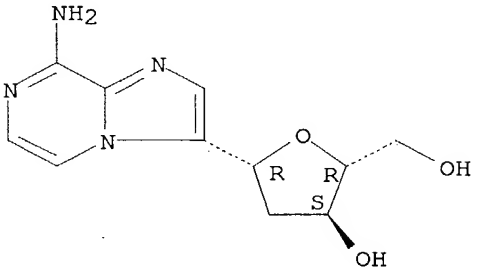
Absolute stereochemistry.



RN 142589-08-0 CAPLUS

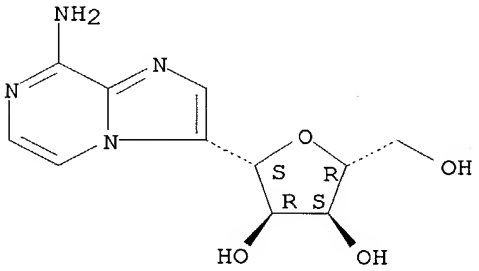
CN D-erythro-Pentitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-2-deoxy-, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

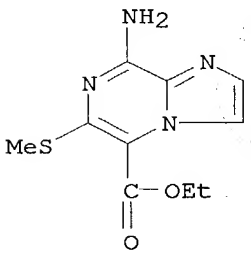


IT **142588-97-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., reaction with acetoxyisobutyryl chloride, and antiinflammatory activity of)
RN 142588-97-4 CAPLUS
CN D-Ribitol, 1-C-(8-aminoimidazo[1,2-a]pyrazin-3-yl)-1,4-anhydro-, (1S)-(9CI) (CA INDEX NAME)

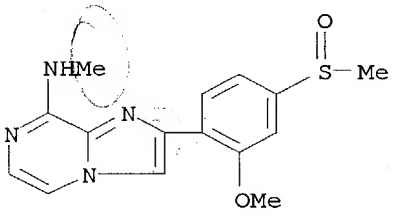
Absolute stereochemistry.



L4 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:106176 CAPLUS
DN 116:106176
TI A novel 1,6-cyclization of imidazolium N-allylides. 2. Formation of the mesomeric betaine, 7-iminoimidazo[1,2-a]pyridiniumide
AU Matsuda, Yoshiro; Gotou, Hiromi; Katou, Keisuke; Matsumoto, Hiroshi; Yamashita, Makoto; Takahashi, Kimitoshi; Ide, Shizuki; Furuno, Kazuki; Torisu, Katsura
CS Sch. Pharm. Sci., Nagasaki Univ., Nagasaki, 852, Japan
SO Heterocycles (1991), 32(11), 2217-24
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 116:106176
AB Treatment of imidazolium N-allyide I in refluxing 1,2,4-trimethylbenzene resulted in 1,6-cyclization to give the mesomeric betaine, 7-iminoimidazo[1,2-.alpha.]pyridiniumide II. On the other hand, heating of 1-cyanoimidoylmethylimidazolium N-ylide III in refluxing 1,2,4-trimethylbenzene underwent 1,6-cyclization and debenzylation to give 8-aminoimidazo[1,2-a]pyrazine IV. Furthermore, treatment of the imidazolium salt V and Et ethoxymethylenenitroacetate with K2CO3 in DMSO afforded the mesomeric betaine, imidazo[1,2-a]pyridiniumide VI, whereas the reaction of V and nitroketene dithioacetal (MeS)2C:CHNO2 with K2CO3 in DMSO resulted in 1,5-dipolar cyclization to produce pyrrolo[1,2-a]imidazole VII and pyrrolo[1,2-a]pyrazine VIII.
IT **139038-47-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 139038-47-4 CAPLUS
CN Imidazo[1,2-a]pyrazine-5-carboxylic acid, 8-amino-6-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



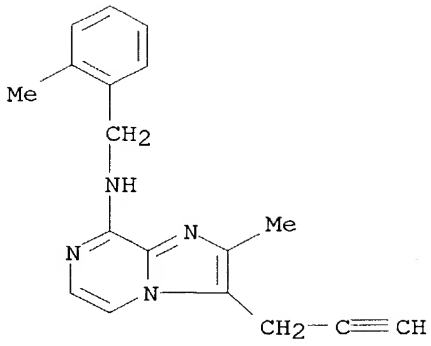
L4 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:448746 CAPLUS
DN 115:48746
TI Sites of protonation in cardiotonic polyazaindolizines by NMR spectroscopy
AU Barraclough, Paul; Firmin, David; Lindon, John C.; Nobbs, Malcolm S.; Sanderson, Paul N.; Smith, Steven; Gillam, Janet M.
CS Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK
SO Magnetic Resonance in Chemistry (1991), 29(5), 468-75
CODEN: MRCHEG; ISSN: 0749-1581
DT Journal
LA English
OS CASREACT 115:48746
AB The pKa values of six sulmazole analogs were measured spectrophotometrically. The major protonation sites for most of these bridgehead nitrogen heterocycles were detd. by 1H and 13C NMR methods. The aryl-substituted imidazo[1,2-a]pyrimidine (I), 8-methoxyimidazol[1,2-a]pyrazine (II), imidazol[1,2-b]pyridazine (III) and imidazo[1,2-b][1,2,4]triazine (IV) undergo protonation at the imidazo nitrogen. The imidazo[1,2-a]pyrazine (V) protonates mainly at N-7. In some cases differences in basicity properties between these aryl analogs and the bridgehead heterocycles have been obsd.
IT **102387-10-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(protonation of)
RN 102387-10-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-[2-methoxy-4-(methylsulfinyl)phenyl]-N-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:138443 CAPLUS
DN 106:138443
TI Imidazopyridines and -pyrazines as antiulcer agents
IN Ueda, Ikuo; Shiokawa, Youichi; Take, Kazuhiko; Itani, Hiromichi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

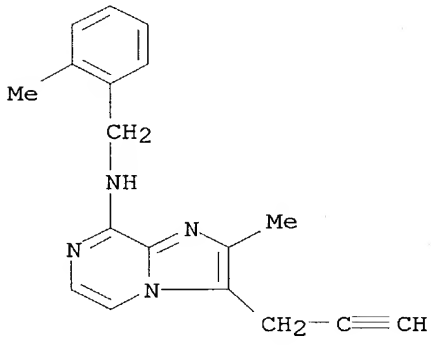
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 204285	A1	19861210	EP 1986-107418	19860602
	EP 204285	B1	19920115		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8603805	A	19870429	ZA 1986-3805	19860521
	US 4725601	A	19880216	US 1986-865331	19860521
	FI 8602210	A	19861205	FI 1986-2210	19860526
	DK 8602503	A	19861205	DK 1986-2503	19860528
	CA 1257264	A1	19890711	CA 1986-510496	19860530
	JP 62016483	A2	19870124	JP 1986-128941	19860602
	AT 71625	E	19920215	AT 1986-107418	19860602
	NO 8602208	A	19861205	NO 1986-2208	19860603
	HU 40798	A2	19870227	HU 1986-2332	19860603
	CN 86104313	A	19870304	CN 1986-104313	19860603
	ES 555653	A1	19871201	ES 1986-555653	19860603
	AU 8658345	A1	19861211	AU 1986-58345	19860604
	AU 593802	B2	19900222		
	US 4782055	A	19881101	US 1986-942379	19861216
PRAI	GB 1985-14080		19850604		
	GB 1985-30878		19851216		
	US 1986-865331		19860521		
	EP 1986-107418		19860602		
	GB 1986-27736		19861120		

OS CASREACT 106:138443
AB The title compds. [I; R1 = alkenyl, alkynyl, alkadienyl, alkenyloxyalkyl, alkynyloxyalkyl (protected) carboxyalkynyloxyalkyl; R2 = H, alkyl, aryl; R3 = (substituted) aralkyl; X = O, NH; Y = CH, N] were prepd. as antiulcer agents. Thus, (benzyloxy)pyridinamine II cyclocondensed with ClCH2COMe to give I (R1 = H, R2 = Me, R3 = 2-ClC6H4CH2, X = O, Y = CH). This was condensed with HCHO and Me2NH, followed by methylation and treatment with HC.tplbond.CCH2OH, to give I (R1 = CH2OCH2C.tplbond.CH, R2 = Me, R3 = 2-ClC6H4CH2, X = O, Y = CH) (III). In rats 32 mg III/kg orally gave 98.2% inhibition of EtOH-induced ulcers and 100% inhibition of stress-induced ulcers.
IT **107248-22-6P 107248-23-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiulcer agent)
RN 107248-22-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-methyl-N-[(2-methylphenyl)methyl]-3-(2-propynyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 107248-23-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-methyl-N-[(2-methylphenyl)methyl]-3-(2-propynyl)- (9CI) (CA INDEX NAME)



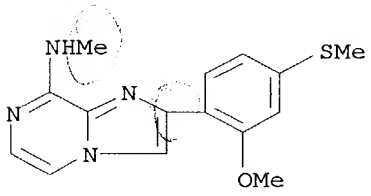
L4 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:224913 CAPLUS
DN 104:224913
TI Aryl derivatives of heterobicyclic compounds
IN Barraclough, Paul; Smith, Steven; Iyer, Ramachandran; Nobbs, Malcolm Stuart
PA Wellcome Foundation Ltd., UK
SO Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 166609	A2	19860102	EP 1985-304548	19850626
	EP 166609	A3	19870610		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	FI 8502521	A	19851228	FI 1985-2521	19850626
	DK 8502895	A	19851228	DK 1985-2895	19850626
	AU 8544214	A1	19860102	AU 1985-44214	19850626
	JP 61024594	A2	19860203	JP 1985-138095	19850626
	DD 235261	A5	19860430	DD 1985-277814	19850626
	HU 39450	A2	19860929	HU 1985-2505	19850626
	ZA 8504841	A	19870225	ZA 1985-4841	19850626
	ES 544569	A1	19870301	ES 1985-544569	19850626
PRAI	GB 1984-16295		19840627		
	GB 1984-16296		19840627		
	GB 1984-16297		19840627		
	GB 1985-6043		19850308		
	GB 1985-6044		19850308		
	GB 1985-6045		19850308		

AB Inotropic triazaheterobicyclic imidazoles I [R1 = substituted Ph; R2 = H, halo, amino, OH, alkyl, alkoxy; 1 of X1-X3 = N, the others = (un)substituted CH; the remaining C atoms in the azine ring can be substituted] were prepd. Thus, 2,4-MeO(NC)C6H3COR3 (II, R3 = OH) was treated with SOCl2 to give II (R3 = Cl). The latter was methylated with CH2(CO2SiMe3)2, then brominated with CuBr2 to give II (R3 = CH2Br) which was cyclocondensed with aminopyrazine to give imidazopyrazine III (R4 = cyano). This was hydrolyzed in concd. H2SO4 to give III (R4 = CONH2) (IV). In beagles 0.02 mg IV/kg i.v. increased heart contractility 50%.

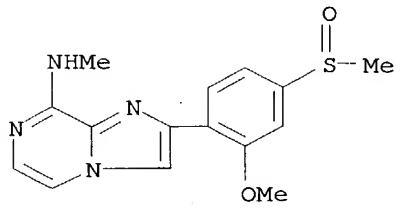
IT **102387-08-6P 102387-09-7P 102387-10-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inotropic agent)

RN 102387-08-6 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-[2-methoxy-4-(methylthio)phenyl]-N-methyl- (9CI) (CA INDEX NAME)



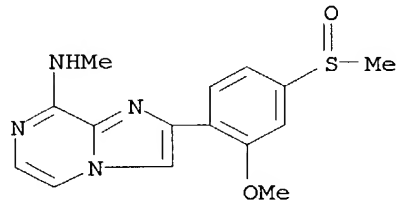
RN 102387-09-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-[2-methoxy-4-(methylsulfinyl)phenyl]-N-

methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 102387-10-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-[2-methoxy-4-(methylsulfinyl)phenyl]-N-methyl- (9CI) (CA INDEX NAME)



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L3          226 S L1 SSS FUL

     FILE 'CAPLUS' ENTERED AT 18:37:33 ON 26 FEB 2004
L4           39 S L3

     FILE 'CAOLD' ENTERED AT 18:38:24 ON 26 FEB 2004

=> s l3
L5            0 L3

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.42     343.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -27.03

STN INTERNATIONAL LOGOFF AT 18:38:35 ON 26 FEB 2004
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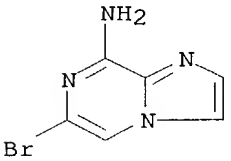
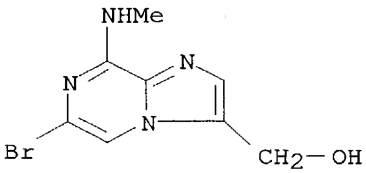
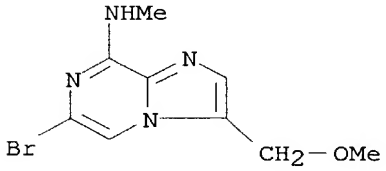
L4 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:414219 CAPLUS
DN 131:170325
TI New imidazo[1,2-a]pyrazine derivatives with bronchodilatory and cyclic nucleotide phosphodiesterase inhibitory activities
AU Vitse, Olivier; Laurent, Florence; Pocock, Tristan M.; Benezech, Veronique; Zanik, Lahcen; Elliott, Keith R. F.; Subra, Guy; Portet, Karine; Bompart, Jacques; Chapat, Jean-Pierre; Small, Roger C.; Michel, Alain; Bonnet, Pierre-Antoine
CS Pharmacochimie and Biomolecules, Laboratoire de Chimie Organique Pharmaceutique, Faculte de Pharmacie, Montpellier, 34060, Fr.
SO Bioorganic & Medicinal Chemistry (1999), 7(6), 1059-1065
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB New imidazo[1,2-a]pyrazines, e.g., I, have been synthesized either by direct cyclization from pyrazines or by electrophilic substitutions. The presence of electron donating groups on position 8 greatly enhances the reactivity of the heterocycle towards such reactions on position 3 of the heterocycle. The activities of these derivs. in trachealis muscle relaxation and in inhibiting cyclic nucleotide phosphodiesterase (PDE) isoenzymes types III and IV have been assessed. All compds. demonstrated significantly higher relaxant potency than theophylline. All the derivs. were moderately potent in inhibiting the type IV isoenzyme of PDE, but only those with a cyano group on position 2 were potent in inhibiting the type III isoenzyme.
IT **117718-84-0 187344-68-9 193291-93-9 238422-35-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(imidazo[1,2-a]pyrazines with bronchodilatory and cyclic nucleotide phosphodiesterase inhibitory activities)
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)



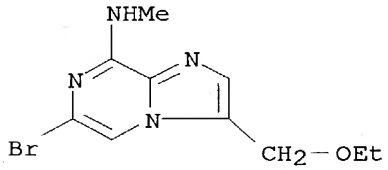
RN 187344-68-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



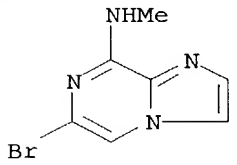
RN 193291-93-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(methoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



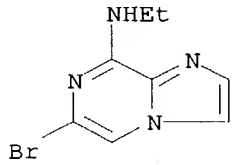
RN 238422-35-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(ethoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



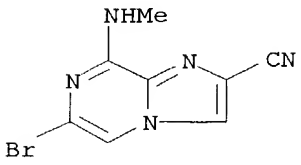
IT **117718-85-1 117718-86-2 142744-39-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(imidazo[1,2-a]pyrazines with bronchodilatory and cyclic nucleotide phosphodiesterase inhibitory activities)
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)

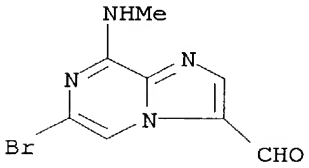


RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)

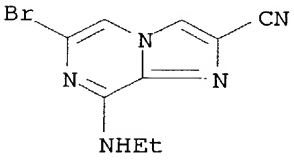


IT **187344-70-3P 193343-19-0P 193614-82-3P**
193614-83-4P 238422-33-8P 238422-34-9P
238422-37-2P 238422-38-3P 238422-40-7P
238422-41-8P 238422-42-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(imidazo[1,2-a]pyrazines with bronchodilatory and cyclic nucleotide phosphodiesterase inhibitory activities)

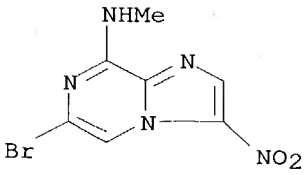
RN 187344-70-3 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-carboxaldehyde, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



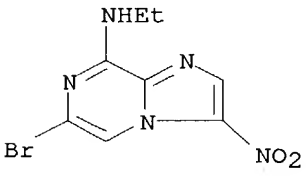
RN 193343-19-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(ethylamino)- (9CI) (CA INDEX NAME)



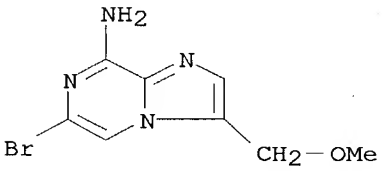
RN 193614-82-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl-3-nitro- (9CI) (CA INDEX NAME)



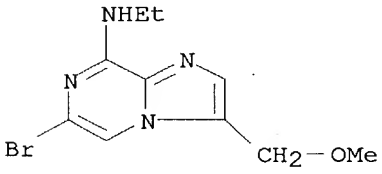
RN 193614-83-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl-3-nitro- (9CI) (CA INDEX NAME)



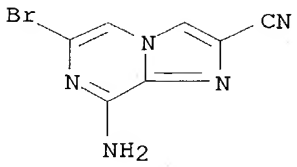
RN 238422-33-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(methoxymethyl)- (9CI) (CA INDEX NAME)



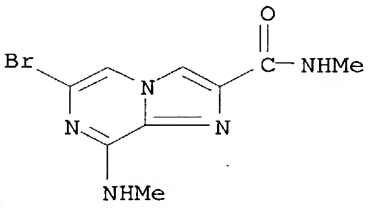
RN 238422-34-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl-3-(methoxymethyl)- (9CI) (CA INDEX NAME)



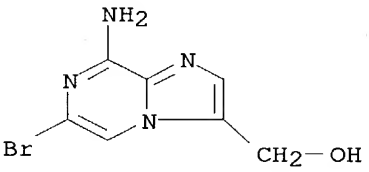
RN 238422-37-2 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 8-amino-6-bromo- (9CI) (CA INDEX NAME)



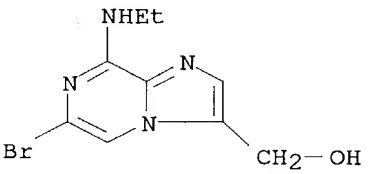
RN 238422-38-3 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 6-bromo-N-methyl-8-(methylamino)- (9CI) (CA INDEX NAME)



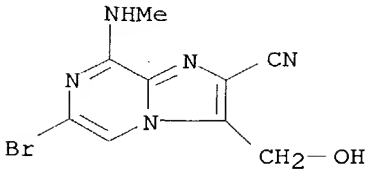
RN 238422-40-7 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 8-amino-6-bromo- (9CI) (CA INDEX NAME)



RN 238422-41-8 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 6-bromo-8-(ethylamino)- (9CI) (CA INDEX NAME)

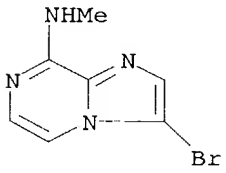


RN 238422-42-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-3-(hydroxymethyl)-8-(methylamino)- (9CI) (CA INDEX NAME)

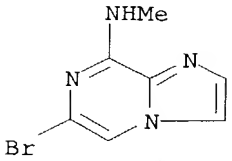


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

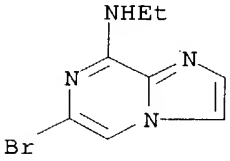
L4 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:109848 CAPLUS
DN 126:207202
TI Apoptotic effects of imidazo[1,2-a]pyrazine derivatives in the human Dami cell line
AU Zurbonsen, Katja; Michel, Alain; Bonnet, Pierre-Antoine; Gannoun-Zaki, Leila; Mathieu, Marie-Noeelle; Chevillard, Claude
CS INSERM U300, Faculte de Pharmacie, 15 Avenue Charles Flahaut, 34060, Montpellier, Fr.
SO European Journal of Pharmacology (1997), 320(2/3), 215-221
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier
DT Journal
LA English
AB cAMP-elevating agents like phosphodiesterase inhibitors and purines have been shown to induce apoptosis. In the present work we have studied the effects of imidazo[1,2-a]pyrazine derivs. with a purine-like structure: PAB13 (6-bromo-8-(methylamino)imidazo[1,2-a]pyrazine), PAB15 (6-bromo-8-(ethylamino)imidazo[1,2-a]pyrazine), PAB23 (3-bromo-8-(methylamino)imidazo[1,2-a]pyrazine) on the growth of the Dami cell line in comparison to that of adenosine. The growth effect of PAB13, PAB15 and PAB23 was investigated in relation to their phosphodiesterase-inhibitory action and their activity on purinoceptors. Inhibition in cell growth was up to 71.0, 76.3 and 89.7 for PAB23, PAB13 and PAB15, resp. and 100 for adenosine. Cell viability was affected in a concn.-dependent manner by PAB13, PAB15 and adenosine, with a correlation between growth inhibition and cytotoxicity. These effects of imidazo[1,2-a]pyrazine derivs. were unrelated to an action on purinoceptors, but rather appear quant. linked to their ability in inducing apoptosis through their cAMP-increasing and phosphodiesterase-inhibitory potency.
IT **117718-82-8 117718-85-1 117718-86-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(apoptotic effects of cAMP phosphodiesterase inhibitors imidazo[1,2-a]pyrazine derivs. in the human Dami cell line in relation to cytotoxicity)
RN 117718-82-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-methyl- (9CI) (CA INDEX NAME)



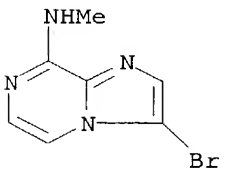
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



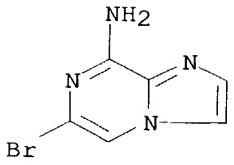
RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)



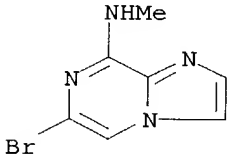
L4 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:757953 CAPLUS
DN 130:133638
TI Antiproliferative, differentiating and apoptotic effects elicited by imidazo[1,2-a]pyrazine derivatives
AU Zurbonsen, K.; Michel, A.; Bonnet, P. A.; Mathieu, M. N.; Chevillard, C.
CS INSERM U.469 ORGANIQUE PHARMACEUTIQUE FACULTE DE PHARMACIE, MONTPELLIER, 34094, Fr.
SO General Pharmacology (1998), Volume Date 1999, 32(1), 135-141
CODEN: GEPHDP; ISSN: 0306-3623
PB Elsevier Science Inc.
DT Journal
LA English
AB The activity of two series of imidazo[1,2-a]pyrazine derivs. on cell proliferation and differentiation and on apoptosis was examd. in relation to their effects on phosphodiesterase (PDE) activity and on purinoceptors. In the first series SC-8 and SC-51 inhibited mitogen-induced 3H-thymidine incorporation in human lymphocytes. The compds. of the new series PAB13, PAB23 and SCA40 inhibited the proliferation of the HEL cell line. 4. Nine imidazo[1,2-a]pyrazine derivs. of the new series have been studied on the Dami cell proliferation. SCA41 and SCA44 inhibited cell growth, SCA40 and PAB40 were moderately effective, whereas PAB12 and PAB30 were devoid of effect. The antiproliferative effects of these six non-cytotoxic compds. could not be related to their action on PDE or on purinoceptors, but rather to their lipophilicity. Conversely, for PAB13, PAB15, and PAB23, the decrease in cell no. was related to their cytotoxic and apoptotic effects through their cAMP-increasing and PDE-inhibitory potency, but unrelated to an effect on purinoceptors. Imidazo[1,2-a]pyrazine derivs. decreased the expression of Glycoprotein (GP)Ib in Dami cells while some of them enhanced that of GPIIb/IIIa. These effects appeared to involve inhibition of both cAMP- and cGMP-PDE. These studies demonstrate the potential interest of imidazo[1,2-a]pyrazine derivs. in the query of novel anticancer drugs.
IT **117718-82-8**, PAB 23 **117718-84-0**, PAB 12
117718-85-1, PAB 13 **117718-86-2**, PAB 15
142744-39-6, SCA40 **187344-68-9**, PAB 30
193291-93-9, PAB 40 **193343-19-0**, SCA41
193343-21-4, SCA44
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiproliferative, differentiating and apoptotic effects of imidazo[1,2-a]pyrazine derivs.)
RN 117718-82-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-methyl- (9CI) (CA INDEX NAME)



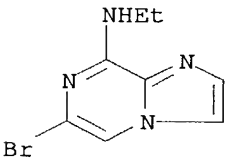
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)



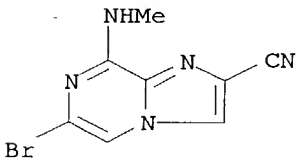
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



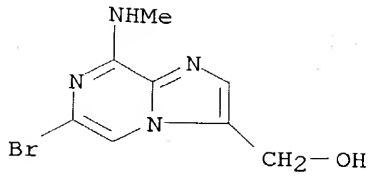
RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)



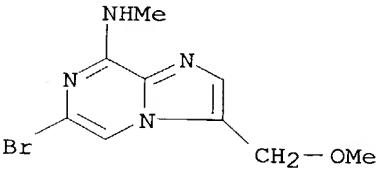
RN 142744-39-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



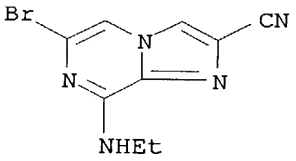
RN 187344-68-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-3-methanol, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



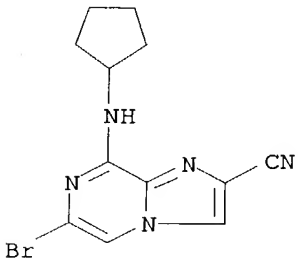
RN 193291-93-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-3-(methoxymethyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 193343-19-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(ethylamino)- (9CI) (CA INDEX NAME)



RN 193343-21-4 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carbonitrile, 6-bromo-8-(cyclopentylamino)- (9CI) (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:375549 CAPLUS
DN 131:19022
TI Preparation of heterocyclic compounds for inhibition of gastric acid secretion
IN Amin, Kosrat; Dahlstrom, Mikael; Nordberg, Peter; Starke, Ingemar
PA Astra Aktiebolag, Swed.
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

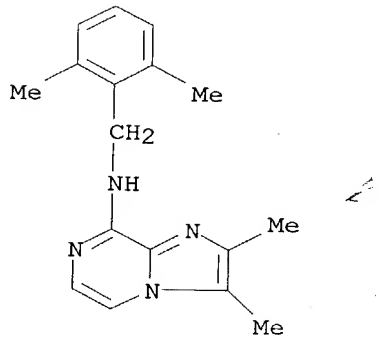
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9928322	A1	19990610	WO 1998-SE2091	19981118
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ZA 9810468	A	19990521	ZA 1998-10468	19981116
	TW 515798	B	20030101	TW 1998-87118942	19981116
	CA 2311798	AA	19990610	CA 1998-2311798	19981118
	AU 9913565	A1	19990616	AU 1999-13565	19981118
	AU 752187	B2	20020912		
	BR 9814755	A	20001003	BR 1998-14755	19981118
	EP 1042324	A1	20001011	EP 1998-957270	19981118
	EP 1042324	B1	20030226		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 200000315	A	20011015	EE 2000-20000031519981118	
	EE 4060	B1	20030616		
	JP 2001525322	T2	20011211	JP 2000-523214	19981118
	NZ 504355	A	20011221	NZ 1998-504355	19981118
	AT 233263	E	20030315	AT 1998-957270	19981118
	PT 1042324	T	20030630	PT 1998-98957270	19981118
	ES 2191356	T3	20030901	ES 1998-957270	19981118
	CZ 292349	B6	20030917	CZ 2000-1947	19981118
	US 6518270	B1	20030211	US 2000-194823	20000208
	NO 2000002721	A	20000728	NO 2000-2721	20000526
	HK 1030216	A1	20030620	HK 2001-101145	20010216
PRAI	SE 1997-4404	A	19971128		
	WO 1998-SE2091	W	19981118		

OS MARPAT 131:19022
AB The title compds. [I; R1, R2 = alkyl; R3 = H, halo; AB bicyclic ring with X attached = II-IV, etc.; R4 = H, Me, CH2OH, CH2CN; R5 = H, alkyl; R6 = H, alkyl, aryl, etc.; n = 0-1; X = NH, O] which inhibit exogenously or endogenously stimulated gastric acid secretion and thus can be used in the prevention and treatment of gastrointestinal inflammatory diseases, and in the treatment or prophylaxis of conditions involving infection by Helicobacter pylori of human gastric mucosa, were prepd. and formulated. Thus, reaction of 8-chloro-2,3-dimethylimidazo[1,2-a]pyrazine with 2,6-dimethylbenzylamine in xylene afforded 23% V which showed IC50 of 0.16 .mu.M against ATPase.
IT **226721-20-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. for inhibition of gastric acid secretion)

RN 226721-20-6 CAPLUS

CN Imidazo[1,2-a]pyrazin-8-amine, N-[(2,6-dimethylphenyl)methyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)

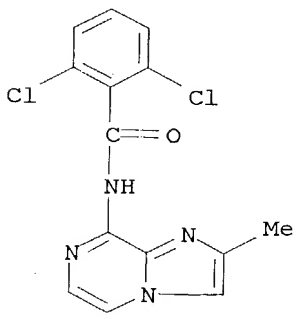


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

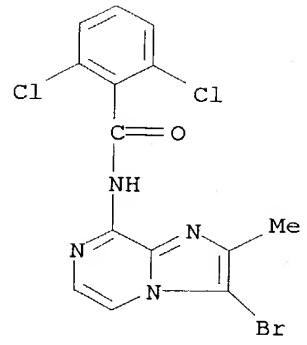
L4 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:44647 CAPLUS
DN 126:74840
TI Preparation of imidazo[1,2-a]pyridines as bone resorption inhibitors
IN Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Yoshihara, Kousei; Oku, Teruo
PA Fujisawa Pharmaceutical Co., Ltd., Japan; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Yoshihara, Kousei; Oku, Teruo
SO PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9634866	A1	19961107	WO 1996-JP1103	19960423
	W: AU, CA, CN, JP, KR, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9653483	A1	19961121	AU 1996-53483	19960423
	JP 11505524	T2	19990521	JP 1996-533169	19960423
PRAI	GB 1995-8826		19950501		
	GB 1995-12972		19950626		
	GB 1995-16647		19950814		
	WO 1996-JP1103		19960423		

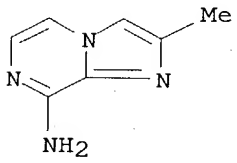
OS MARPAT 126:74840
AB Title compds. [I; R = ZR6; R1 = H, halo, alkyl, acyl, etc.; R2 = H, alkyl, acyl, aryl, etc.; R3 = H, halo, alkyl, alkoxy, etc.; R6 = heterocyclyl or aryl; Z = bond, CH:CH, NHCO, O2C, OCH2, etc.; Z1 = CH or N] were prepd. Thus, 2,3-diaminopyridine was cyclocondensed with ClCH2COCF3 and the product amidated by 2,6-Cl2C6H3COCl to give title compd. II. Data for bone resorption inhibitory activity of 1 I were given.
IT **185131-42-4P 185131-81-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazo[1,2-a]pyridines as bone resorption inhibitors)
RN 185131-42-4 CAPLUS
CN Benzamide, 2,6-dichloro-N-(2-methylimidazo[1,2-a]pyrazin-8-yl)- (9CI) (CA INDEX NAME)



RN 185131-81-1 CAPLUS
CN Benzamide, N-(3-bromo-2-methylimidazo[1,2-a]pyrazin-8-yl)-2,6-dichloro- (9CI) (CA INDEX NAME)



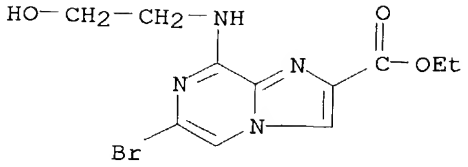
IT **185133-96-4P,** 8-Amino-2-methylimidazo[1,2-a]pyrazine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazo[1,2-a]pyridines as bone resorption inhibitors)
RN 185133-96-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 2-methyl- (9CI) (CA INDEX NAME)



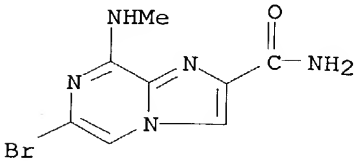
L4 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:631072 CAPLUS
DN 109:231072
TI 8-Alkylaminoimidazo[1,2-a]pyrazine derivatives, their preparation, and their application in therapy
IN Sablayrolles, Claire; Bonnet, Pierre Antoine; Cros, Gerard; Chapat, Jean Pierre; Boucard, Maurice
PA Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8804298	A1	19880616	WO 1987-EP756	19871204
	W: JP, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	FR 2607813	A1	19880610	FR 1986-17164	19861205
	FR 2607813	B1	19890331		
	EP 348392	A1	19900103	EP 1988-900690	19871204
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 02501575	T2	19900531	JP 1988-500907	19871204
	US 5028605	A	19910702	US 1989-364428	19890602
PRAI	FR 1986-17164		19861205		
	WO 1987-EP756		19871204		

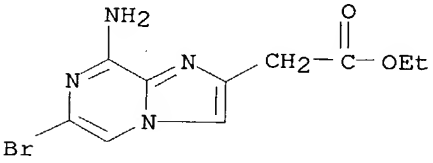
OS CASREACT 109:231072; MARPAT 109:231072
AB The title compds. [I; R1,R2 = H, CF3, NO, NO2, cyano, halo, C1-5 alkyl, alkoxycarbonyl, (substituted) Ph, carbamoyl, cycloalkyl, acyl, alkylthio; R1R2 = (CH2)4; R3, R4 = H; (substituted) C1-5 alkyl, acyl, furfuryl; R3R4 = (CH2)5, CH2CH2OCH2CH2, CH2CH2SCH2CH2; Y, Z = H, halo, CO2H, cyano, C1-5 alkyl, alkoxy, CF3, amino] and their pharmaceutically compatible salts were prepd. as antispasmodics, uterine relaxants, bronchodilators, cardiac analeptics, and neurosedatives. Imidazo[1,2-a]pyrazine (prepn., from aminopyrazine, given), in HOAc was treated with Br in HOAc and the product 3,5-dibromoimidazo[1,2-a]pyrazine was stirred with aq. MeNH2 to give 3-bromo-8-methylaminoimidazo[1,2-a]pyrazine. I had ED50's 13-40 times greater than theophylline (II) for antispasmodic activity in rat duodenum.
IT **117718-75-9P 117718-76-0P 117718-77-1P**
117718-78-2P 117718-79-3P 117718-81-7P
117718-82-8P 117718-83-9P 117718-84-0P
117718-85-1P 117718-86-2P 117718-87-3P
117718-88-4P, Imidazo[1,2-a]pyrazin-8-amine **117718-89-5P**
117718-90-8P 117718-92-0P 117718-94-2P
117718-95-3P 117718-96-4P 117718-98-6P
117718-99-7P 117719-00-3P 117719-03-6P
117719-04-7P 117719-05-8P 117719-06-9P
117719-07-0P 117719-08-1P 117736-91-1P
117736-93-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 117718-75-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 6-bromo-8-[(2-hydroxyethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



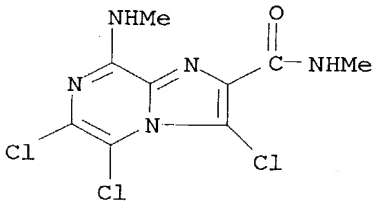
RN 117718-76-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 6-bromo-8-(methylamino)- (9CI) (CA INDEX NAME)



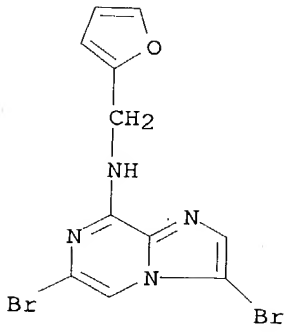
RN 117718-77-1 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-acetic acid, 8-amino-6-bromo-, ethyl ester (9CI) (CA INDEX NAME)



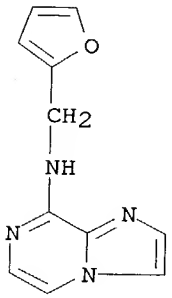
RN 117718-78-2 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 3,5,6-trichloro-N-methyl-8-(methylamino)- (9CI) (CA INDEX NAME)



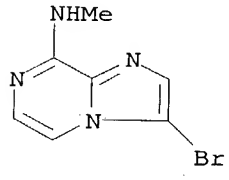
RN 117718-79-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3,6-dibromo-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)



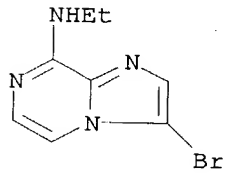
RN 117718-81-7 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)



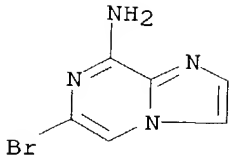
RN 117718-82-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-methyl- (9CI) (CA INDEX NAME)



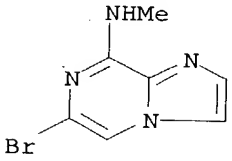
RN 117718-83-9 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo-N-ethyl- (9CI) (CA INDEX NAME)



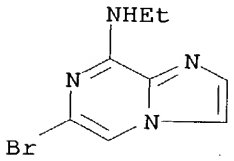
RN 117718-84-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo- (9CI) (CA INDEX NAME)



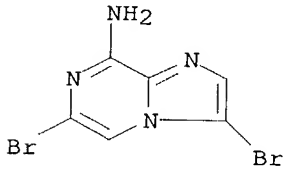
RN 117718-85-1 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-methyl- (9CI) (CA INDEX NAME)



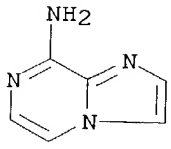
RN 117718-86-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-ethyl- (9CI) (CA INDEX NAME)



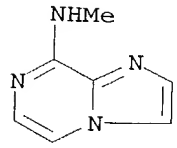
RN 117718-87-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3,6-dibromo- (9CI) (CA INDEX NAME)



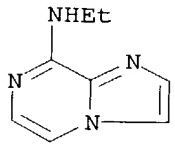
RN 117718-88-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine (9CI) (CA INDEX NAME)



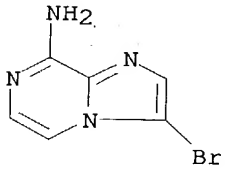
RN 117718-89-5 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-methyl- (9CI) (CA INDEX NAME)



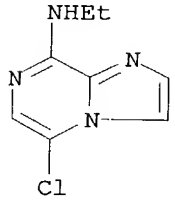
RN 117718-90-8 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, N-ethyl- (9CI) (CA INDEX NAME)



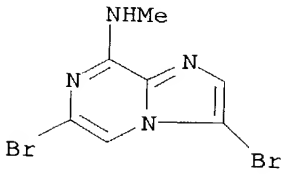
RN 117718-92-0 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3-bromo- (9CI) (CA INDEX NAME)



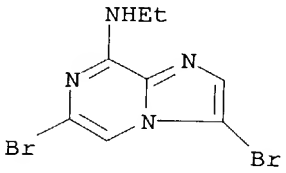
RN 117718-94-2 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 5-chloro-N-ethyl- (9CI) (CA INDEX NAME)



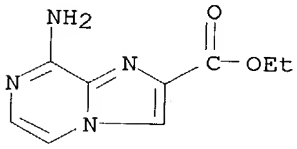
RN 117718-95-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3,6-dibromo-N-methyl- (9CI) (CA INDEX NAME)



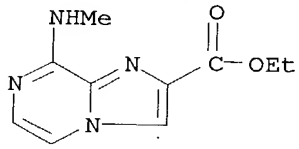
RN 117718-96-4 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 3,6-dibromo-N-ethyl- (9CI) (CA INDEX NAME)



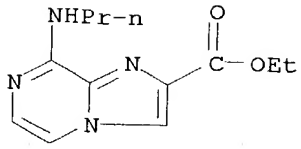
RN 117718-98-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 8-amino-, ethyl ester (9CI) (CA INDEX NAME)



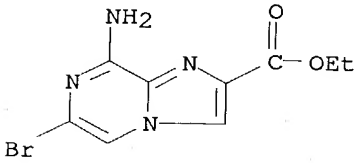
RN 117718-99-7 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 8-(methylamino)-, ethyl ester (9CI) (CA INDEX NAME)



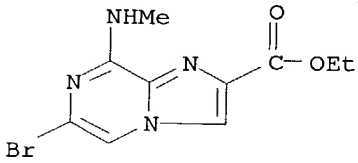
RN 117719-00-3 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 8-(propylamino)-, ethyl ester (9CI) (CA INDEX NAME)



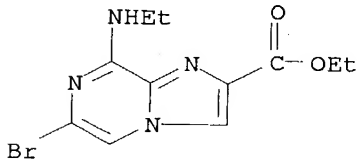
RN 117719-03-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 8-amino-6-bromo-, ethyl ester (9CI) (CA INDEX NAME)



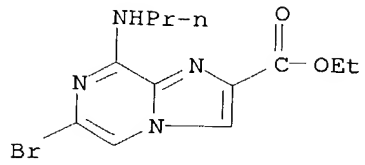
RN 117719-04-7 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 6-bromo-8-(methylamino)-, ethyl ester (9CI) (CA INDEX NAME)



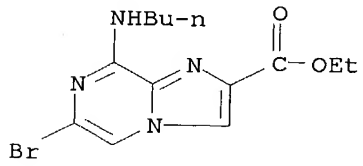
RN 117719-05-8 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 6-bromo-8-(ethylamino)-, ethyl ester (9CI) (CA INDEX NAME)



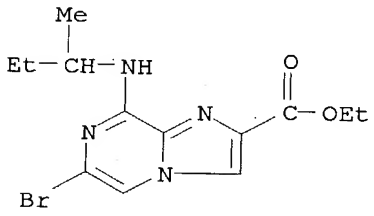
RN 117719-06-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 6-bromo-8-(propylamino)-, ethyl ester (9CI) (CA INDEX NAME)



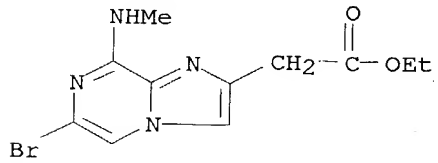
RN 117719-07-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 6-bromo-8-(butylamino)-, ethyl ester (9CI) (CA INDEX NAME)



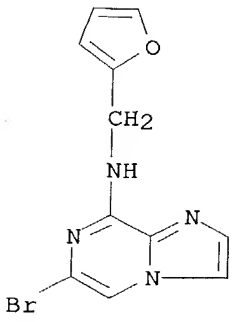
RN 117719-08-1 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 6-bromo-8-[(1-methylpropyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 117736-91-1 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-acetic acid, 6-bromo-8-(methylamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 117736-93-3 CAPLUS
CN Imidazo[1,2-a]pyrazin-8-amine, 6-bromo-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)


O
CH2
NH
N
N
N
Br